

02/29/2008

10-566,291.trn

## Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptajem1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 18:42:05 ON 25 FEB 2008

FILE 'REGISTRY' ENTERED AT 18:42:22 ON 25 FEB 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0  
DICTIONARY FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

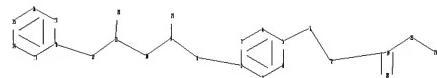
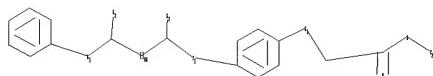
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1.str



```

chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :


```

G1:C,O,S

G2:H,Ak

G3:C,O

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

```

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L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

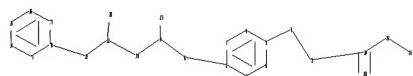
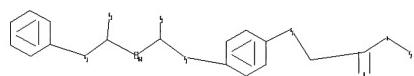
=> s l1 sss sam  
SAMPLE SEARCH INITIATED 18:43:09 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 56620 TO ITERATE

3.5% PROCESSED 2000 ITERATIONS 3 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1118209 TO 1146591  
PROJECTED ANSWERS: 1146 TO 2250

L2 3 SEA SSS SAM L1

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1a.str



02/29/2008

10-566,291.trn

chain nodes :  
7 8 9 10 11 12 19 20 21 23 27 28 32  
ring nodes :  
1 2 3 4 5 6 13 14 15 16 17 18 33 34 35 36 37 38  
chain bonds :  
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34  
33-38 34-35 35-36 36-37 37-38  
exact/norm bonds :  
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23  
exact bonds :  
9-10 10-11 19-32  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34  
33-38 34-35 35-36 36-37 37-38  
isolated ring systems :  
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom 34:Atom  
35:Atom 36:Atom 37:Atom 38:Atom

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss sam

SAMPLE SEARCH INITIATED 18:46:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5500 TO ITERATE

36.4% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

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PROJECTED ITERATIONS: 105553 TO 114447  
PROJECTED ANSWERS: 1 TO 154

L4 1 SEA SSS SAM L3

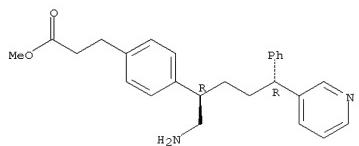
=> d scan

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L4 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzenepropanoic acid,  
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
methyl ester, (R\*,R\*)- (9CI)  
MF C26 H30 N2 O2

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

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=> s 13 sss full  
FULL SEARCH INITIATED 18:47:40 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 110244 TO ITERATE

100.0% PROCESSED 110244 ITERATIONS 85 ANSWERS  
SEARCH TIME: 00.00.02

L5 85 SEA SSS FUL L3

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
182.04 182.25

FILE 'CAPLUS' ENTERED AT 18:47:51 ON 25 FEB 2008  
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FILE COVERS 1907 - 25 Feb 2008 VOL 148 ISS 9  
FILE LAST UPDATED: 24 Feb 2008 (20080224/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 15  
L6 14 L5

=> d ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

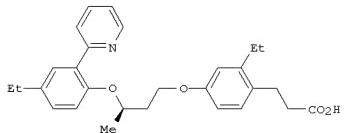
L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007129792 CAPLUS

DOCUMENT NUMBER: 146:379636

TITLE: Design and synthesis of a novel class of dual PPAR $\gamma$ / $\delta$  agonists  
Gonzalez, Isabel C.; Lamar, Jason; Iradier, Fatima;  
Xu, Yanping; Wimmeroski, Leonard L.; York, Jeremy;  
Yumibe, Nathan; Zink, Richard; Montrose-Rafizadeh,  
Chahrzad, Etgen, Gary J.; Broderick, Carol L.

AUTHOR(S): Oldham,

CORPORATE SOURCE: Brian A.; Mantlo, Nathan  
Lilly Research Laboratories, Lilly Corporate center,  
Eli Lilly & Company, Indianapolis, IN, 46285, USA  
SOURCE: Biorganic & Medicinal Chemistry Letters (2007),  
17(4), 1052-1055  
CODEN: BMCLB8; ISSN: 0960-894XPUBLISHER: Elsevier Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 146:379636  
GI

AB The design and synthesis of dual PPAR $\gamma$ / $\delta$  agonist (R)-3-[2-ethyl-4-[3-(4-ethyl-2-pyridin-2-yl-phenoxy)-phenoxy]butoxy]propanoic acid (I) is described. It dose-dependently lowered plasma glucose in hyperglycemic male Zucker diabetic fatty (ZDF) rats and produced less weight gain relative to rosiglitazone at an equivalent level of glucose control.

IT 847349-20-6P 847349-23-9P 847349-30-8P  
847352-14-1P 847352-16-3P 847352-17-4P  
847352-18-5P

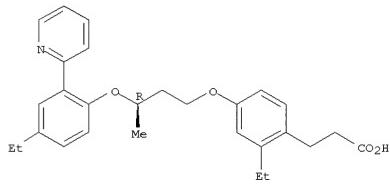
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PRPB (Preparation)

(preparation, dual PPAR $\gamma$ / $\delta$  agonistic activity, and SAR of [(phenoxybutoxy)phenyl]propanoic acid derivs.)

RN 847349-20-6 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

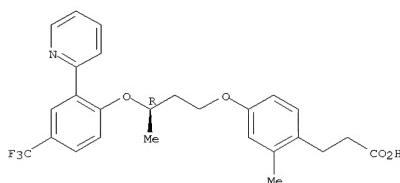
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847349-23-9 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

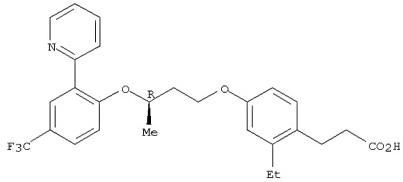
Absolute stereochemistry.



RN 847349-30-8 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

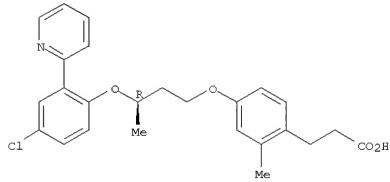
L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-14-1 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

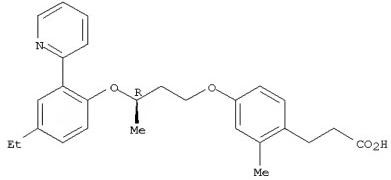
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



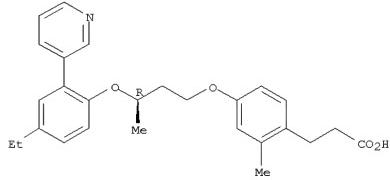
RN 847352-17-4 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-16-3 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

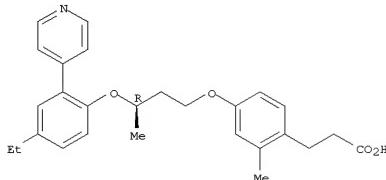
Absolute stereochemistry.



RN 847352-18-5 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



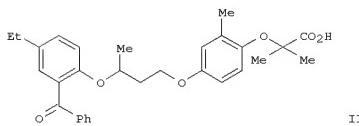
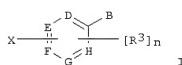
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:182607 CAPLUS  
 DOCUMENT NUMBER: 142:279949  
 TITLE: Preparation of aryloxalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Wineroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int Appl., 603 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	W 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, BM, ZW, RW: BH, GI, OM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UC, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949  
 GI

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

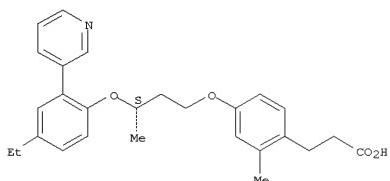


AB Title compds. I [wherein B = -Al1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z;  
 A1 = a bond, CH2, O, S, and wherein Al and R4 or Al and R5 form a 3- to 6-membered carbocyclicl when Al = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.];  
 Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonaromatic carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;  
 R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid  
 II. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu$ M for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.  
 IT 847345-57-7P, 3-[4-[(S)-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid 847345-60-2P  
 , 3-[4-[(S)-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid 847345-63-5P, 3-[4-[(S)-3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid 847345-32-0P, (R)-3-[4-[(S)-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid 847347-31-3P  
 , (R)-3-[4-[(S)-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid 847348-30-5P, (R)-3-[4-[(S)-3-[4-Chloro-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 y1)phenoxy]butyloxy]2-methylphenylpropionic acid 847349-23-9P,  
 (R)-3-[2-Methyl-4-[(2-[pyridin-2-yl]phenoxy)butoxy]propionic acid 847349-20-6P, (R)-3-[2-Ethyl-4-[(3-[2-[pyridin-2-yl]phenoxy]butoxy]propionic acid 847349-30-8P, (R)-3-[2-Ethyl-4-[(3-[2-(pyridin-2-yl)-4-trifluoromethylphenyl]phenoxy]butoxy]propionic acid 847349-32-0P, (R)-3-[2-Ethyl-4-[(3-[2-(pyridin-4-yl)-4-trifluoromethylphenyl]phenoxy]butoxy]propionic acid 847349-37-5P, (R)-3-[4-[(3-[4-Chloro-2-(pyridin-4-yl)phenoxy]butoxy)-2-methylphenyl]propionic acid 847349-43-3P,  
 (R)-3-[2-Ethyl-4-[(3-[[2-(pyridin-3-yl)-4-trifluoromethylphenyl]phenoxy]butoxy]propionic acid 847351-60-4P, (S)-3-[2-Ethyl-4-[(3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butyl)sulfanylpheyl]phenoxy]butoxy]propionic acid 847352-14-1P, (R)-3-14-[3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenylpropionic acid 847352-15-2P, (R)-1-[4-[(3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butoxy)-2-methylphenyl]sulfanylpheyl]ethanoic acid 847352-16-3P, (R)-3-[4-[(3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butoxy)-2-methylphenyl]propionic acid 847352-17-4P, (R)-3-14-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenylpropionic acid 847352-18-5P, (R)-3-14-[3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenylpropionic acid 847352-19-6P, (R)-3-14-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenylpropionic acid 847352-20-7P, (R)-3-14-[3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenylpropionic acid 847352-21-8P, (R)-3-14-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenylpropionic acid 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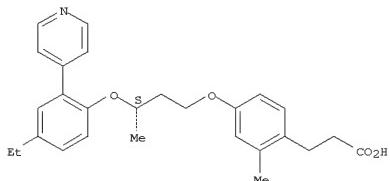
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



RN 847345-63-5 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3S)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-  
methyl- (CA INDEX NAME)

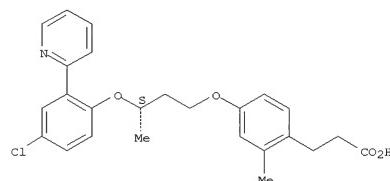
Absolute stereochemistry.



RN 847345-65-7 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-  
2-methyl- (CA INDEX NAME)

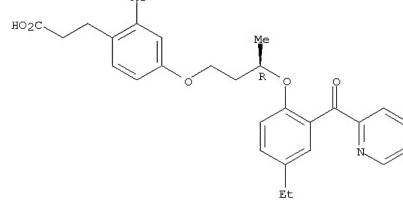
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847347-31-3 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-  
pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

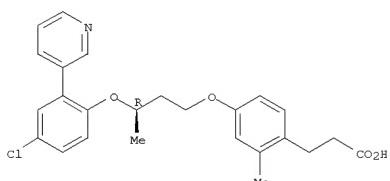
Absolute stereochemistry.



RN 847348-30-5 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3R)-3-[4-chloro-2-(3-pyridinyl)phenoxy]butoxy]-  
2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



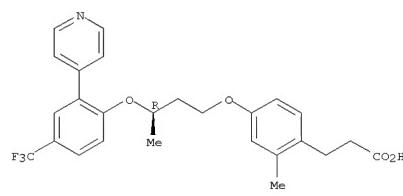
RN 847349-20-6 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-  
pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

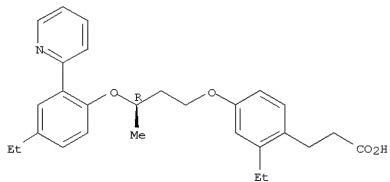
RN 847349-26-2 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(4-pyridinyl)-4-  
(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



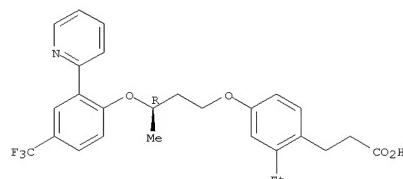
RN 847349-30-8 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-  
(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



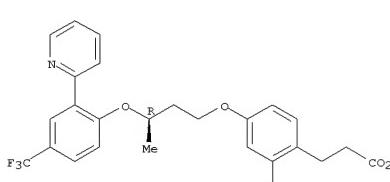
RN 847349-23-9 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-  
(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



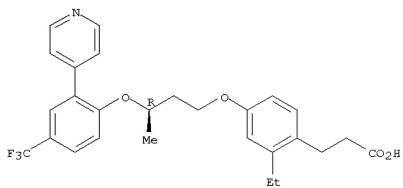
RN 847349-32-0 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-  
(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



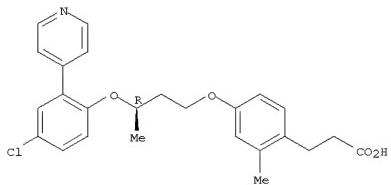
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



RN 847349-37-5 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3R)-3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-  
2-methyl- (CA INDEX NAME)

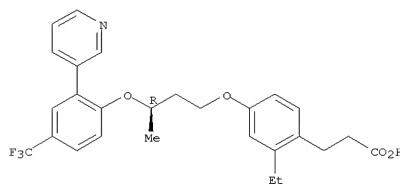
Absolute stereochemistry.



RN 847349-43-3 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(3-pyridinyl)-4-  
(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

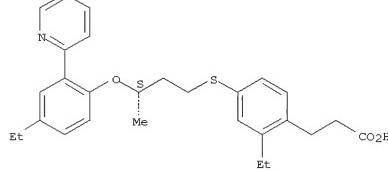
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847351-60-4 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[4-ethyl-2-(2-  
pyridinyl)phenoxy]butyl]thio- (CA INDEX NAME)

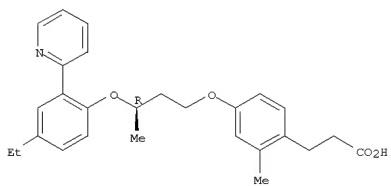
Absolute stereochemistry.



RN 847352-14-1 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]-2-  
methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



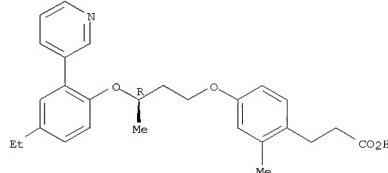
RN 847352-15-2 CAPLUS  
CN Acetic acid, [(4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-  
methylphenyl]thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

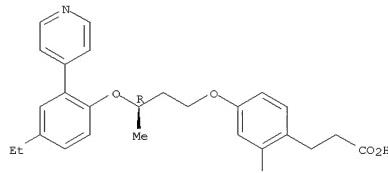
RN 847352-17-4 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-  
methyl- (CA INDEX NAME)

Absolute stereochemistry.



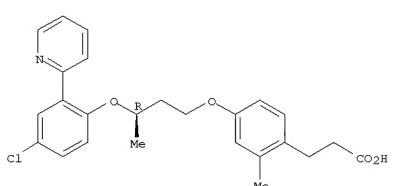
RN 847352-18-5 CAPLUS  
CN Benzenepropanoic acid,  
4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-  
methyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 847345-59-9P, 3-[4-[(S)-3-[4-Ethyl-2-(pyridin-2-  
yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid methyl ester  
847345-62-4P, 3-[4-[(S)-3-[4-Ethyl-2-(pyridin-3-  
yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid methyl ester  
847345-67-9P, 3-[4-[(S)-3-[4-Chloro-2-(pyridin-2-  
yl)phenoxy]butyl]oxy]-2-methylphenylpropionic acid methyl ester  
847347-32-4P, (R)-3-[4-[(S)-3-[4-Ethyl-2-(pyridin-2-  
yl)carbonyl]phenoxy]butyl]oxy]-2-methylphenylpropionic acid methyl ester  
847349-22-8P, 3-[2-Ethyl-4-[(3-[4-ethyl-2-(pyridin-2-  
yl)phenoxy]butyl]phenyl]propionic acid ethyl ester 847349-25-1P  
3-[2-Methyl-4-[(3-[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]  
phenyl]propionic acid methyl ester

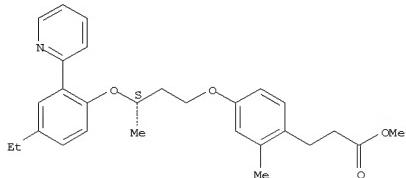
Absolute stereochemistry.



L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

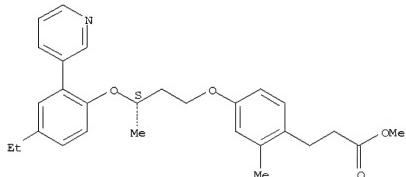
RN 847345-59-9 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



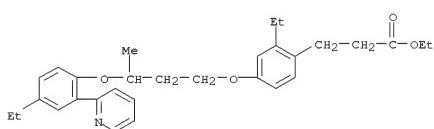
RN 847345-62-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

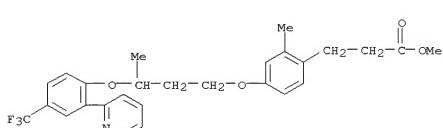


RN 847345-67-9 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



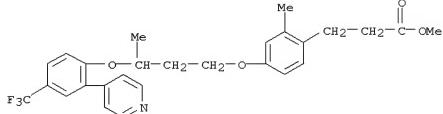
RN 847349-25-1 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3-[(2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy)butoxy])butoxy]-, methyl ester (CA INDEX NAME)



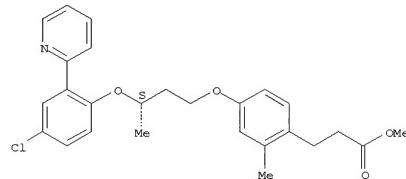
IT 847349-29-5, 3-[2-Methyl-4-[(3-[(2-(pyridin-4-yl)-4-trifluoromethylphenyl)oxy]butoxy)phenyl]propionic acid methyl ester  
 847349-31-9, 3-[2-Ethyl-4-[(3-[(2-(pyridin-2-yl)-4-trifluoromethylphenyl)oxy]butoxy)phenyl]propionic acid ethyl ester  
 847349-33-1, (R)-3-[2-Ethyl-4-[(3-[(2-(pyridin-4-yl)-4-trifluoromethylphenyl)oxy]butoxy)phenyl]propionic acid ethyl ester  
 847349-40-0, 3-[4-[(3-[4-Chloro-2-(4-pyridin-4-yl)phenoxy]butoxy)-2-methylphenyl]propionic acid methyl ester 847349-45-5,

3-[2-Ethyl-4-[(3-[(2-pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenylpropionic acid ethyl ester  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847349-29-5 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3-[(2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy)butoxy])butoxy]-, methyl ester (CA INDEX NAME)

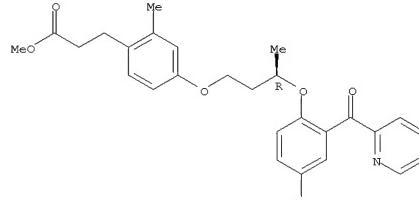


L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



RN 847347-32-4 CAPLUS  
 CN Benzenepropanoic acid, 4-((3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy)-2-methyl-, methyl ester (CA INDEX NAME)

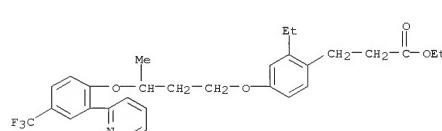
Absolute stereochemistry.



RN 847349-22-8 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3-[(2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy)butoxy])butoxy]-, ethyl ester (CA INDEX NAME)

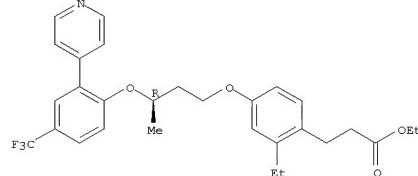
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 847349-31-9 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3-[(2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy)butoxy])butoxy]-, ethyl ester (CA INDEX NAME)

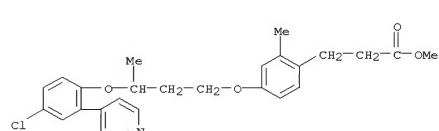


RN 847349-33-1 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3-[(2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy)butoxy])butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

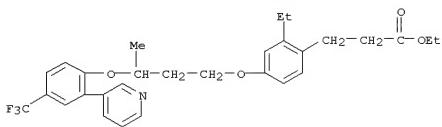


RN 847349-40-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3-[(4-chloro-2-(4-pyridinyl)phenoxy)butoxy]-2-methyl-, methyl ester (CA INDEX NAME)



RN 847349-45-5 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3-[(2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy)butoxy])butoxy]-, ethyl ester (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



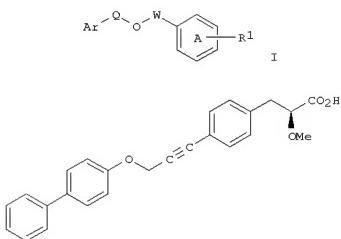
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN  
ACCESSION NUMBER: 2002:964313 CAPLUS  
DOCUMENT NUMBER: 138:55745  
TITLE: Preparation of substituted 3-phenyl-2-alkoxypyropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions  
INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafiezadeh, Chahzad; Reifel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferrito Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Ortega Finger, Maria; Ardecky, Robert J.  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated  
SOURCE: PCT Int. Appl., 458 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100813	A2	20021219	WO 2002-US16950	20020530
WO 2002100813	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MD, SD, SL, SZ, TZ, TG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GH, ML, MR, NE, SN, TD, TG				
CA 244956	A1	20021219	CA 2002-2449256	20020530
AU 2002312147	A	20021223	AU 2002-312147	20020530
EE 200400001	A	20040216	EE 2004-1	20020530
EP 1392637	A2	20040303	EP 2002-739503	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010190	A	20040406	BR 2002-10190	20020530
CN 1543451	A	20041103	CN 2002-811530	20020530
HU 2004000280	A2	20050128	HU 2004-280	20020530
JP 2005059050	T	20050414	JP 2003-503584	20020530
NZ 529351	A	20060127	NZ 2002-529351	20020530
IN 2003KN01456	A	20060414	IN 2003-KN01456	20031110
ZA 2003008863	A	20050214	ZA 2003-8863	20031110
US 2005020684	A1	20050127	US 2003-479262	20031201
US 7192982	B2	20070320		
MX 2003PA11201	A	20040226	MX 2003-PAL1201	20031204
US 2007276138	A1	20071129	US 2006-637223	20061211
PRIORITY_APPLN_INFO : .....			US 2001-297144P	P 20010627

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
WO 2002-US16950 W 20020530

OTHER SOURCE(S): MARPAT 138:55745  
GI



Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, or CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>H; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH<sub>2</sub>, C.tpbond.B, O, CO, NR<sub>7</sub>, NR<sub>7</sub>CO, C(=NOH), S, SO, SO<sub>2</sub>, or CHN(R)<sub>7</sub>R<sub>8</sub>; ring A is optionally substituted with up to 4 substituents in addition to R<sub>1</sub>; R<sub>1</sub> = (CH<sub>2</sub>)<sub>n</sub>CH(OR<sub>2</sub>)(CH<sub>2</sub>)<sub>m</sub>, CH=C(CH<sub>2</sub>)(CH<sub>2</sub>)mE, (CH<sub>2</sub>)<sub>n</sub>CHY(CH<sub>2</sub>)<sub>m</sub>, or CH=C(Y(CH<sub>2</sub>)<sub>m</sub>E; E = CO<sub>2</sub>R<sub>3</sub>, alkylcyano, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R<sub>2</sub> = H, haloalkyl, COR<sub>4</sub>, CO<sub>2</sub>R<sub>5</sub>, CONR<sub>5</sub>R<sub>6</sub>, CSR<sub>4</sub>, CSR<sub>4</sub>, CSNR<sub>5</sub>R<sub>6</sub>, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, or CH=CH bonded ortho to R<sub>1</sub> on ring A; R<sub>3</sub>-R<sub>8</sub> = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%).

**Substitution**

with propargyl alc. in the presence of PdCl<sub>2</sub>(PPh<sub>3</sub>)<sub>2</sub> and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfected studies showed that many of the compds. of the invention are selective PPAR<sub>α</sub> agonists or PPAR<sub>α</sub>/PPAR<sub>γ</sub> co-agonists (no data). Thus, I are useful for the treatment of

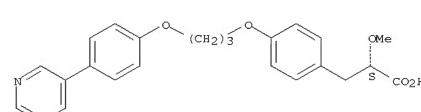
L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

IT 477982-80-2P, (2S)-2-Methoxy-3-[4-[3-(4-pyridin-3-yl)phenoxy]propoxy]phenylpropionic acid 477982-81-3P, (2S)-2-Methoxy-3-[4-[3-(4-pyridin-4-yl)phenoxy]propoxy]phenylpropionic acid 477982-82-4P, (2S)-2-Methoxy-3-[4-[3-(4-(quinolin-8-yl)phenoxy)propoxy]phenylpropionic acid 477982-83-4P,

(2S)-2-Methoxy-3-[4-[2-[4-[(pyridine-3-carbonyl)amino]phenoxy]ethoxy]phenyl]propionic acid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

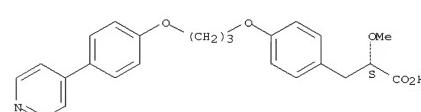
(PPAR modulator; preparation of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)  
RN 477982-80-2 CAPLUS  
CN Benzene propanoic acid,  $\alpha$ -methoxy-4-[3-[4-(3-

#### **pyridinylphenoxy]p-**



RN 477982-81-3 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[3-[4-(4-

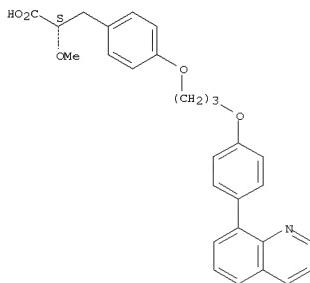
For example, the following sequence of numbers is a palindromic sequence:



RN 477982-82-4 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[3-[(8-

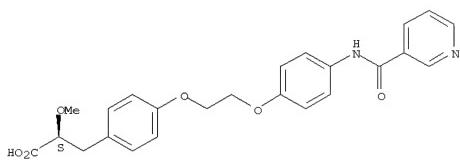
1990-1991, p. 100-101, 103.

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 477984-02-4 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[2-[4-[(3-pyridinylcarbonyl)amino]phenoxy]ethoxy]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:964190 CAPLUS  
 DOCUMENT NUMBER: 138:39272  
 TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids

and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 438 pg.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

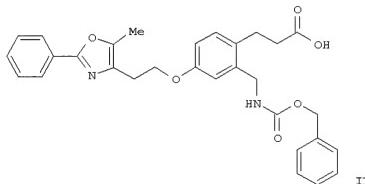
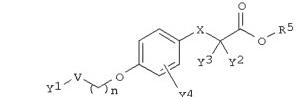
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KE, LC, LK, LV, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UC, US, UZ, VN, YU, ZA, ZM, ZW, AT, BE, CH, RW, SG, GN, KE, LS, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CV, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GG, GW, ML, MR, NE, SN, TG, CA 2448552	A1	2002-2448552	20020524	
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	20020524
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 2004000268	A2	20040728	HU 2004-268	20020524
JP 2005052600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
US 7282501	B2	20071016	ZA 2003009059	20031120
ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203
PRIORITY APPLN. INFO.:			US 2001-296701P	P 20010607

WO 2002-US15143 W 20020524

OTHER SOURCE(S): MARPAT 138:39272

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

GI



AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH<sub>2</sub> or O; p = 0 or 1; m = 1-4; Y<sub>1</sub> = (un)substituted (hetero)aryl; Y<sub>2</sub> and Y<sub>3</sub> = independently H, alkyl, or alkoxy; Y<sub>4</sub> = (un)substituted alk(en)ynylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R<sub>5</sub> = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators

(no) data. For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propanoic acid text-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in

the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF. Deprotection of the amine using NaBH<sub>4</sub> in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

IT 478546-21-3P, 3-[4-(2-(Biphenyl-4-yloxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-22-4P,

3-[4-(2-(Biphenyl-3-yloxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-23-5P, 3-[4-(2-

Phenoxyphenoxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-24-6P, 3-[4-(2-(3-Phenylbenzofuran-6-yloxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-25-7P, 3-[4-(2-(6-Methoxynaphthalen-2-yloxy)ethoxy]-2-[(2-

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-32-6P,

3-[4-(4-(Biphenyl-3-yloxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-33-7P, 3-[4-(4-(4-

Phenoxyphenoxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-34-8P, 3-[4-(4-(3-Phenylbenzofuran-6-yloxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-35-9P, 3-[4-(4-(6-Methoxynaphthalen-2-yloxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-39-3P,

3-[4-(3-(Biphenyl-4-yloxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-40-6P, 3-[4-(3-(Biphenyl-3-yloxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-41-7P, 3-[4-(3-(6-Methoxynaphthalen-2-yloxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid 478546-48-4P,

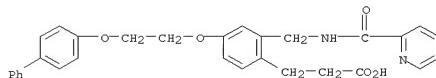
3-[4-(4-Phenoxyphenoxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenylpropionic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; prepns. of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

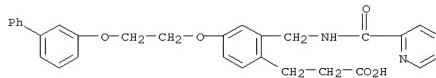
RN 478546-21-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-(1'-biphenyl)-3-yloxy]ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-22-4 CAPLUS

CN Benzenepropanoic acid, 4-[2-(1'-biphenyl)-3-yloxy]ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]- (CA INDEX NAME)

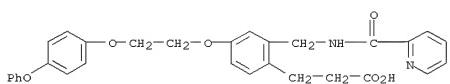


RN 478546-23-5 CAPLUS

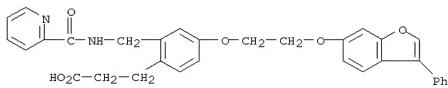
CN Benzenepropanoic acid, 4-[2-(4-phenoxyphenoxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]- (CA INDEX NAME)

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

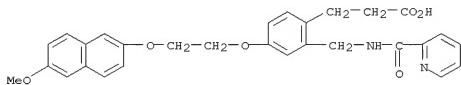
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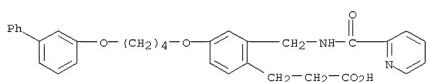
RN 478546-24-6 CAPLUS  
CN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



RN 478546-25-7 CAPLUS  
CN Benzenepropanoic acid, 4-[2-[(6-methoxy-2-naphthalenyl)oxy]ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



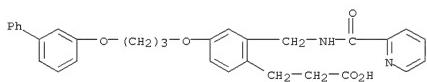
RN 478546-32-6 CAPLUS  
CN Benzenepropanoic acid, 4-[4-((1,1'-biphenyl)-3-yloxy)butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



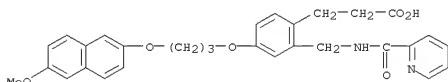
RN 478546-33-7 CAPLUS  
CN Benzenepropanoic acid, 4-[4-(4-phenoxyphenoxy)butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

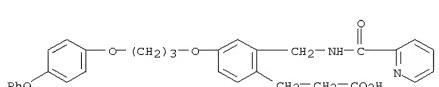
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RN 478546-41-7 CAPLUS  
CN Benzenepropanoic acid, 4-[3-[(6-methoxy-2-naphthalenyl)oxy]propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



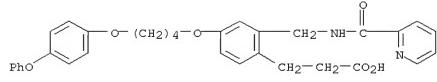
RN 478546-48-4 CAPLUS  
CN Benzenepropanoic acid, 4-[3-(4-phenoxyphenoxy)propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



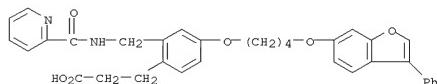
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

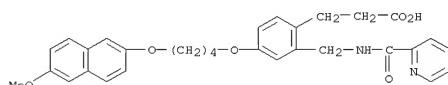
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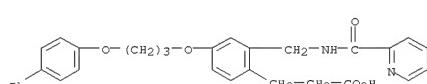
RN 478546-34-8 CAPLUS  
CN Benzenepropanoic acid, 4-[4-[(3-phenyl-6-benzofuranyl)oxy]butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



RN 478546-35-9 CAPLUS  
CN Benzenepropanoic acid, 4-[4-[(6-methoxy-2-naphthalenyl)oxy]butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



RN 478546-39-3 CAPLUS  
CN Benzenepropanoic acid, 4-[3-[(1,1'-biphenyl)-4-yloxy]propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)



RN 478546-40-6 CAPLUS  
CN Benzenepropanoic acid, 4-[3-[(1,1'-biphenyl)-3-yloxy]propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl - (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:140201 CAPLUS

DOCUMENT NUMBER: 126:171492

TITLE: Preparation of phenoxyacetic acid derivatives as

allergy inhibitors

INVENTOR(S): Tatsugami, Shinichi; Tajima, Atsumi; Koyama, Shingo

PATENT ASSIGNEE(S): Texumo Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

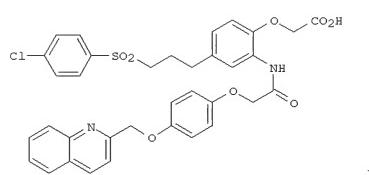
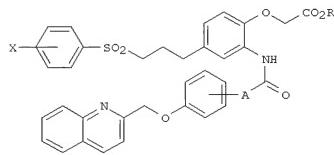
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09012554	A	19970114	JP 1995-166121	19950630
PRIORITY APPLN. INFO.:			JP 1995-166121	19950630

OTHER SOURCE(S): MARPAT 126:171492  
GI



AB The title compds. [I; X = H, OH, halo, NO<sub>2</sub>, CF<sub>3</sub>, lower alkyl or alkoxy; R = H, lower alkyl; A = O(CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>CONH(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>m</sub>NHCO(CH<sub>2</sub>)<sub>n</sub>; m, n = 0-2] are prepared I, possessing thromboxane A<sub>2</sub> (TXA<sub>2</sub>) and leukotriene D<sub>4</sub> (LTD<sub>4</sub>) antagonism, are useful as allergy inhibitors for prevention and treatment of allergic inflammation diseases such as myocardial infarction, bronchial asthma, and so on. Thus,

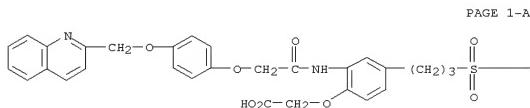
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 N-[5-[3-(4-chlorobenzenesulfonyl)propyl]-2-(hydroxy)phenyl]-2-[4-(2-quinolinylmethoxy)phenoxy]acetamide was reacted with BrCH<sub>2</sub>CO<sub>2</sub>Et in the presence of K<sub>2</sub>CO<sub>3</sub> and then treated with aq. LiOH to give the title compd.  
 (II). II showed IC<sub>50</sub> of 1.1 + 10<sup>-9</sup> and 3.0 + 10<sup>-9</sup> M against TXA<sub>2</sub> and LTD<sub>4</sub>, resp. when tested on mouse in vitro.

IT 186641-30-5P 186641-32-7P 186641-34-9P  
 186641-36-1P 186641-37-2P 186641-38-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenoxyacetic acid derivs. as allergy inhibitors)

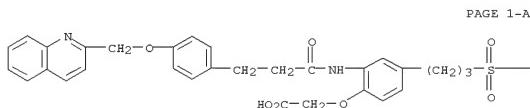
RN 186641-30-5 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(4-(2-quinolinylmethoxy)phenoxy]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



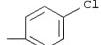
PAGE 1-B

RN 186641-32-7 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

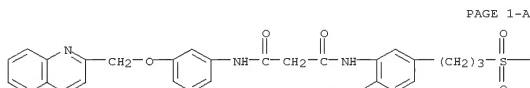


L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

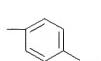
PAGE 1-B



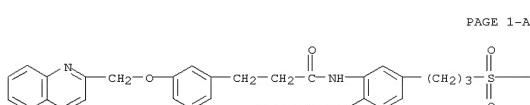
RN 186641-37-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1,3-dioxo-3-[3-(2-quinolinylmethoxy)phenyl]amino]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



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RN 186641-38-3 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[3-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

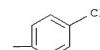


PAGE 1-B

IT 186641-42-9P 186641-45-2P 186641-48-5P  
 186641-51-0P 186641-54-3P 186641-57-6P

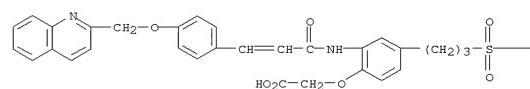
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

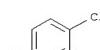


RN 186641-34-9 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-2-propenyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

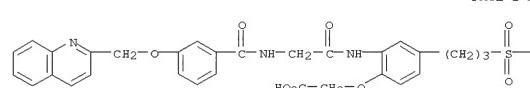


PAGE 1-B



RN 186641-36-1 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[[3-(2-quinolinylmethoxy)benzoyl]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

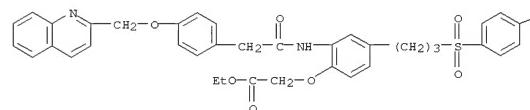


L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep. of phenoxyacetic acid derivs. as allergy inhibitors)

RN 186641-42-9 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[4-(2-quinolinylmethoxy)phenyl]acetyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

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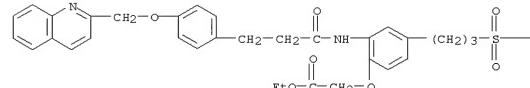


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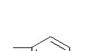


RN 186641-45-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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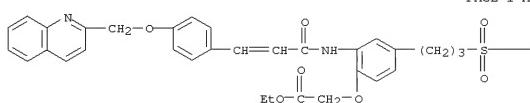


RN 186641-48-5 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-2-propenyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

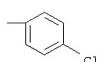
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

PAGE 1-A

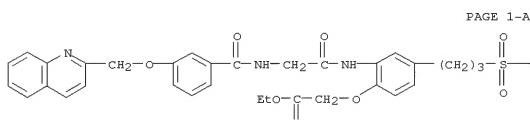


PAGE 1-B

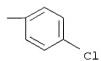


RN 186641-51-0 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[3-(2-quinolinylmethoxy)benzoyl]amino]acetyl]amino]phenoxy-, ethyl ester (9CI) (CA INDEX NAME)



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RN 186641-54-3 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1,3-dioxo-3-[(3-(2-quinolinylmethoxy)phenyl)amino]propyl]amino]phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:2234 CAPLUS

DOCUMENT NUMBER: 126:31271

TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals

INVENTOR(S): Tatsumi, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi

PATENT ASSIGNEE(S): Terumo Corp., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXKA

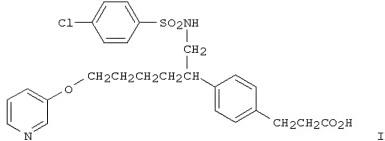
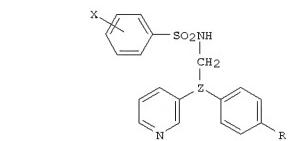
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309
PRIORITY APPLN. INFO.:			JP 1995-49789	19950309

OTHER SOURCE(S): MARPAT 126:31271  
GI

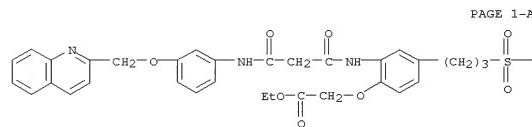
AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared. The title compound II in vitro showed IC50 of 0.039 x 10-6 M against U-46619-induced platelet aggregation.

IT 184419-32-7P 184653-31-4P 184419-62-3P 184419-63-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

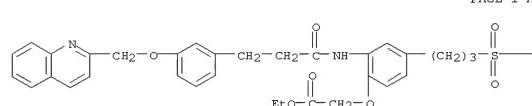
PAGE 1-A



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RN 186641-57-6 CAPLUS  
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

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L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

ACCESSION NUMBER: 1997:2234 CAPLUS

DOCUMENT NUMBER: 126:31271

TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals

INVENTOR(S): Tatsumi, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi

PATENT ASSIGNEE(S): Terumo Corp., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXKA

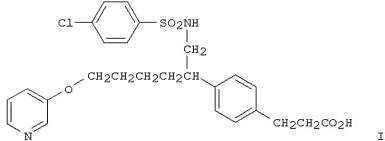
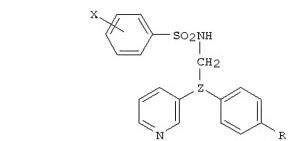
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309
PRIORITY APPLN. INFO.:			JP 1995-49789	19950309

OTHER SOURCE(S): MARPAT 126:31271  
GI

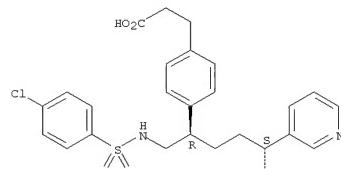
AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared. The title compound II in vitro showed IC50 of 0.039 x 10-6 M against U-46619-induced platelet aggregation.

IT 184419-32-7P 184653-31-4P 184419-62-3P 184419-63-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)RN 184653-31-4 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

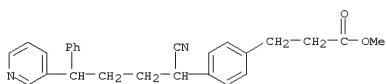
Relative stereochemistry.

RN 184653-31-4 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

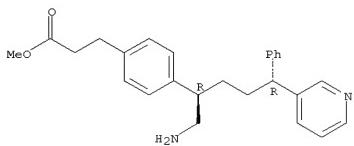
IT 184419-61-2P 184419-62-3P 184419-63-4P  
184653-33-6P 184653-34-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)RN 184419-61-2 CAPLUS  
CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



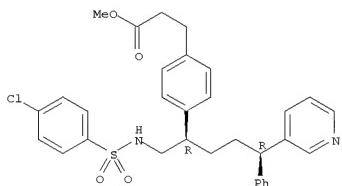
RN 184419-62-3 CAPLUS  
CN Benzenepropanoic acid,  
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

### Relative stereochemistry.



RN 184419-63-4 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[[[4-chlorophenyl]sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

### Relative stereochemistry.

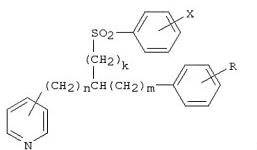


RN 184653-33-6 CAPLUS  
CN Benzenepropanoic acid,  
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

6 L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN  
ACCESSION NUMBER: 19961509478 CAPLUS  
DOCUMENT NUMBER: 125:167791  
TITLE: Preparation of pyridylalkylphenylsulfone derivatives  
as antithrombotic agents and antiallergic agents  
INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura,  
Harue;  
PATENT ASSIGNEE(S): Kasukawa, Hiroaki  
Terumo Kabushiki Kaisha, Japan  
SOURCE: PCT Int. Appl., 23 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN, JP, KR, RU, US				
EW: AT, BE, CH, DE, DK, ES, FR,			GB, GR, IE, IT, LU, MC, NL, PT, SE	
AU 9641892	A	19960710	AU 1996-41892	19951218
PRIORITY APPLN. INFO.:			JP 1994-316279	A 19941220

OTHER SOURCE(S): MARPAT 125:167791  
GI



AB The title compds. I [X = H, OH, NO<sub>2</sub>, CN, CF<sub>3</sub>, halo, lower alkyl, lower alkoxy; R = O(CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>R<sub>1</sub>, (CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>R<sub>1</sub>, CR<sub>2</sub>:CR<sub>3</sub>CO<sub>2</sub>R<sub>1</sub> or CR<sub>2</sub>R<sub>3</sub>CR<sub>4</sub>R<sub>5</sub>CO<sub>2</sub>R<sub>1</sub> (R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> = H, lower alkyl; a = 0-5); h, m, n = 0-5] are prepared

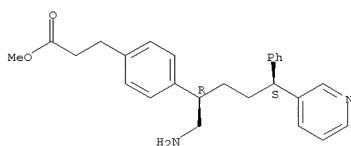
prepared. A medicinal preparation containing I is also claimed. I possessing thromboxane A<sub>2</sub> and prostaglandin H<sub>2</sub> antagonisms and the effect of inhibiting the synthesis of thromboxane A<sub>2</sub>, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>H; h = 2; m = 0; n = 3] was prepared from p-HOC<sub>6</sub>H<sub>4</sub>CH(OEt)<sub>2</sub> in twelve steps and demonstrated a IC<sub>50</sub> against thromboxane A<sub>2</sub> of 0.25  $\mu$ M.

IT 180153-37-1P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

Page 19

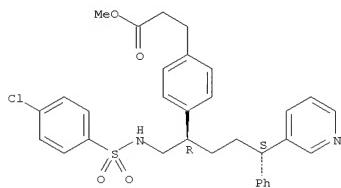
L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

### Relative stereochemistry.

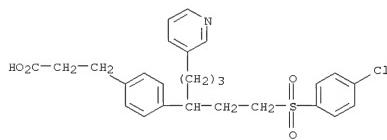


RN 184653-34-7 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[[[4-chlorophenyl]sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

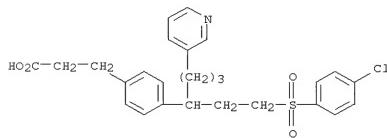
### Relative stereochemistry.



L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)  
 (Reactant or reagent); USES (Uses)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A<sub>2</sub> inhibitors)  
 RN 180153-37-1 CAPLUS  
 CN Benzenepropionic acid, 4-[1-(2-[(4-chlorophenyl)sulfonyl]ethyl)-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



IT 180153-38-2P 180153-39-3P 180153-40-6P  
 180153-41-7P 180153-42-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2  
 inhibitors)  
 RN 180153-38-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[4-(4-chlorophenyl)sulfonyl]ethyl]-4-(3-  
 pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)

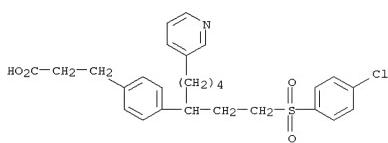


N

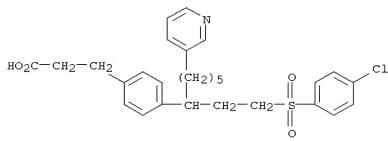
RN 180153-39-3 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

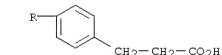
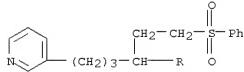
(Continued)



RN 180153-40-6 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)



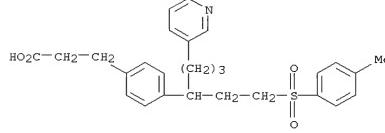
RN 180153-41-7 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



RN 180153-42-8 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

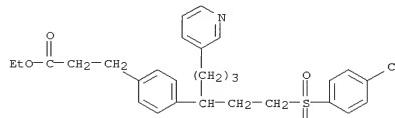
L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



IT 180153-36-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-36-0 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)



L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

ACCESSION NUMBER: 1996145766 CAPLUS

DOCUMENT NUMBER: 125:114597

TITLE: Preparation of azole derivatives as leukotriene and thromboxane A2 antagonists

INVENTOR(S): Nagaoaka, Hitoshi; Yokota, Masaki; Akane, Hiroaki; Arakida, Yasuhito; Isomura, Yasuo

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

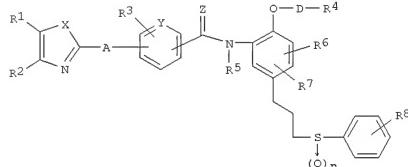
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611916	A1	19960425	WO 1995-JP2085	19951012
W: AL, AM, AU, BB, BG, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
FW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2202623	A1	19960425	CA 1995-2202623	19951012
AU 9536730	A	19960506	AU 1995-36730	19951012
AU 699476	B2	19981203		
EP 786457	A1	19970730	EP 1995-934280	19951012
EP 786457	B1	20020529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1160397	A	19970924	CN 1995-195649	19951012
CN 1107059	B	20030430		
HU 77609	A2	19980629	HU 1997-2271	19951012
TW 381088	B	20000201	TW 1995-84110701	19951012
JP 3061862	B2	20000710	JP 1996-513092	19951012
RU 2161612	C2	20010110	RU 1997-107457	19951012
AT 218132	T	20020615	AT 1995-934280	19951012
FI 9701510	A	19970411	FI 1997-1510	19970411
NO 9701685	A	19970613	NO 1997-1685	19970411
NO 309268	B1	20010108		
US 5981559	A	19991109	US 1997-809466	19970815
PRIORITY APPLN. INFO.:			JP 1994-249488	A 19941014
			JP 1994-251121	A 19941018
			WO 1995-JP2085	W 19951012

OTHER SOURCE(S): MARPAT 125:114597  
GI

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

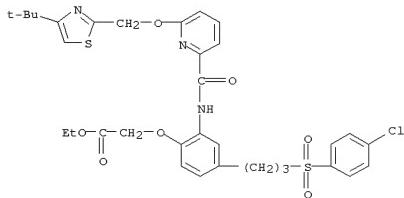


AB Thiazole- or oxazole-containing benzannulated derivs. represented by general formula [I]; R1, R2 = H, cycloalkyl, (un)substituted lower alkyl, (un)substituted aryl, or R1R2 = CH:CHCH:CH or (CH2)4 to complete a condensed ring which may be substituted by optionally substituted lower alkyl, amino, etc.; R3, R6, R7, R8 = H, amino, cyano, NC2, OH, halo, lower alkoxy, (un)substituted lower alkyl; R4 = cyano, tetrazolyl, CO2H or its ester, E-NH-F-R10; wherein E = single bond, CO; F = single bond, lower alkylene; R10 = H, CONH2, mono- or dialkylcarbamoyl, CO2H, lower alkoxy carbonyl, optionally alkyl-substituted arylcarbonyl, lower alkanoyl, lower alkylsulfonyl, optionally alkyl-substituted arylsulfonyl; R5 = H or lower alkyl; D = optionally substituted lower alkylene; X, Z = O, S; Y = N, CH; A O-B, S-B, S-S or B (wherein B = lower alkylene or lower alkylene); n = 0, 1 or 2] or pharmaceutically acceptable salts thereof, are prepared. These compds. I have both of a leukotriene antagonistic effect and a thromboxane A2 antagonistic effect and are useful in preventing or treating allergic diseases (in particular, bronchial asthma, allergic rhinitis, or nettle rash), ischemic heart diseases, or ischemic brain diseases. Thus, a thiazole containing benzannulated derivative (II; R = H, R1 = Ph, A = CH:CH) (preparation given) was dissolved in DMF, treated successively with K2CO3, Bu4NBr, and Et bromoacetate, and stirred at room temperature for 12 h to give the title compound II (R = CH2CO2Et, R1 = Ph, A = CH:CH). II (R = CH2CO2H, R1 = CMe3, A = CH2O) showed IC50 of 0.055 μM for inhibiting the U-46619 (stable analog of thromboxane A2)-induced aggregation of guinea pig's platelet rich plasma. II (R = CH2CO2H, R1 = cyclobutyl, A = CH2O) at 10 mg/kg p.o. in vivo inhibited by 72% the U-46619-induced respiratory tract resistance in guinea pigs.

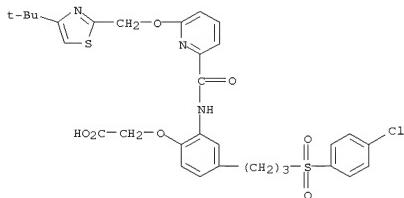
IT 179103-10-7P 179103-23-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azole derivs. as leukotriene and thromboxane A2 antagonists for disease therapy)

RN 179103-10-7 CAPLUS

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[6-[(4-(1,1-dimethylethyl)-2-thiazolyl)methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 179103-23-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[6-[(4-(1,1-dimethylethyl)-2-thiazolyl)methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



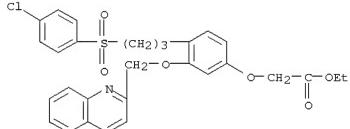
L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 at IC<sub>50</sub> of 8.3 + 10-6 M.

IT 153475-32-2P

RL: SBN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as leukotriene and thromboxane antagonist)

RN 153475-32-2 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

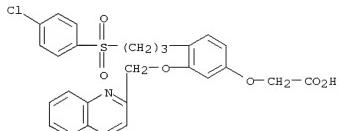


IT 153475-23-1P 153507-39-2P

RL: SBN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as leukotriene and thromboxane antagonist)

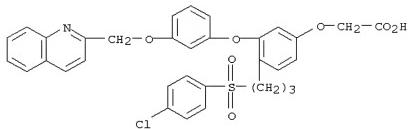
RN 153475-23-1 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 153507-39-2 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-[3-(2-quinolinylmethoxy)phenoxy]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:163998 CAPLUS  
 DOCUMENT NUMBER: 120:163998  
 TITLE: Preparation of quinolinyl group-containing phenoxyacetic acid derivatives as TXA2 and leukotriene antagonists

INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko

SOURCE: Terumo Corp, Japan

DOCUMENT TYPE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

Patent

LANGUAGE: Japanese

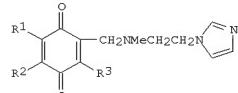
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05279336	A	19931026	JP 1992-64772	19920323
PRIORITY APPLN. INFO.:			JP 1992-64772	19920323

OTHER SOURCE(S): MARPAT 120:163998

GI



AB The title derivs. I [X = H, halo, lower alkyl, CF<sub>3</sub>, alkoxy, OH, cyano; R<sub>1</sub> = CO<sub>2</sub>R<sub>2</sub>; tetrazolyl; R<sub>2</sub> = H, lower alkyl; R<sub>3</sub> = quinolin-2-ylmethyl, (2-quinolinylmethoxy)benzyl; n = 0-2] or their physiol. acceptable salts, also useful as antiallergy agents, are prepared. Tosylation of 3-(4-methoxymethoxy-2-benzyl)propanol and subsequent reaction with p-chlorothiophenol gave 74% 4-[3-(4-chlorophenylthio)propyl]-3-benzyl-1-methoxymethoxybenzene, which was oxidized by m-chloroperbenzoic acid to give 93% sulfonyl derivative. Then, deprotection of the sulfonyl derivative by HCl gave 93% 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-benzylxophenol, which was treated with BrCH<sub>2</sub>CO<sub>2</sub>Et in Me<sub>2</sub>CO to give 97% benzylxophenoxyacetate derivative, debenzylatoin of which gave 73% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-hydroxyphenoxyacetate (II). II was stirred with NaH in DMF and treated with 2-chloromethylquinoline-HCl at room temperature to give 41% I (X = 4-Cl, R<sub>1</sub> = CO<sub>2</sub>Et, R<sub>3</sub> = 2-quinolinemethyl, n = 1), hydrolysis of which by aqueous NaOH in THF gave 67% I (R<sub>1</sub> = CO<sub>2</sub>H). The latter compound inhibited LTD4-induced contraction of guinea pig ileum

L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

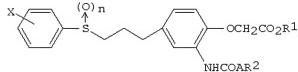
02/29/2008

10-566,291.trn

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:427840 CAPLUS  
 DOCUMENT NUMBER: 119:27840  
 TITLE: Preparation of phenoxyacetic acids and TXA2 antagonists containing them  
 INVENTOR(S): Maeda, Sachiko; Igarashi, Azuma; Sugizaki, Katsuyoshi;  
 PATENT ASSIGNEE(S): Suzuki, Myoshi; Ozawa, Shinji  
 SOURCE: Terumo Corp., Japan  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DRTE
JP 05032613	A	19930209	JP 1991-188730	19910729
			JP 1991-188730	19910729

OTHER SOURCE(S): MARPAT 119:27840  
 GI

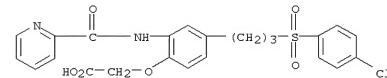


AB The title compds. I (A = Me, Ph, 2-pyridyl; R1 = H, Me, Et; R2 = H, phenyl-, pyridyl-, naphthyl-lower-alkoxy; X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; n = 0-2) or their physiol. acceptable salts, useful as therapeutic and prophylactic antiallergy agents and antithrombotics, are prepared. Treatment of 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-benzoylamino phenol (preparation given) with Et bromoacetate and K2CO3 in acetone at room temperature for 5 h gave 94% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetate, which was hydrolyzed with 2N NaOH in THF at 0° for 2.5 h to afford 95% 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetic acid. The product inhibited U-46619-induced smooth muscle contraction with IC50 of 5.7 + 10-9 M. LD50 of several phenoxyacetates was >300 mg/kg p.o. in male mice.

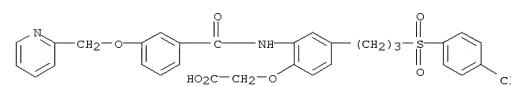
IT 148066-76-6P 148066-77-7P  
 RL: SPP (Synthetic preparation); PREP (Preparation)  
 (preparation of, as TXA2 antagonist)

RN 148066-76-6 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(2-pyridinylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 148066-77-7 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(3-(2-pyridinylmethoxy)benzoyl)amino]phenoxy]- (9CI) (CA INDEX NAME)

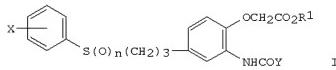


L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:448108 CAPLUS  
 DOCUMENT NUMBER: 117:48108  
 TITLE: Preparation of phenoxyacetic acid compounds  
 INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko; Hirakawa, Yasuhiro; Sugizaki, Katsuyoshi; Ozawa, Shinji  
 PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan  
 SOURCE: Eur. Pat. Appl., 17 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 491891	A2	19920422	EP 1991-402768	19911016
EP 491891	A3	19920513		
EP 491891	B1	19950208		
EP, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 04154757	A	19920527	JP 1990-278727	19901016
JP 04154766	A	19920527	JP 1990-278728	19901016
JP 08032688	B	19960339		
US 5179105	A	19930112	US 1991-775571	19911015
AU 9158597	A	19920507	AU 1991-85897	19911016
AU 637938	B2	19930610		

PRIORITY APPLN. INFO.: JP 1990-278727 A 19901016  
 JP 1990-278728 A 19901016

OTHER SOURCE(S): MARPAT 117:48108  
 GI



AB Title compds. I (X = H, halo, alkyl, F3C, alkoxy, HO, NC; R1 = H, Me, Et; n = 0-2; Y = quinolinylmethoxyphenyl, substituted Ph) or a salt thereof, useful as thromboxane A2 and leukotriene antagonists, are prepared

4-[3-(4-Chlorobenzenesulfonyl)propyl]-2-(4-acetyl-3-hydroxy-2-(4-acetyl-3-hydroxy-2-propylphenoxyacetyl)phenol (preparation given) in acetone and

K2CO3 were added to BrCH2CO2Et in acetone to give the Et ester which in THF was reacted with 2N NaOH and stirred for 2 h to give after addition of

HCl I [X = 4-Cl, R1 = H, Y = 4,3,2-(MeCO)(HO)Pr6H2OCH2, n = 2] (II). In vitro test II showed antagonistic action to Tx A2 with IC50 = 4.5 + 10-9M.

IT 142266-31-7P 142266-32-8P 142266-34-0P

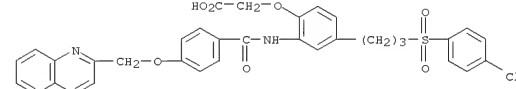
142347-83-9P

RL: SPP (Synthetic preparation); PREP (Preparation)  
 (preparation of, as leukotriene and thromboxane antagonist)

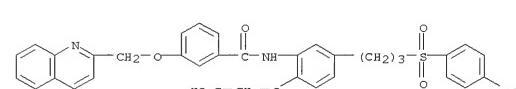
L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 142266-31-7 CAPLUS

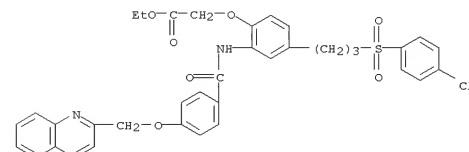
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(4-(2-quinolinylmethoxy)benzoyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 142266-32-8 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(3-(2-quinolinylmethoxy)benzoyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 142266-34-0 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(4-(2-quinolinylmethoxy)benzoyl)amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 142347-83-9 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(3-(2-quinolinylmethoxy)benzoyl)amino]phenoxy]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 142266-32-8

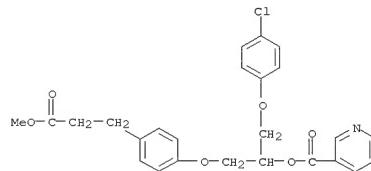
CMF C34 H29 Cl N2 O7 S



L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1976:523579 CAPLUS  
 DOCUMENT NUMBER: 85123579  
 ORIGINAL REFERENCE NO.: 8519829a,19832a  
 TITLE: Substituted 2-propanol derivatives and their  
 nicotinic acid esters  
 INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef;  
 Hofrichter, Gernot; Janiak, P. Stefan  
 PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinger und Co.,  
 Fed. Rep. Ger.  
 SOURCE: Ger. Offen.. 41 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2560689		19760701	DE 1974-2460689	19741220
AB				
4-R <sub>6</sub> C <sub>6</sub> H <sub>4</sub> CH <sub>2</sub> CH(OH)CH <sub>2</sub> ClC <sub>6</sub> H <sub>4</sub> R <sub>1</sub> (I; R = Cl, Me <sub>3</sub> C; R <sub>1</sub> = CO <sub>2</sub> Me, CH:CHCO <sub>2</sub> Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z <sub>1</sub> = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC <sub>6</sub> H <sub>4</sub> CO <sub>2</sub> Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 hr to give 74.4% I (R = Cl, R <sub>1</sub> = CO <sub>2</sub> Me, Z = Z <sub>1</sub> = O) (II). About 120 I were prepared having hypolipemic activity, e.g., I showed 63.8 ± 17.2% serum triglyceride lowering in the rat.				
IT				
60377-85-7P	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)			
RN	60377-85-7 CAPLUS			
CN	3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[(4-(3-methoxy-3-oxopropyl)phenoxy)methyl]ethyl ester (CA INDEX NAME)			



02/29/2008

10-566,291.trn

=>  
Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptajem1625

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*

SESSION RESUMED IN FILE 'CAPLUS' AT 19:00:11 ON 25 FEB 2008

FILE 'CAPLUS' ENTERED AT 19:00:11 ON 25 FEB 2008

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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FULL ESTIMATED COST	81.10	263.35
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
--	------------------	---------------

CA SUBSCRIBER PRICE	-11.20	-11.20
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=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
----------------------	------------------	---------------

FULL ESTIMATED COST	81.58	263.83
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE	-11.20	-11.20
---------------------	--------	--------

FILE 'REGISTRY' ENTERED AT 19:00:31 ON 25 FEB 2008

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DICTIONARY FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

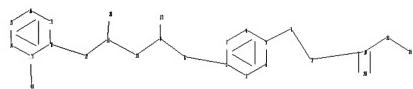
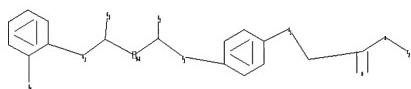
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10-566,291-1b.str



```

chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32 40
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 33 34 35 36 37 38
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 13-40 19-21 19-20
19-32 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 13-40 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 :

```

02/29/2008

10-566,291.trn

G1:C,O,S

G2:H,Ak

G3:C,O

G4:O,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom 34:Atom  
35:Atom 36:Atom 37:Atom 38:Atom 40:CLASS

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 17 sss sam

SAMPLE SEARCH INITIATED 19:01:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5500 TO ITERATE

36.4% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 105553 TO 114447  
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 sss full

FULL SEARCH INITIATED 19:01:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 110244 TO ITERATE

100.0% PROCESSED 110244 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.02

L9 2 SEA SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

02/29/2008

10-566,291.trn

	ENTRY	SESSION
FULL ESTIMATED COST	178.82	442.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	0.00	-11.20

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FILE COVERS 1907 - 25 Feb 2008 VOL 148 ISS 9  
FILE LAST UPDATED: 24 Feb 2008 (20080224/ED)

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=> s 19  
L10 1 L9

=> d ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y



02/29/2008

10-566, 291.trn

=>  
Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptajem1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability

02/29/2008

10-566, 291.trn

NEWS LOGIN      Welcome Banner and News Items  
NEWS IPC8      For general information regarding STN implementation of IPC 8

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DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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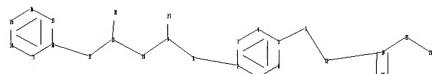
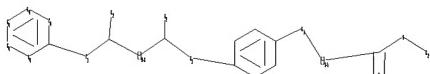
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1c.str



chain nodes :  
 7 8 9 10 11 12 19 20 21 23 27 28 32  
 ring nodes :  
 1 2 3 4 5 6 13 14 15 16 17 18  
 chain bonds :  
 2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
 21-23  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18  
 exact/norm bonds :  
 2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 13-14 13-18 14-15  
 15-16 16-17 17-18 19-21 19-20 19-32 21-23  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 isolated ring systems :  
 containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

G4:C,N

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
 20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L1           STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1           STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

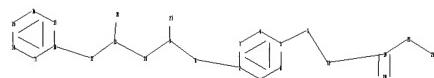
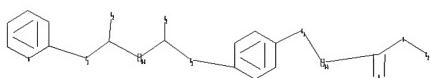
=> s l1 sss sam  
SAMPLE SEARCH INITIATED 14:35:47 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 233220 TO ITERATE

0.9% PROCESSED       2000 ITERATIONS                           0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE    \*\*INCOMPLETE\*\*  
                          BATCH     \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS:    4636151 TO 4692649  
PROJECTED ANSWERS:       0 TO       0

L2           0 SEA SSS SAM L1

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1d.str



chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L3 STRUCTURE uploaded

=> d l3  
L3 HAS NO ANSWERS  
L3 STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam  
SAMPLE SEARCH INITIATED 14:40:23 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 12452 TO ITERATE

16.1% PROCESSED 2000 ITERATIONS 1 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 242354 TO 255726  
PROJECTED ANSWERS: 1 TO 273

L4 1 SEA SSS SAM L3

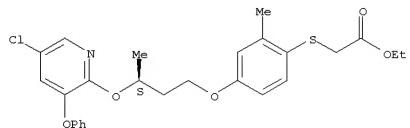
=> d scan

02/29/2008

10-566,291.trn

L4 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Acetic acid, [(4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio)-, ethyl ester (9CI)  
MF C<sub>26</sub> H<sub>28</sub> Cl N O<sub>5</sub> S

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

02/29/2008

10-566,291.trn

=> s 13 sss full  
FULL SEARCH INITIATED 14:40:53 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 249909 TO ITERATE

100.0% PROCESSED 249909 ITERATIONS 96 ANSWERS  
SEARCH TIME: 00.00.03

L5 96 SEA SSS FUL L3

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
182.50 182.71

FILE 'CAPLUS' ENTERED AT 14:41:05 ON 26 FEB 2008  
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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9  
FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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=> s 15  
L6 19 L5

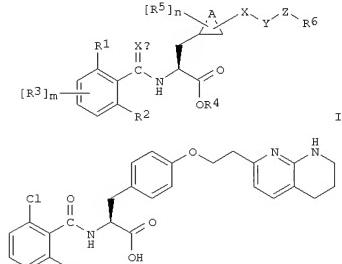
=> d ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 19 ANSWERS - CONTINUE? Y/(N):y

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20071420381 CAPLUS  
 DOCUMENT NUMBER: 148:55383  
 TITLE: Preparation of tyrosine derivatives, especially N-(benzoyl)-O-[2-[(pyridin-2-yl)amino]ethyl]-L-tyrosines and related compounds, as  $\alpha\beta_1$  integrin antagonists for treating solid tumors  
 INVENTOR(S): Arnould, Jean-Claude; Delourve, Benedicte; Ducray, Richard; Lambert-Van Der Brempt, Christine Marie Paul  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 435pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141473	A1	20071213	WO 2007-GB1697	20070510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PR, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TZ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, PT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2008045521	A1	20080221	US 2007-746892	20070510
PRIORITY APPLN. INFO.:			EP 2006-300576	A 20060609
			EP 2006-301245	A 20061212
			EP 2007-300973	A 20070423

OTHER SOURCE(S): MARPAT 148:55383  
 GI

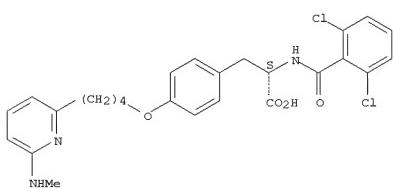
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The invention is related to tyrosine derivs. I [ $X_a = O$ ,  $S$ ;  $R1 = Br$ ,  $Cl$ , cyclopentylmethyl,  $Cl-3$  alkyl, etc.;  $R2$ , each  $R3 =$  independently  $H$ , halo,  $CN$ ,  $OH$ ,  $NH_2$ , ( $un$ )substituted alk(en)ynyl, alkanoylamino,  $N$ -alkylsulfamoyl, etc.; or  $R2R3 = Cl-3$  alkyleneoxy,  $m = 0-3$ ;  $R4 = H$ , ( $un$ )substituted heterocycl, heteroaryl, etc.;  $A = Ph$ , pyridinyl, phenyl, etc.;  $n = 0-4$ ; each  $R5 =$  independently halo,  $OH$ ,  $SH$ , carbamoyl, sulfamoyl, alkylsulfonyl, alkyanoamino, etc.; or  $2R5$ 's optionally form C1-3 alkyleneoxy;  $X =$  a bond,  $O$ ,  $S$ ,  $SO_2$ ,  $CO$ , ( $un$ )substituted  $CH_2CH$ , etc.;  $Y =$  ( $un$ )substituted alkylene,  $C_6$ alk(en)ylene, heterocycl;  $Z =$  bond,  $O$ ,  $S$ , ( $un$ )substituted alkylene,  $C_6$ tpbnd.C, etc.]; and their pharmaceutically acceptable salts and prodrugs, to processes for preparing them, and to pharmaceutical compns. containing them for use as  $\alpha\beta_1$  integrin antagonists in the treatment in warm-blooded animals such as humans of diseases that have a significant angiogenesis or vascular component such as for treatment of solid tumors. The invention is also related to  $\alpha\beta_1$  antagonists that also exhibit appropriate selectivity profile(s) against other integrins. Thus, etherification of tert-Bu-7-(2-hydroxyethyl)-3,4-dihydro-1,8-naphthyridine-1(2H)-carboxylate with Me N-(2,6-dichlorobenzoyl)-L-tyrosinate (preparation given), cleavage of the tert-butoxycarbonyl group and saponification of the Me ester gave tyrosine derivative II. The effects of compds. I as  $\alpha\beta_1$  integrin inhibitors were tested (e.g., the invention compound II had IC50 values of 0.0004  $\mu$ M in a binding assay and 0.002  $\mu$ M in an adhesion assay). IT 959988-78-4P 959990-47-7P 959990-48-8P

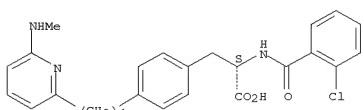
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of tyrosine derivs. as  $\alpha\beta_1$  integrin antagonists)  
 RN 959988-78-4 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-(6-(methylamino)-2-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



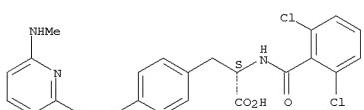
RN 959990-47-7 CAPLUS  
 CN L-Phenylalanine, N-(2-chlorobenzoyl)-4-[4-(6-(methylamino)-2-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



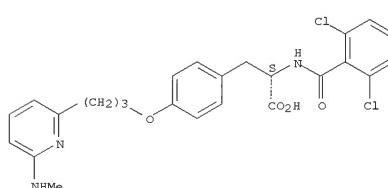
RN 959990-48-8 CAPLUS  
 CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[4-(6-(methylamino)-2-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 959991-72-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (intermediate; preparation of tyrosine derivs. as  $\alpha\beta_1$  integrin antagonists)  
 RN 959991-72-1 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-(6-(methylamino)-2-pyridinyl)propyl]- (CA INDEX NAME)

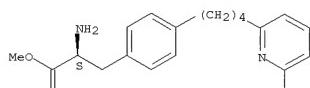
Absolute stereochemistry.



IT 959992-85-9P  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of tyrosine derivs. as  $\alpha\beta_1$  integrin antagonists)

RN 959992-85-9 CAPLUS  
 CN L-Phenylalanine, 4-[4-(6-(methylamino)-2-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



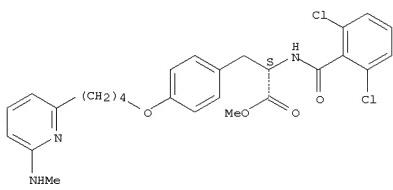
IT 959991-28-7P 959991-29-8P 959991-30-1P  
 959991-33-4P 959992-87-1P 959992-88-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of tyrosine derivs. as  $\alpha\beta_1$  integrin antagonists)

RN 959991-28-7 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-(6-(methylamino)-2-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

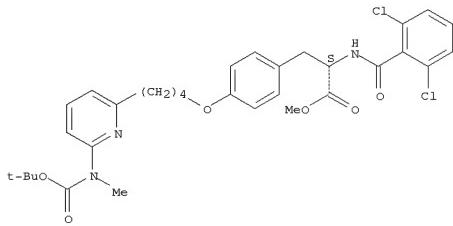
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RN 959991-29-8 CAPLUS

CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-{6-[(1,1-dimethylethoxy)carbonyl]methylamino}-2-pyridinyl]butyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



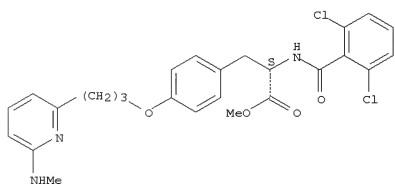
RN 959991-30-1 CAPLUS

CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-{6-(methylamino)-2-pyridinyl}propyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

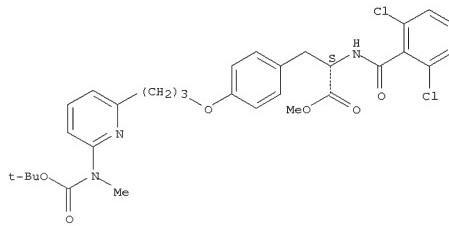
(Continued)



RN 959991-33-4 CAPLUS

CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-{6-[(1,1-dimethylethoxy)carbonyl]methylamino}-2-pyridinyl]propyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

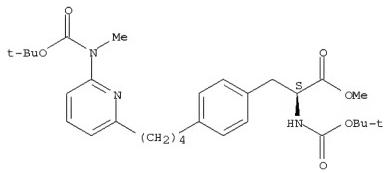


RN 959992-87-1 CAPLUS

CN L-Phenylalanine, N-[{(1,1-dimethylethoxy)carbonyl]-4-[4-{6-[(1,1-dimethylethoxy)carbonyl]methylamino}-2-pyridinyl]butyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

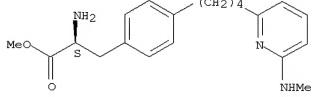
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959992-88-2 CAPLUS

CN L-Phenylalanine, 4-[4-{6-(methylamino)-2-pyridinyl]butyl-, methyl ester, hydrochloride (1:3) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:452778 CAPLUS

DOCUMENT NUMBER: 143:145781

TITLE: Preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: Evidence for increased hydroxyapatite affinity

AUTHOR(S): Hauze, Diane B.; Kees, Kenneth L.; Mann, Charles W.; Fletcher, Horace III; Murrills, Richard; Matteo, Jeanne; Bex, Frederick; Bhat, Bheem; Coleburn, Valerie

CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426-3930, USA

SOURCE: Letters in Drug Design &amp; Discovery (2005), 2(3), 201-204

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

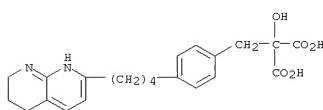
AB A series of tartronic acid analogs of a non-peptide RGD mimetic were prepared and evaluated both for antagonism of the vitronectin receptor and

for affinity to hydroxyapatite, the main inorg. component of bone matrix. The hydroxy bis acid unit was found to be optimal for both receptor binding and hydroxyapatite affinity, while the N-terminus affected only receptor binding affinity.

IT 860297-91-2P RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: evidence for increased hydroxyapatite affinity)

RN 860297-91-2 CAPLUS CN Propanediolic acid, hydroxy[14-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

02/29/2008

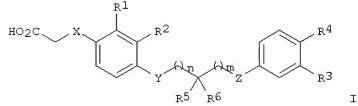
10-566,291.trn

L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005300386 CAPLUS  
 DOCUMENT NUMBER: 142:373549  
 TITLE: Preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids PPAR- $\delta$  agonists for the treatment of dyslipidemia  
 INVENTOR(S): Kuo, Gee-hong; Zhang, Rui; Wang, Aihua; Deangelis, Alan R.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.  
 SOURCE: PCT Int. Appl., 134 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DRTE
WO 2005030694	A1	20050407	WO 2004-US30188	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004276231	A1	20050407	AU 2004-276231	20040916
CA 2539403	A1	20050407	CA 2004-2539403	20040916
US 2005107469	A1	20050519	US 2004-342563	20040916
EP 1670744	A1	20060621	EP 2004-784146	20040916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004014534	A	20061107	BR 2004-14534	20040916
CN 182524	A	20061220	CN 2004-8003738	20040916
JP 2007505907	T	20070315	JP 2006-526992	20040916
MX 2006PA03180	A	20061120	MX 2006-FA3180	20060320
IN 2006KN00642	A	20070803	IN 2006-KN642	20060320
NO 2006001711	A	20060619	NO 2006-1711	20060419
PRIORITY APPLN. INFO.:			US 2003-504089P	P 20030919
		WO 2004-US30188		W 20040916

OTHER SOURCE(S): CASREACT 142:373549; MARPAT 142:373549  
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L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

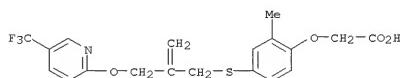


AB Title compds. I [X = bond, S, O; Y = S, O; Z = O, CH<sub>2</sub>, provided when Y = O, Z = O; R1-2 = H, alkyl, etc.; R3-4 = H, halo, CN, etc.; R5-6 = halo, Ph, alky, etc.; n, m = 0-2] are prepared. For instance,

[2-Methyl-4-[[2-(4-trifluoromethylphenoxy)methyl]allyl]sulfanyl]phenoxy]acetate (II) is prepared in 5 steps from Et (2-methylphenoxy)acetate, 4-trifluoromethylphenol and 2-methylene-1,3-propanediol. II has EC50 = 13.2-34.1 nM for PPAR- $\delta$ . I are useful for the treatment of, for example, dyslipidemia.

IT 849441-77-6  
 RL PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids PPAR- $\delta$  agonists for treatment of dyslipidemia)

RN 849441-77-6 CAPLUS  
 CN Acetic acid, [2-methyl-4-[[2-[[5-(trifluoromethyl)-2-pyridinyl]oxy]methyl]-2-propenyl]thio]phenoxy]-(9CI) (CA INDEX NAME)



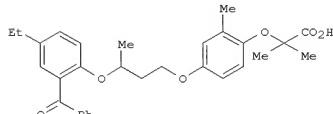
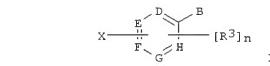
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005182607 CAPLUS  
 DOCUMENT NUMBER: 142:279949  
 TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winerroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schuelenburg Eli Lilly and Company, USA  
 PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 603 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
		WO 2004-US24381		W 20040817

OTHER SOURCE(S): MARPAT 142:279949  
 GI

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [wherein B = -Al-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein Al and R4 or A1 and R5 form a 3- to 6-membered carbocycl when A1 = C; A2, A3 = independently CH2, O, S, D, E, F, G, H = independently CH2, O, S, D, or at least one of D, E, F, G, H is N and each others being CH2 or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH2 or substituted C bearing A2 and R3; Q = CO2H and derivatives, carboxamido, sulfonamido, etc.];

Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;

R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy, R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid

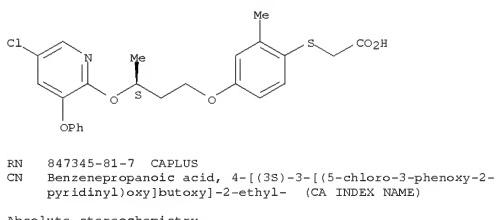
II. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu$ M for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 847345-17-9P, (R)-3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847345-23-7P, (R)-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid 847345-75-9P, 3-[4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-79-3P, [(4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy)-2-methylphenyl]sulfanyl]acetic acid 847345-81-7P, 3-[4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-ethylphenyl]propionic acid 847345-84-0P, 3-[4-[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 methylphenyl]propionic acid 847345-88-4P, [(4-[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy)-2-methylphenyl)sulfanyl]acetic acid  
 847345-90-8P, 3-[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-93-1P,  
 [(4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy)-2-methylphenyl]acetic acid 847345-95-3P,  
 3-[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]propionic acid 847346-00-3P,  
 [(2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy)phenyl]acetic acid 847346-05-8P,  
 3-[2-Ethyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]propionic acid 847346-12-3P,  
 3-[4-[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid  
 847346-09-2P, 847346-10-5P  
 847346-11-6P, 3-[2-Methyl-4-[(S)-3-(5-trifluoromethyl-  
 [3,3'']bipyridinyl-2-yloxy)butyl]oxy]phenyl]propionic acid  
 847346-14-9P, 3-[4-[(S)-3-(5-Chloro-[3,3'']bipyridinyl-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847346-17-2P,  
 3-[2-Ethyl-4-[(S)-3-(5-trifluoromethyl-  
 [3,3'']bipyridinyl-2-yloxy)butyl]oxy]phenyl]propionic acid 847348-12-3P,  
 (R)-3-[4-[(S)-3-(5-Chloro-3-phenoxy-5-ethylpyridin-2-yloxy)butoxyl]2-methylphenyl]propionic acid  
 PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as  
 PPAR agonists  
 RN 847345-17-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

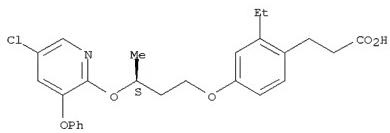
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



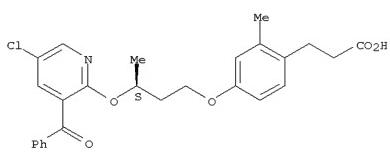
RN 847345-81-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-84-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

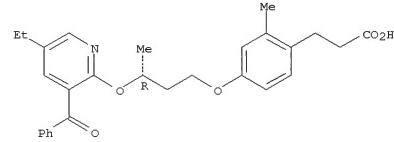
Absolute stereochemistry.



RN 847345-88-4 CAPLUS  
 CN Acetic acid, [(4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

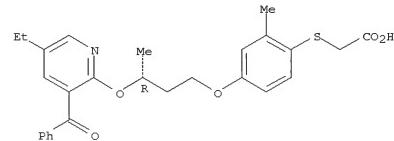
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



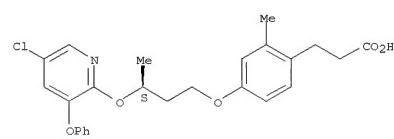
RN 847345-23-7 CAPLUS  
 CN Acetic acid, [(4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-75-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

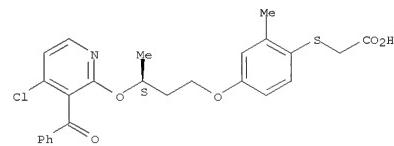
Absolute stereochemistry.



RN 847345-79-3 CAPLUS  
 CN Acetic acid, [(4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

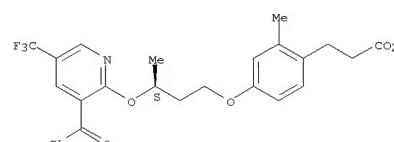
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



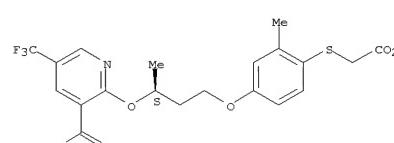
RN 847345-90-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-93-1 CAPLUS  
 CN Acetic acid, [(4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

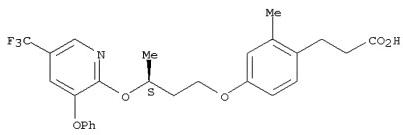


RN 847345-95-3 CAPLUS  
 CN Benzenepropanoic acid,  
 2-methyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

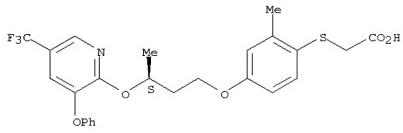
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



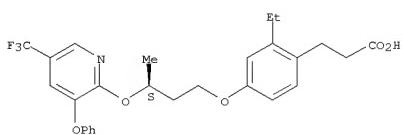
RN 847345-98-6 CAPLUS  
CN Acetic acid, [(2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-00-3 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

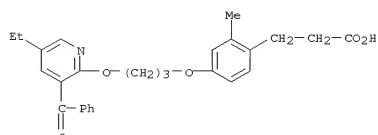
Absolute stereochemistry.



RN 847346-05-8 CAPLUS  
CN Benzenepropanoic acid, 4-[3-(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

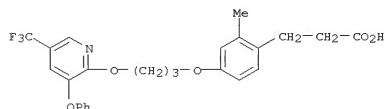
(Continued)



RN 847346-09-2 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[[3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-08-1  
CMF C25 H24 F3 N O5



CM 2

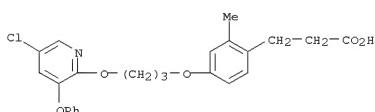
CRN 76-05-1  
CMF C2 H F3 O2



RN 847346-10-5 CAPLUS  
CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

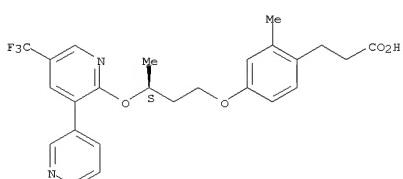
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

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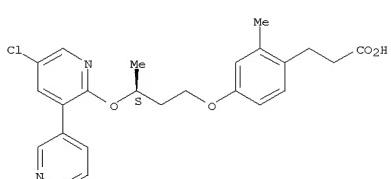
RN 847346-11-6 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-14-9 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro[3,3'-bipyridin]-2-yl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

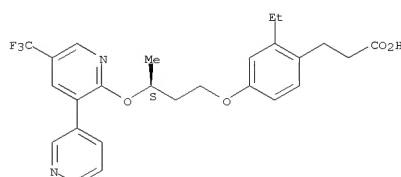


RN 847346-17-2 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

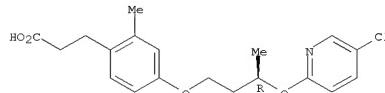
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



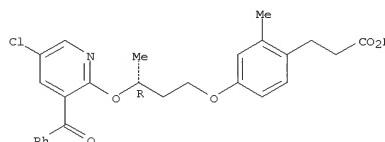
RN 847348-12-3 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-00-5 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

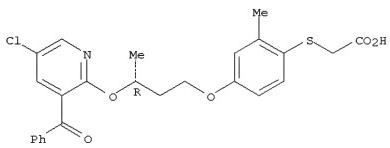


RN 847352-01-6 CAPLUS  
CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

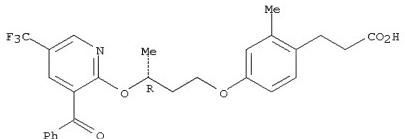
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



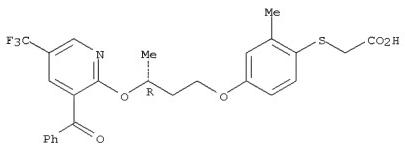
RN 847352-02-7 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

## Absolute stereochemistry.



RN 847352-03-8 CAPLUS  
CN Acetic acid, [(4-((3R)-3-[(3-benzoyl-1-(trifluoromethyl)-2-pyridinyl)oxy]butoxy)-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

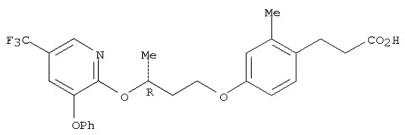
### Absolute stereochemistry.



RN 847352-04-9 CAPLUS  
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

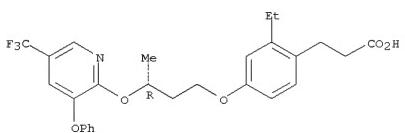
## Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-08-3 CAPLUS  
CN Benzenepropanoic acid,  
2-ethyl-4-[(3R)-3-[[3-*phenoxy*-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

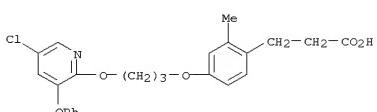
### Absolute stereochemistry



RN 847352-09-4 CAPLUS  
CN Benzenepropanoic acid,  
4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-  
2-methyl- trifluoroacetate (9CI) (CA INDEX NAME)

1

CRN 847346-10-5  
CMF C24 H24 Cl N O5



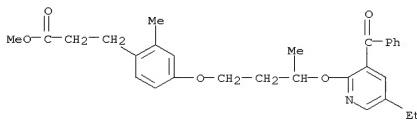
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CRN 76-05-1  
CMF C2 H F3 02

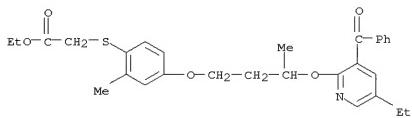
Page 43

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (Reactant or reagent)  
 (intermediate; prepn. of alkoxyphenylalkanoic acids and analogs as  
 PPAR agonists)

RN 847345-22-6 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

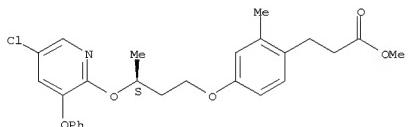


RN 847345-24-8 CAPLUS  
 CN Acetic acid, [[4-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



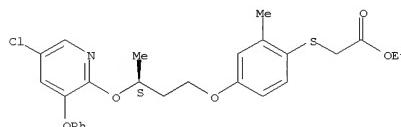
RN 847345-78-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



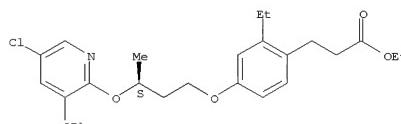
RN 847345-80-6 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



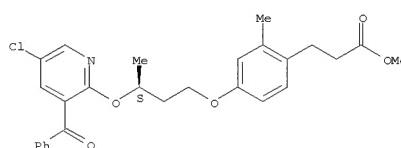
RN 847345-82-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



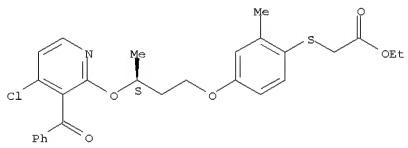
RN 847345-87-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



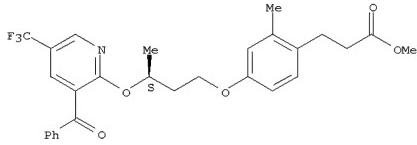
RN 847345-89-5 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



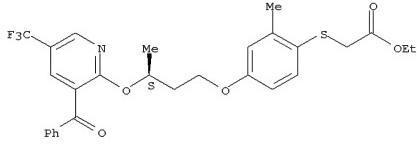
RN 847345-92-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-94-2 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

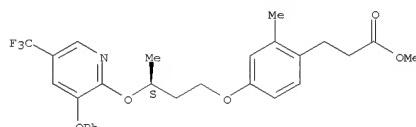
Absolute stereochemistry.



RN 847345-97-5 CAPLUS  
 CN Benzenepropanoic acid,  
 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

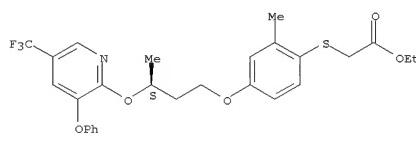
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



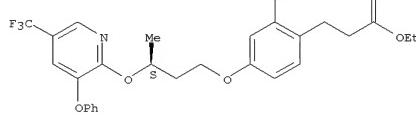
RN 847345-99-7 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



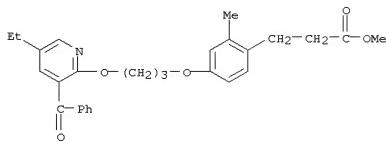
RN 847346-01-4 CAPLUS  
 CN Benzenepropanoic acid,  
 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



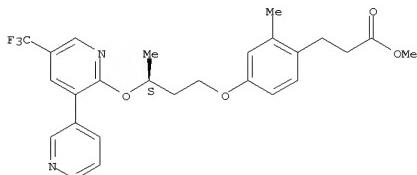
RN 847346-06-9 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



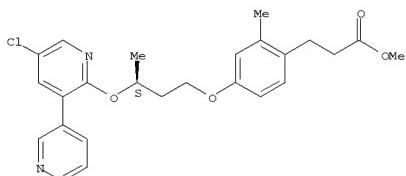
RN 847346-13-8 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-16-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro[3,3'-bipyridin]-2-yl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

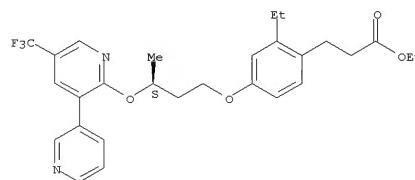
Absolute stereochemistry.



L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 847346-18-3 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:511824 CAPLUS  
 DOCUMENT NUMBER: 139:94263  
 TITLE: Radiopharmaceuticals for imaging infection and inflammation  
 INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Liu, Shuang; Rajopadhye, Milind;  
 PATENT ASSIGNEE(S): Sworin, Michael USA  
 SOURCE: U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S. 6,416,733.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003124053	A1	20030703	US 2002-151663	20020520
US 6416733	B1	20020709	US 1997-943659	19971003
WO 200309810	A2	20031204	WO 2003-US16008	20030520
WO 200309810	A3	20040429		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG				
AU 2003237903	A1	20031212	AU 2003-237903	20030520
PRIORITY APPLN. INFO.:			US 1996-27955P	P 19961007
			US 1997-943659	A2 19971003
			US 2002-151663	A 20020520
			WO 2003-US16008	W 20030520

OTHER SOURCE(S): MARPAT 139:94263  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Claimed are compds. capable of direct transformation into a radiopharmaceutical having a binding affinity for the LTB4 receptor of <1000 nm. The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of

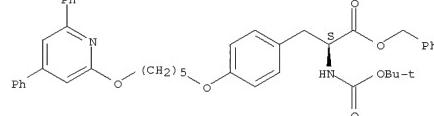
L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I was used to prep. 99mTc(tricine) (TPPTS) (4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[(6-diazienido-3-pyridinyl)carbonyl]amino]hexyl]oxy)phenol (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models. Also, indium-111 complexes, e.g., of DOTA deriv. II (R = CH2CH2CO2H),

were prepd. as claimed radiopharmaceuticals.  
 IT 206266-68-4P, L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester  
 206266-69-5P, L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, 206266-71-9P,  
 L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate for preparation of leukotriene antagonist ligands and their

99mTc complexes for imaging and treatment of infection and inflammation)

RN 206266-68-4 CAPLUS  
 CN L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

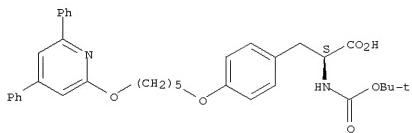
Absolute stereochemistry.



RN 206266-69-5 CAPLUS  
 CN L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

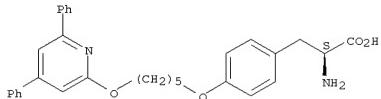


RN 206266-71-9 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8  
 CMF C31 H32 N2 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2

IT 206263-48-1P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[6-[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation as leukotriene antagonist ligands for imaging and treatment of

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:964313 CAPLUS

DOCUMENT NUMBER: 138:55745

TITLE: Preparation of substituted 3-phenyl-2-alkoxypyropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Brooks, Dawn Alisa; Marshawsky, Alan M.; Montrose-Rafaezadeh, Chahrzad; Reifeil-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferrito Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Ortega Finger, Maria; Ardeky, Robert J.; Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated

SOURCE: PCT Int. Appl., 458 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

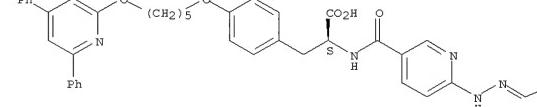
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100813	A2	20021219	WO 2002-US16950	20020530
WO 2002100813	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
FW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2449256	A1	20021219	CA 2002-2449256	20020530
AU 2002312147	A1	20021223	AU 2002-312147	20020530
EE 200400001	A	20040216	EE 2004-1	20020530
EP 1392637	A2	20040303	EP 2002-739503	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010190	A	20040406	BR 2002-10190	20020530
CN 1543451	A	20041103	CN 2002-811530	20020530
HU 2004000280	A2	20050128	HU 2004-280	20020530
HU 2004000280	A3	20060130		
JP 2005509590	T	20050414	JP 2003-503584	20020530
NZ 5239351	A	20060127	NZ 2002-529351	20020530
IN 2003KN01456	A	20060414	IN 2003-KN1456	20031110
ZA 2003008863	A	20050214	ZA 2003-8863	20031113
US 2005020684	A1	20050127	US 2003-479262	20031201
US 7192982	B2	20070320		
MX 2003PA11201	A	20040226	MX 2003-PA11201	20031204
US 2007276138	A1	20071129	US 2006-637223	20061211
PRIORITY APPLN. INFO.:			US 2001-297144P	P 20010607
			WO 2002-US16950	W 20020530
			US 2003-479262	A1 20031201

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

infection and inflammation)  
 RN 206263-48-1 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[6-[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.

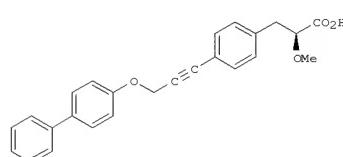
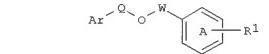
PAGE 1-A



PAGE 1-B

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 OTHER SOURCE(S): MARPAT 138:55745

GI



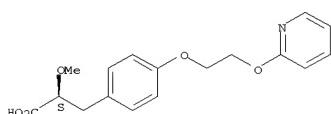
AB Title compds. I [wherein Ar = (un)substituted aryl; O = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C=CH2, C=C(CH3)2, C=C(CH3)3, C(=NOH), S, SO, SO2, or CHNF7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkynitrile, carboxamide, or (un)sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSO4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxypropylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonfonyloxyphenyl derivative (97%).

Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TFA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPARα or PPARγ co-agonists (no data). Thus, they are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

IT 477984-04-6P, (2S)-2-Methoxy-3-[4-[2-(pyridin-2-

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR modulator; prepn. of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)  
 RN 477984-04-6 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[2-(2-pyridinylloxy)ethoxy]-, ( $\alpha$ S)- (CA INDEX NAME)

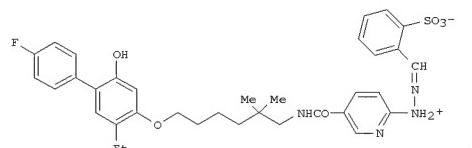
Absolute stereochemistry.



L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:516582 CAPLUS  
 DOCUMENT NUMBER: 137:87495  
 TITLE: Radiopharmaceuticals for imaging infection and inflammation  
 INVENTOR(S): Barrett, John A.; Cheesman, Edward H.; Harris, Thomas D.; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael Bristol-Myers Squibb Pharma Company, USA  
 PATENT ASSIGNEE(S): SOURCE:  
 DOCUMENT TYPE: U.S., 128 pp.  
 LANGUAGE: Patent English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6416723	B1	20020709	US 1997-943659	19971003
US 2003007927	A1	20030109	US 2002-109374	20020327
US 2003124053	A1	20030703	US 2002-151663	20020520
			US 1996-27955P	P 19961007
			US 1997-943659	A1 19971003

OTHER SOURCE(S): MARPAT 137:87495  
 GI



AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind *in vivo* to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases associated with infection and inflammation. Thus, the leukotriene antagonist (I) was prepared and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compound I was used to prepare 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-

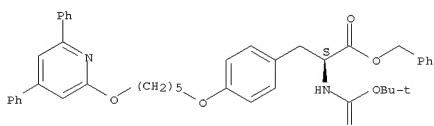
L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 dimethyl-6-[[6-diazenido-3-pyridinyl]carbonyl]hexyloxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT 206266-68-4P, L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]-, phenylmethyl ester  
 206266-69-5P, L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]- 206266-71-9P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]-, mono(trifluoroacetate)]  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)

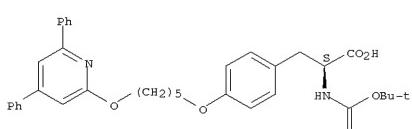
RN 206266-68-4 CAPLUS  
 CN L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 206266-69-5 CAPLUS  
 CN L-Tyrosine, N-[1,1-dimethylethoxy]carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]- (CA INDEX NAME)

Absolute stereochemistry.



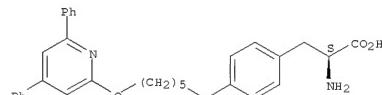
RN 206266-71-9 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8  
 CMF C31 H32 N2 O4

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



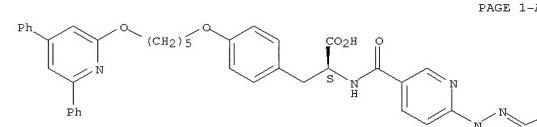
IT 206263-48-1P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]-N-[16-[(2-sulfonylphenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

RN 206263-48-1 CAPLUS

CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxypentyl]-N-[16-[(2-sulfonylphenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



PAGE 1-A

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:353325 CAPLUS  
 DOCUMENT NUMBER: 136:362949  
 TITLE: Technetium-99m and indium-111 complexes for simultaneous dual isotope imaging of perfusion and inflammation  
 INVENTOR(S): Carpenter, Alan P., Jr.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA  
 SOURCE: PCT Int. Appl., 439 pp.  
 CODEN: PIXHD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036173	A2	20020510	WO 2001-US46153	20011102
WO 2002036173	A3	20020926		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GN, KE, LS, MW, ME, SD, SL, SZ, TE, UG, GW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QM, ML, MR, NE, SN, TD, TG				
CA 2427911	A1	20020510	CA 2001-2427911	20011102
AU 2002030576	A	20020515	AU 2002-30576	20011102
US 2003003049	A1	20030102	US 2001-2359	20011102
US 6770259	B2	20040803		
EP 1347784	A2	20030101	EP 2001-990010	20011102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512382	T	20040422	JP 2002-538982	20011102
HU 2004000758	A2	20040728	HU 2004-758	20011102
HU 2004000758	A3	20050228		
US 2004247523	A1	20041209	US 2004-865457	20040610
PRIORITY APPLN. INFO.:			US 2000-245554P	P 20001103
			US 2001-2359	A3 20011102
			WO 2001-US46153	W 20011102

OTHER SOURCE(S): MARPAT 136:362949  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

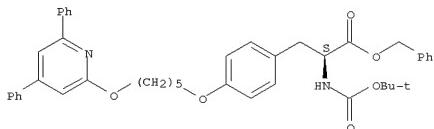
AB The present invention provides novel diagnostic compns., e.g., <sup>99m</sup>Tc complex of I or <sup>111</sup>In complex of II, comprising a radiolabeled LTB4

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 binding agent and a radiolabeled perfusion imaging agent, wherein the radiolabeled agents have spectrally separable energies, diagnostic kits comprising such compns., and methods of concurrent imaging in a mammal comprising administering a radiolabeled LTB4 binding agent and a radiolabeled perfusion imaging agent, and concurrently detecting the radiolabeled LTB4 binding agent bound at the LTB4 receptor and the radiolabeled perfusion imaging agent. The method is for use in in concurrent imaging sites of inflammation and organ perfusion.

IT 206266-69-4P 206266-71-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate for preparation of leukotriene antagonist ligands and their  
<sup>99m</sup>Tc complexes for simultaneous dual isotope imaging of perfusion and inflammation)

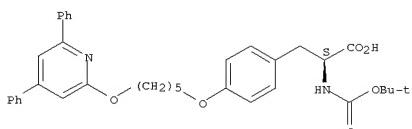
RN 206266-68-4 CAPLUS  
 CN L-Tyrosine, N-[{(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 206266-69-5 CAPLUS  
 CN L-Tyrosine, N-[{(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.



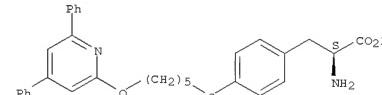
RN 206266-71-9 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8  
 CMF C31 H32 N2 O4

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



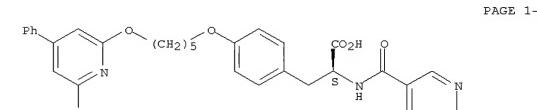
CM 2

CRN 76-05-1  
 CMF C2 H F3 O2

IT 206263-48-1P  
 RL: BSL (Biological study, unclassified); DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation as leukotriene antagonist ligands for simultaneous dual isotope imaging of perfusion and inflammation)

RN 206263-48-1 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[6-[(2-sulfonylphenyl)methylene]hydrazino]-3-pyridinyl]carbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



PAGE 1-A

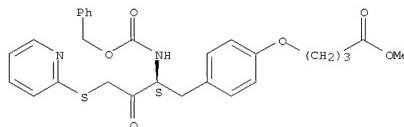
L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:893596 CAPLUS  
 DOCUMENT NUMBER: 136:167655  
 TITLE: Polymer-supported approach for solution-phase synthesis of cysteine trap protease inhibitors: procedure for straightforward optimization of the P1-P1' pocket  
 AUTHOR(S): Yadav-Bhatnagar, Neerja; Desjonquieres, Nicolas; Mauger, Jacques  
 CORPORATE SOURCE: Automated Synthesis & New Technologies, Aventis Pharma, Romainville, F-93325, Fr  
 SOURCE: Journal of Combinatorial Chemistry (2002), 4(1), 49-55  
 CODEN: JCCHFF; ISSN: 1520-4766  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:167655  
 AB Peptide-based reversible and irreversible cysteine proteases inhibitors are well reported in the literature. Many of these compds. have an electrophilic carbonyl group as a cysteine trap in the place of a scissile amide moiety of the natural substrate. As a common mechanism strategy, we have designed a probe library of a cysteine trap for rapid optimization of P1-P1' pockets of different cysteine proteases. The synthesis of this library using a straightforward methodol. based on polymer-supported reagents and scavengers to avoid tedious purification steps has been achieved.  
 For the selective monobromination of diazo ketones, preparation of a new supported reagent, piperidinoaminomethylpolystyrene hydrobromide, is also described.  
 IT 396727-15-4P  
 RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation)  
 (synthesis of library of amino acid derivs. as cysteine trap protease inhibitors by nucleophilic substitution and using polymer-supported reagents)  
 RN 396727-15-4 CAPLUS  
 CN Butanoic acid, 4-[4-[(2S)-3-oxo-2-[(phenylmethoxy)carbonyl]amino]-4-(2-pyridinylothio)butyl]phenoxy-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

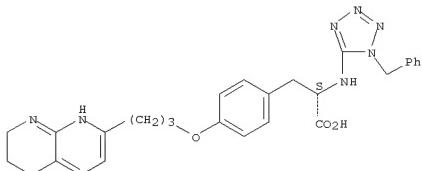
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:833317 CAPLUS  
 DOCUMENT NUMBER: 135:358164  
 TITLE: Preparation of amino acid derivatives as novel vitronectin receptor antagonists  
 INVENTOR(S): Demassej, Jacques; Gourvest, Jean-Francois; Ruxer, Jean-Marie; Weston, John Bernard; Lefrancois, Jean-Michel  
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.  
 SOURCE: PCT Int. Appl., 90 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085729	A1	20011115	WO 2001-FR1357	20010504
W: AE, AG, AL, AU, BA, BB, BG, BR, BY, CA, CN, CO, CR, CU, CZ, DM, DZ, EG, GD, GE, HK, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TR, TZ, UG, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2808798	A1	20011116	FR 2000-5859	20000509
CA 2408293	A1	20011115	CA 2001-2408293	20010504
EP 1282621	A1	20030212	EP 2001-931789	20010504
EP 1282621	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003532732	T	20031105	JP 2001-582330	20010504
AT 304012	T	20050915	AT 2001-931789	20010504
ES 2249431	T3	20060401	ES 2001-931789	20010504
US 2004225111	A1	20041111	US 2002-275409	20021104
US 6838453	B2	20050104		
MX 2002PA11043	A	20030310	MX 2002-PA11043	20021108
PRIORITY APPLN. INFO.:			FR 2000-5859	A 20000509
			WO 2001-FR1357	W 20010504

OTHER SOURCE(S): MARPAT 135:358164  
 AB Vitronectin receptor (VnR) antagonist compds. R1-Y-A-B-D-E-F-G [R1 is R3C(:NR2)NR2, R2R3NC(:NR2), R2R3NC(:NR2)NR2 (R2, R3 = H, alkyl, haloalkyl, cycloalkyl, aryl, NH<sub>2</sub>, etc.); Y is a bond or NR2; A is a bond, alkylene, NR2CONR2, S, C.tpbond.C, CH(OH), etc., which may be substituted by alkylene; D, F are a bond, alkylene, O, NR2, CONR2, NR2CO, NR2CONR2, S, C.tpbond.C, CH(OH), etc., which may be substituted by alkylene; E is a mono- or polycyclic ring system; G is CR4(NHR5)(CH2)<sub>q</sub>R6 (q = 0 or 1; R4 is H, F, alkyl, etc.; R5 is a mono- or polycyclic ring system; R6 is C(O)R9, C(S)R9, S(O)nR9, P(O)R9, where n = 1 or 2 and R9 = OH, alkoxy, aryloxy, etc., or a heterocyclic ring)] or their physiol. acceptable salts and prodrugs were prepared for use in pharmaceutical compns. Thus, N-(1-benzyl-1H-tetrazol-5-yl)-O-[3-(5,6,7,8-tetrahydro-1,8-

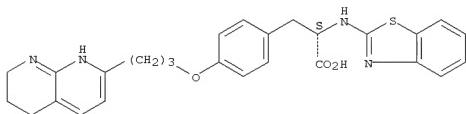
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 naphthyridin-2-yl)propyl]-L-tyrosine, prep'd. by a multistep procedure  
 from  
 N-(benzyloxycarbonyl)-L-tyrosine Et ester,  
 2-(3-bromopropyl)-2-methyl-1,3-dioxolane, 2-amino-3-pyridinecarboxaldehyde, and 1-benzyl-5-fluoro-1H-tetrazole, showed K<sub>i</sub>/V<sub>nR</sub> IC<sub>50</sub> = 9 nM.  
 IT 372135-88-1P 372135-89-2P 372135-90-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of amino acid derivs. as novel vitronectin receptor antagonists)  
 RN 372135-88-1 CAPLUS  
 CN L-Tyrosine,  
 N-[1-(phenylmethyl)-1H-tetrazol-5-yl]-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372135-89-2 CAPLUS  
 CN L-Tyrosine,  
 N-2-benzothiazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

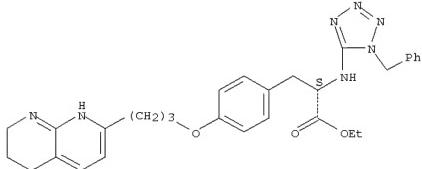
Absolute stereochemistry.



RN 372135-90-5 CAPLUS  
 CN L-Tyrosine, N-2-benzoxazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

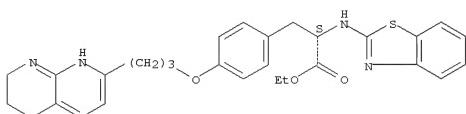
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 372136-41-9 CAPLUS  
 CN L-Tyrosine,  
 N-[1-(phenylmethyl)-1H-tetrazol-5-yl]-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



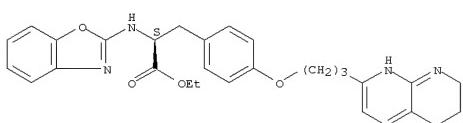
RN 372136-42-0 CAPLUS  
 CN L-Tyrosine,  
 N-2-benzothiazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



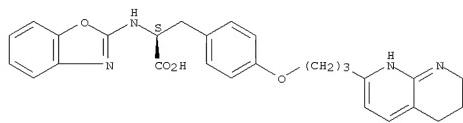
RN 372136-43-1 CAPLUS  
 CN L-Tyrosine, N-2-benzoxazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



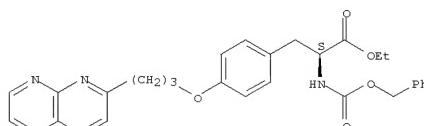
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



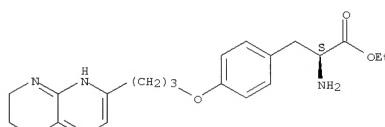
IT 372136-39-5P 372136-40-8P 372136-41-9P  
 372136-42-0P 372136-43-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of amino acid derivs. as novel vitronectin receptor antagonists)  
 RN 372136-39-5 CAPLUS  
 CN L-Tyrosine, O-[3-(1,8-naphthyridin-2-yl)propyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 372136-40-8 CAPLUS  
 CN L-Tyrosine, O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

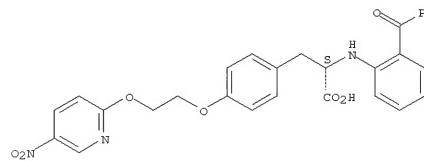


L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:713389 CAPLUS  
 DOCUMENT NUMBER: 130:104774  
 TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPAR<sub>Y</sub> Agonists.  
 2. Structure-Activity Relationship and Optimization  
 of the Phenyl Alkyl Ether Moiety  
 AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G. Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.; Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen; Lenhard, James M.; Orband-Miller, Lisa A.; Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin  
 CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA  
 SOURCE: Journal of Medicinal Chemistry (1998), 41(25), 5037-5054  
 PUBLISHER: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: American Chemical Society  
 LANGUAGE: English  
 AB We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propanoic acid (I) (PPAR<sub>Y</sub> pKi = 8.94, PPAR<sub>Y</sub> pEC50 = 9.47) as a potent and selective PPAR<sub>Y</sub> agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPAR<sub>Y</sub> agonists with improved aqueous solubility. Specifically, replacement of the Ph ring of the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-[4-[2-(5-methyl-2-pyridin-4-yl)oxazol-4-yl)ethoxy]phenyl]propionic acid (PPAR<sub>Y</sub> pKi = 8.85, PPAR<sub>Y</sub> pEC50 = 8.74) or a 4-methylpiperazine to give (2S)-((2-benzoylphenyl)amino)-3-[4-[2-(5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl)ethoxy]phenyl]propionic acid (PPAR<sub>Y</sub> pKi = 8.66, PPAR<sub>Y</sub> pEC50 = 8.89) provided two potent and selective PPAR<sub>Y</sub> agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPAR<sub>Y</sub> ligands (PPAR<sub>Y</sub> pKi's 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPAR<sub>Y</sub> binding, functional

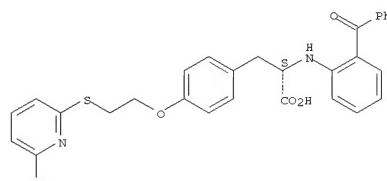
L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 activity, selectivity, and aq. solv.  
 IT 196809-64-OP 196809-76-4P 219597-80-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation, optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine analogs as PPAR<sub>Y</sub> agonists)  
 RN 196809-64-0 CAPLUS  
 CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-(CA INDEX NAME)

Absolute stereochemistry.



RN 196809-76-4 CAPLUS  
 CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-(CA INDEX NAME)

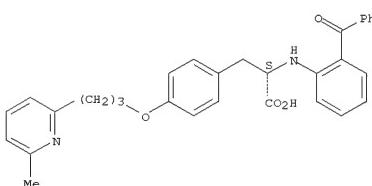
Absolute stereochemistry.



RN 219597-80-5 CAPLUS  
 CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-(6-methoxy-2-pyridinyl)propyl]-(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



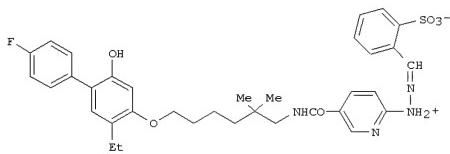
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:239130 CAPLUS  
 DOCUMENT NUMBER: 128:303347  
 TITLE: Radiopharmaceuticals for imaging infection and inflammation  
 INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Rajopadhye, Milind  
 PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA  
 SOURCE: PCT Int. Appl., 352 pp.  
 CODEN: PIXXXD  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815295	A2	19980416	WO 1997-US18096	19971006
WO 9815295	A3	19980827		
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MK, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE				
CA 2267767	A1	19980416	CA 1997-2267767	19971006
AU 9852381	A	19980505	AU 1998-52381	19971006
AU 736481	B2	20010726		
BR 9712281	A	19990831	BR 1997-12281	19971006
CH 1239895	A	19991129	CN 1997-180342	19971006
EP 999856	A2	20000517	EP 1997-947259	19971006
EP 999856	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, FI				
HU 2000001167	A2	20000628	HU 2000-1167	19971006
HU 2000001167	A3	20020328		
NZ 335539	A	20010629	NZ 1997-335539	19971006
JP 2001525796	T	20011211	JP 1998-517680	19971006
EP 1293214	A2	20030319	EP 2002-79932	19971006
EP 1293214	A3	20030326		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, FI				
AT 240123	T	20030515	AT 1997-947259	19971006
ES 2198010	T3	20040116	ES 1997-947259	19971006
ZA 9708956	A	19990416	ZA 1997-8956	19971007
KR 2000048922	A	20000725	KR 1999-702953	19990406
MX 9903234	A	20001130	MX 1999-3234	19990407
AU 758249	B2	20030320	AU 2001-48113	20010530
PRIORITY APPLN. INFO.:			US 1996-726507	A 19961007
			AU 1998-52381	A3 19971006
			EP 1997-947259	A3 19971006
			WO 1997-US18096	W 19971006

OTHER SOURCE(S): MARPAT 128:303347  
 GI

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



**AB** The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind *in vivo* to the leukotriene B<sub>4</sub> (LTB<sub>4</sub>) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases associated with infection and inflammation. Thus, the leukotriene antagonist (I) was prepared and shown

to be active in an LTB<sub>4</sub> human neutrophil (PMN) binding assay. Compound I was used to prepare <sup>99m</sup>Tc(tricine)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[(16-diazido-3-pyridinyl)carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

**IT** 206266-68-4P 206266-69-5P 206266-71-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of leukotriene antagonist ligands and their

<sup>99m</sup>Tc complexes for imaging and treatment of infection and

inflammation)

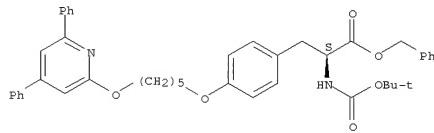
RN 206266-68-4 CAPLUS

CN L-Tyrosine, N-[{(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-

pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

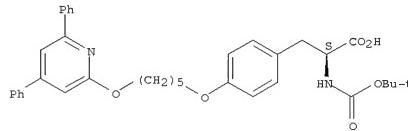
Absolute stereochemistry.

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 206266-69-5 CAPLUS  
CN L-Tyrosine, N-[{(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

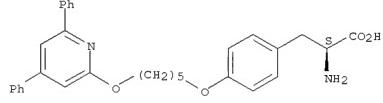


RN 206266-71-9 CAPLUS  
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8  
CMF C31 H32 N2 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



**IT** 206263-48-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study; unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation as leukotriene antagonist ligands for imaging and treatment of

infection and inflammation)

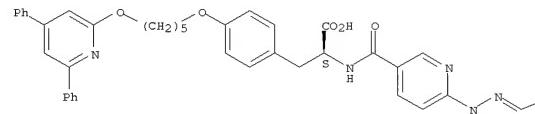
RN 206263-48-1 CAPLUS

CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[(6-[(2-sulfophenyl)methylene]hydrazino)-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

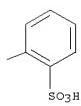
Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:594721 CAPLUS

DOCUMENT NUMBER: 127:278064

TITLE: Substituted 4-hydroxymethylalkanoic acid derivatives with agonist activity to PPAR-gamma  
INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
SOURCE: PCT Int. Appl., 157 pp.

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KE, LC, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, VN, YU				
RW: GH, KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BD, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2247443	A1	19970904	CA 1997-2247443	19970226
AU 9720935	A	19970916	AU 1997-20935	19970226
AU 717699	B2	20000330		
ZA 9701645	A	19971210	ZA 1997-1645	19970226
EP 888317	A1	19990107	EP 1997-906130	19970226
EP 888317	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1218460	A	19990602	CN 1997-193988	19970226
CN 1093124	B	20021023		
BR 9707786	A	19990727	BR 1997-7786	19970226
JP 20000507216	T	20000613	JP 1997-530586	19970226
JP 3255930	B2	20020212		
NZ 331381	A	20000623	NZ 1997-331381	19970226
HU 2000004845	A2	20010528	HU 2000-4845	19970226
HU 2000004845	A3	20010730		
IL 125796	A	20010614	IL 1997-125796	19970226
AT 205485	T	20010915	AT 1997-906130	19970226
ES 2163125	T3	20020116	ES 1997-906130	19970226
PT 888317	T	20020328	PT 1997-906130	19970226
SI 282753	B6	20021203	SK 1998-1163	19970226
HR 970110	B1	20030630	HR 1997-110	19970226
IN 1997DE00491	A	20050311	IN 1997-DE491	19970226
CZ 295383	B6	20050713	CZ 1998-2750	19970226
PL 191118	B1	20060331	PL 1997-328871	19970226
TW 391958	B	20000601	TW 1997-86102826	19970307
US 6294580	B1	20010925	US 1998-125750	19980825
NO 9803940	A	19981027	NO 1998-3940	19980827
NO 311516	B1	20011203		
HK 1015369	A1	20020215	HK 1999-100498	19990205
PRIORITY APPLN. INFO.:			GB 1996-4242	A 19960228

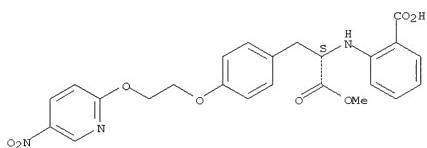
L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
WO 1997-EP916 W 19970226

OTHER SOURCE(S): MARPAT 127:278064  
**AB** Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = X)OTRS, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph] were prepared and their agonist activity to PPAR-gamma determined. E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxophenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

**IT** 196809-03-7P 196809-04-8P 196809-65-1P 196809-77-5P  
**RL:** BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

**RN** 196809-03-1 CAPLUS  
**CN** L-Tyrosine, N-(2-carboxyphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-,  $\alpha$ -methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



**RN** 196809-04-8 CAPLUS  
**CN** L-Tyrosine, N-(2-carboxyphenyl)-O-[2-[(5-chloro-2-pyridinyl)thio]ethyl]-,  $\alpha$ -methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

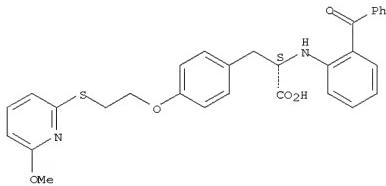


**RN** 196809-77-5 CAPLUS  
**CN** L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(6-methoxy-2-pyridinyl)thio]ethyl]-,

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

**CM** 1  
**CRN** 196809-76-4  
**CMF** C30 H28 N2 O5 S

Absolute stereochemistry.



**CM** 2  
**CRN** 76-05-1  
**CMF** C2 H F3 O2

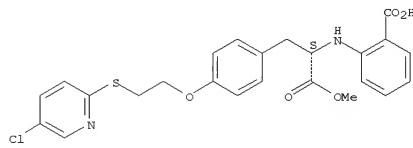


**IT** 196811-82-2P 196811-84-4P  
**RL:** RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

**RN** 196811-82-2 CAPLUS  
**CN** L-Tyrosine, N-[2-(methoxycarbonyl)phenyl]-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

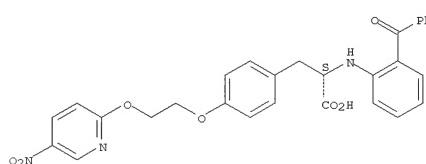


**RN** 196809-65-1 CAPLUS  
**CN** L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

**CM** 1

**CRN** 196809-64-0  
**CMF** C29 H25 N3 O7

Absolute stereochemistry.



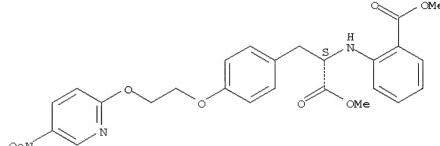
**CM** 2

**CRN** 76-05-1  
**CMF** C2 H F3 O2



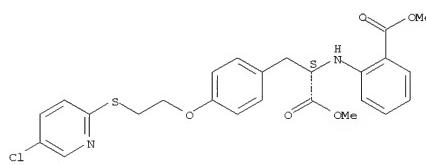
**RN** 196809-77-5 CAPLUS  
**CN** L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(6-methoxy-2-pyridinyl)thio]ethyl]-,

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



**RN** 196811-84-4 CAPLUS  
**CN** L-Tyrosine, O-[2-[(5-chloro-2-pyridinyl)thio]ethyl]-N-[2-(methoxycarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

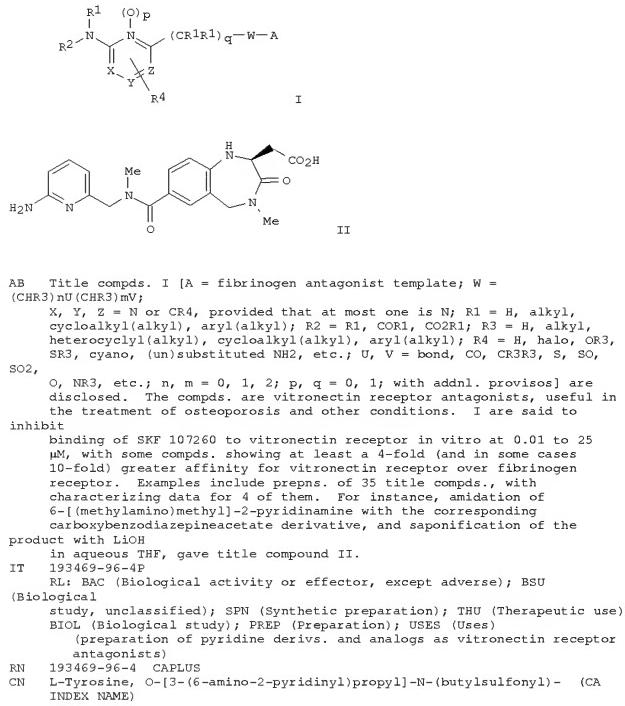


L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:547298 CAPLUS  
 DOCUMENT NUMBER: 127:149074  
 TITLE: Pyridine derivatives and analogs useful as  
 vitronectin receptor antagonists  
 INVENTOR(S): Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
 SOURCE: PCT Int. Appl., 123 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

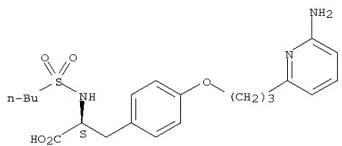
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724122	A1	19970710	WO 1996-US20744	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, C2, EE, GE, HU, IL, IS, JP, KG, KP, KB, LK, LR, LT, LV, MD, MG, MK, ML, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, VN, AZ, BY, KZ, RU, TJ, TM				
RW: BE, LS, MN, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, PT, MR, NE, SN, TD, TG				
CA 2241724	A1	19970710	CA 1996-2241724	19961220
AU 9713538	A	19970728	AU 1997-13538	19961220
EP 895475	A1	19990210	EP 1996-9405085	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, SI, FI				
CN 1209060	A	19990224	CH 1996-180099	19961220
BR 9612378	A	19990713	BR 1996-12378	19961220
JP 2000502708	T	20000307	JP 1997-524556	19961220
HU 9901116	A2	20000328	HU 1999-1116	19961220
ZA 9610855	A	19971124	ZA 1996-10855	19961223
NO 9803002	A	19980826	NO 1998-3002	19980626
US 2001034445	A1	20011025	US 2001-769125	20010124
PRIORITY APPLN. INFO.:			US 1995-9532P	P 19951229
			WO 1996-US20744	W 19961220
			US 1998-91936	B1 19981203

OTHER SOURCE(S): MARPAT 127:149074  
 GI

L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:997533 CAPLUS

DOCUMENT NUMBER: 124:175842

TITLE: Preparation of substituted pyridine leukotriene B4 antagonists

INVENTOR(S): Cohen, Noal; Lee, Ferdinand Kwo-Chen; Yagaloff, Keith Alan

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

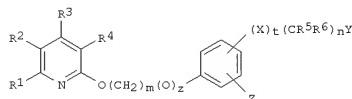
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528386	A1	19951026	WO 1995-EP1262	19950406
W: AU, BR, CA, CN, JP, NZ, RU, US BW, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2186252	A1	19951026	CA 1995-2186252	19950406
AU 9522569	A	19951110	AU 1995-22569	19950406
AU 690258	B2	19980423		
ZA 9502859	A	19960104	ZA 1995-2859	19950406
EP 755381	A1	19970129	EP 1995-915853	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1145613	A	19970319	CN 1995-192521	19950406
JP 09505605	T	19970603	JP 1995-526671	19950406
JP 2866202	B2	19990308		
BR 9507459	A	19971111	BR 1995-7459	19950406
PRIORITY APPLN. INFO.:			US 1994-228246	A 19940413
			US 1995-395092	A 19950306
			WO 1995-EP1262	W 19950406

OTHER SOURCE(S): MARPAT 124:175842  
 GI



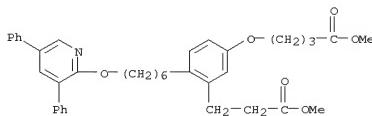
AB The title compds. [I; X = O, CO; Y = CN, S(O)uR8, NR5SO2R8, OR9, R10, etc.; Z = (O)y(CR5R6)xR10, (O)y(CR5R6)xR9, R10; R1, R3 = (un)substituted aryl, heteroaryl, alkyl, aralkyl; R2 = H, lower alkyl, halogen, lower alkoxy; R4 = H, lower alkyl; R5, R6 = H, lower alkyl; R7 = hydroxy, lower alkoxy; R8 = lower alkyl, (un)substituted aryl or aralkyl; R9 = H, lower alkyl, (un)substituted aryl, aralkyl, lower alkanoyl or aroyl; R10 =

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 COR7, CONH<sub>2</sub>O<sub>2</sub>R8, 1H-tetrazol-5-yl; m = 3-8; n, s = 1-12; t = 0, 1; u = 0-2; v = 2-12; yr = 0, 1; z = 0, 1; etc.], which are leukotriene B<sub>4</sub> antagonists useful in the treatment of inflammatory diseases (no data), asthma (no data), allergies (no data), arthritis (no data), etc. (no data), are prep'd. and I-contg. formulations presented. Thus,

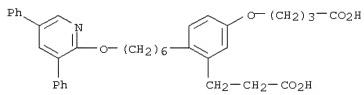
2-(3-carboxypropoxy)-6-[6-[(4,6-diphenyl-2-pyridinyl)oxy]hexyl]benzenepropionic acid was prep'd. and demonstrated, in guinea pigs at 0.1 mg/kg, an 86% remission of leukotriene B<sub>4</sub>-induced bronchoconstriction.

IT 173839-23-1P 173839-24-2P 173839-25-3P  
 173839-26-4P 173839-27-5P 173839-28-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted pyridine leukotriene B<sub>4</sub> antagonists)

RN 173839-23-1 CAPLUS  
 CN Benzenepropanoic acid, 2-[6-[(3,5-diphenyl-2-pyridinyl)oxy]hexyl]-5-(4-methoxy-4-oxobutoxy)-, methyl ester (CA INDEX NAME)

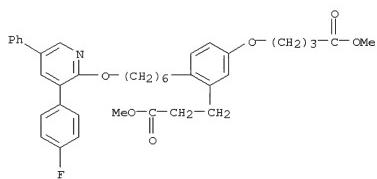


RN 173839-24-2 CAPLUS  
 CN Benzenepropanoic acid, 5-(3-carboxypropoxy)-2-[6-[(3,5-diphenyl-2-pyridinyl)oxy]hexyl]- (CA INDEX NAME)

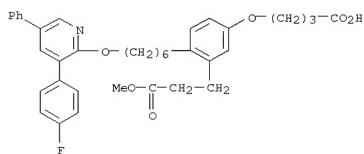


RN 173839-25-3 CAPLUS  
 CN Benzenepropanoic acid, 2-[6-[(3-(4-fluorophenyl)-5-phenyl-2-pyridinyl)oxy]hexyl]-5-(4-methoxy-4-oxobutoxy)-, methyl ester (CA INDEX NAME)

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

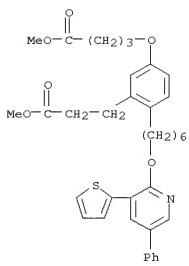


RN 173839-26-4 CAPLUS  
 CN Benzenepropanoic acid, 5-(3-carboxypropoxy)-2-[6-[(3-(4-fluorophenyl)-5-phenyl-2-pyridinyl)oxy]hexyl]-, alpha-methyl ester (9CI) (CA INDEX NAME)

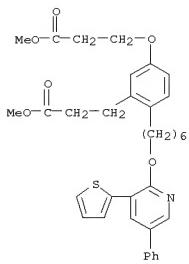


RN 173839-27-5 CAPLUS  
 CN Benzenepropanoic acid, 5-(4-methoxy-4-oxobutoxy)-2-[6-[(5-phenyl-3-(2-thienyl)-2-pyridinyl)oxy]hexyl]-, methyl ester (CA INDEX NAME)

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 173839-28-6 CAPLUS  
 CN Benzenepropanoic acid, 5-(3-methoxy-3-oxopropoxy)-2-[6-[(5-phenyl-3-(2-thienyl)-2-pyridinyl)oxy]hexyl]-, methyl ester (CA INDEX NAME)

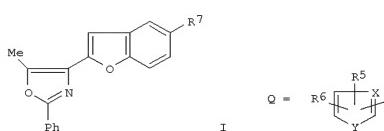


L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995-737270 CAPLUS  
 DOCUMENT NUMBER: 123:143628  
 TITLE: Preparation of 3-aryl-2-hydroxypropionates and analogs  
 INVENTOR(S): Hulin, Bernard  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S., 20 pp. Cont.-in-part of PCT Ser. No. WO91US 3858  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

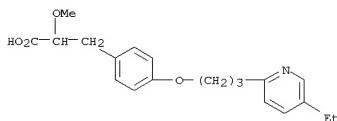
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5306726	A	19940426	US 1992-980404	19921124
US 5089514	A	19920210	US 1990-537673	19900614
CA 2084898	A1	19911215	CA 1991-2084898	19910531
HU 65603	A2	19940720	HU 1992-3943	19910531
AT 149156	T	19970315	AT 1991-911285	19910531
ES 2098356	T3	19970501	ES 1991-911285	19910531
IL 98447	A	19911231	IL 1991-98447	19910611
ZA 9104519	A	19930127	ZA 1991-4519	19910613
US 5438074	A	19950801	US 1993-163781	19931206
JP 07149636	A	19950613	JP 1994-160983	19940713
JP 2581523	B2	19970212		
PRIORITY APPLN. INFO.:			US 1990-537673	A2 19900614
			US 1992-980404	A3 19921124

OTHER SOURCE(S): CASREACT 123:143628; MARPAT 123:143628  
 GI

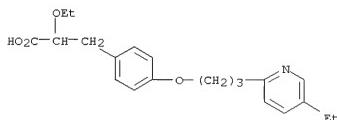


AB R1(CH<sub>2</sub>)<sub>m</sub>ACHR3CHR4CH(X1R)COV1 [m = 1 and A = (2,3-dihydro)benzofuran-2,5-diyil, benzoxazole-2,5-diyil, etc.; m = 0-2 and A = Z21; R = (cyclo)alkyl, alkanoyl, Ph, etc.; R1 = cyclic group Q; R3,R4 = H; R3R4 = bond; R5 = H, NH2, (cyclo)alkyl, Ph, etc.; R6 = H or alkyl; X = S, O, NH, CH:CH, CH:N, etc.; X1 = O, SO<sub>2</sub>-; Y = CH or N; Y1 = OH, alkoxy, OPh, OCH<sub>2</sub>Ph, NH<sub>2</sub>, etc.; Z = O, CO, CH(OH), CH:CH; Z1 = 1,4-C<sub>6</sub>H<sub>4</sub>] were prepared as hypoglycemic

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 and hypcholesteremic agents (no data). Thus, 4-bromoacetyl-5-methyl-2-phenyloxazole was cyclocondensed with 5-nitrosalicylaldehyde and the product reduced in 2 steps to give oxazolymethylbenzofuran I ( $R_7 = \text{NH}_2$ ) which was condensed with  $\text{CH}_2:\text{CHCN}$  and the product converted in 2 steps to I ( $R_7 = \text{CH}_2\text{CH}(\text{SPri})\text{CO}_2\text{Et}$ ).  
 IT 140129-83-5P 140129-84-6P 140129-85-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 3-aryl-2-hydroxypropionates and analogs as hypoglycemic and hypcholesteremic agents)  
 RN 140129-83-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]-  
 (CA INDEX NAME)

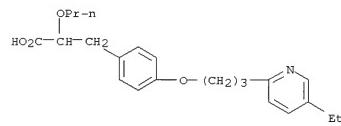


RN 140129-84-6 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[3-(5-ethyl-2-pyridinyl)propoxy]-  
 (CA INDEX NAME)



RN 140129-85-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -propanoxy-  
 (CA INDEX NAME)

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1992:426552 CAPLUS  
 DOCUMENT NUMBER: 117:26552  
 TITLE: Preparation of 3-(hetero)aryl-2-hydroxypropionic acids and analogs as hypoglycemic and hypcholesterolemic agents  
 INVENTOR(S): Hulin, Bernard  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9119702	A1	19911226	WO 1991-US3858	19910531
W: AU, CA, FI, HU, JP, KR, NO, US R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5089514	A	19920218	US 1990-537673	19900614
CA 2084898	A1	19911215	CA 1991-2064898	19910531
AU 9179956	A	19920107	AU 1991-79956	19910531
AU 646052	B2	19940203		
EP 533781	A1	19930331	EP 1991-911285	19910531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507920	T	19931111	JP 1991-510864	19910531
JP 07005513	B	19950125		
HU 65603	A2	19940728	HU 1992-3943	19910531
AT 149156	T	19970315	AT 1991-911285	19910531
ES 2098356	T3	19970501	ES 1991-911285	19910531
IL 98447	A	19951231	IL 1991-98447	19910611
ZA 9104519	A	19930127	ZA 1991-4519	19910613
NO 9204799	A	19921214	NO 1992-4799	19921211
JP 07149636	A	19950613	JP 1994-160983	19940713
JP 2581523	B2	19970212		
PRIORITY APPLN. INFO.:		US 1990-537673	A2 19900614	
		WO 1991-US3858	A 19910531	

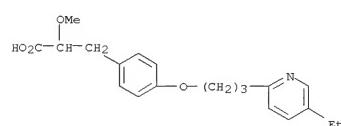
OTHER SOURCE(S): MARPAT 117:26552  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

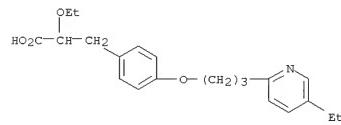
AB Title compds. I and II [ $A = A_1, A_2; n = 0, 1$ ; dotted line = optional bond];

R = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, Ph, phenylalkyl, alkancyl; X = S, O, NR<sub>2</sub>, CH:CH, CH:N, N:CH; R<sub>2</sub> = H, alkyl, Ph, CH<sub>2</sub>Ph; Y = CH, N; Z = H, alkyl, cycloalkyl, (un)substituted Ph; X<sub>1</sub> = O, S, SO, SO<sub>2</sub>; Y<sub>1</sub> = OH, (un)substituted alkoxy, OPh, OCH<sub>2</sub>Ph, NH<sub>2</sub>; Z<sub>1</sub> = H, alkyl; W = O, CO, CH<sub>2</sub>, CH(OH), CH:CH; m = 0, 1, 2] were prepared as hypoglycemic and hypcholesterolemic agents (no data). For example, 4-(2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy)benzaldehyde was condensed with rhodanine in the

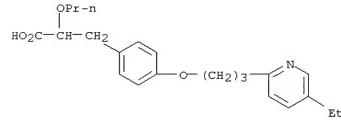
L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 presence of piperidine, and the resultant benzylidenerhodanine deriv. was hydrolyzed by 15% NaOH and S-methylated with MeI to give title compd.  
 III. Example syntheses (26) are given and numerous addnl. I and II are listed.  
 IT 140129-83-5P 140129-84-6P 140129-85-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as hypoglycemic and hypcholesterolemic)  
 RN 140129-83-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -methoxy-  
 (CA INDEX NAME)



RN 140129-84-6 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[3-(5-ethyl-2-pyridinyl)propoxy]-  
 (CA INDEX NAME)



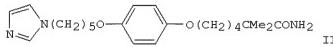
RN 140129-85-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -propanoxy-  
 (CA INDEX NAME)



L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:422967 CAPLUS  
 DOCUMENT NUMBER: 109:22967  
 TITLE: Preparation of heterocyclylalkyl ethers and sulfides  
 as antitumor agents  
 INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro;  
 Numasaki, Yoso; Takahashi, Koichiro;  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 56 pp.  
 CODEN: EPXNDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

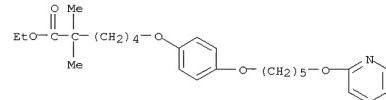
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4991432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774	A 19860724
		US 1987-74290		A3 19870716

OTHER SOURCE(S): CASREACT 109:22967, MARPAT 109:22967  
 GI

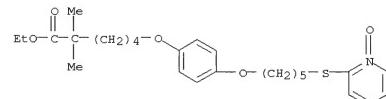


AB A(CH2)pX1(CH2)mX2BX3Y(CH2)nCR1R2R3 [I; A = biphenyl, (un)substituted 5- or 6-membered heterocyclic, 9- or 10-membered bicyclic heterocyclic, each containing 1-4 N and, optionally, O, S; X1 = bond, X2; X3 = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diy, pyrimidinodiy, pyridazinodiy; R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO2H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors.  
 4-HOC6H4O(CH2)4CMe2CONH2 was etherified with Br(CH2)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 107831-15-2 CAPLUS  
 DOCUMENT NUMBER: 107831-15-2  
 TITLE: RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)  
 RN 107831-15-2 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[(5-(2-pyridinyl)oxy)pentyl]oxy]phenoxyl-, ethyl ester (CA INDEX NAME)



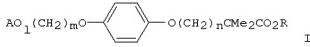
RN 114545-66-3 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[(5-(1-oxido-2-pyridinyl)thio)pentyl]oxy]phenoxyl-, ethyl ester (CA INDEX NAME)



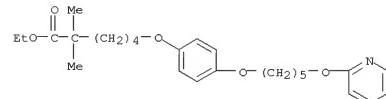
L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:169040 CAPLUS  
 DOCUMENT NUMBER: 106:169040  
 TITLE: Anti-tumor imidazolylalkoxy- and pyridyloxyalkoxyphenoxylalkanoates, their preparation, and pharmaceutical compositions containing them  
 INVENTOR(S): Numasaki, Yoso; Takahashi, Koichiro; Ohata, Isao  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210753	A2	19870204	EP 1986-304966	19860626
EP 210753	A3	19890209		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4758500	A	19880719	US 1986-874547	19860616
AU 8659128	A	19870108	AU 1986-59128	19860620
JP 62089618	A	19870424	JP 1986-150078	19860625
JP 02044810	B	19901005		
AU 8659305	A	19870108	AU 1986-59305	19860626
AU 574926	B2	19880714		
US 4886818	A	19891212	US 1988-198099	19880524
PRIORITY APPLN. INFO.:			JP 1985-140901	A 19850626
		US 1986-874547		A1 19860616

OTHER SOURCE(S): MARPAT 106:169040  
 GI



L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 107831-15-2 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[(5-(2-pyridinyl)oxy)pentyl]oxy]phenoxyl-, ethyl ester (CA INDEX NAME)



AB Compds. of formula I (A = imidazolyl, pyridyl; R = H, alkyl, cation; l = 0, 1; m = 1-6) are antitumor agents with low toxicity which can be administered orally. I (A = 1-imidazolyl, R = Et, l = 0, m = 5, n = 4) (II) at 100 mg/kg/day orally for 9 days caused 55.8% inhibition of the growth rate of MM-46 tumors in mice, compared to 26.0% inhibition by tetrahydrofuryl-5-fluorouracil at the same dosage. I (A = 2-pyridyl, R = Et, l = 1, m = 5, n = 4) was prepared by reaction of 2-hydroxypyridine with NaH and Et 6-[p-(5-bromopentoxy)phenoxy]-2,2-dimethylhexanoate. Capsules were prepared containing II 200, lactose 205, crystalline cellulose 50, hydroxypropyl cellulose 15, starch 25, and Mg stearate 5 mg.  
 IT 107831-15-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)

02/29/2008

10-566,291.trn

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	107.87	290.58
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.20	-15.20

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STRUCTURE FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0  
DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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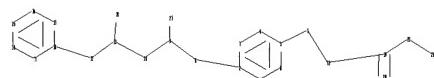
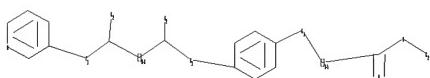
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1e.str



chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L7 STRUCTURE UPLOADED

=> s 17 sss sam  
SAMPLE SEARCH INITIATED 14:46:58 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 9987 TO ITERATE

20.0% PROCESSED 2000 ITERATIONS 2 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 193751 TO 205729  
PROJECTED ANSWERS: 10 TO 388

L8 2 SEA SSS SAM L7

=> s 17 sss full  
FULL SEARCH INITIATED 14:47:06 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 199069 TO ITERATE

100.0% PROCESSED 199069 ITERATIONS 54 ANSWERS  
SEARCH TIME: 00.00.03

L9 54 SEA SSS FUL L7

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 178.36 468.94  
  
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL  
ENTRY SESSION  
CA SUBSCRIBER PRICE 0.00 -15.20

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10-566,291.trn

FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9  
FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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<http://www.cas.org/infopolicy.html>

=> s 19  
L10                15 L9

=> d ibib abs hitstr 1-  
YOU HAVE REQUESTED DATA FROM 15 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20061757659 CAPLUS  
 DOCUMENT NUMBER: 145:327662  
 TITLE: Studies towards the conception of new selective PPAR $\beta/\delta$  ligands  
 AUTHOR(S): Ekambone Bassene, Carine; Suzenet, Franck; Hennuyer, Nathalie; Staels, Bart; Caignard, Daniel-Henri; Dacquet, Catherine; Renard, Pierre; Guillaumet, Gerald

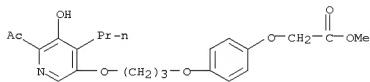
CORPORATE SOURCE: Institut de Chimie Organique et Analytique (I.C.O.A.), UMR-CNRS 6005, FR CNRS 2708, Universite d'Orleans, Orleans, 45067, Fr.  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16 (17), 4528-4532  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 145:327662

AB In order to define new PPAR $\beta/\delta$  ligands, SAR study on the selective PPAR $\beta/\delta$  activator L-165,041 led to the identification of one key functional group for selective PPAR $\beta/\delta$  activation. Furthermore, taking advantage of SAR studies done elsewhere on the most selective PPAR $\beta/\delta$  ligand GW501516, the conception of new ligands showing good affinity for PPAR $\beta/\delta$  is reported.

Finally, synthesis and biol. evaluation of pyridine analogs have shown the beneficial effect of the pyridine ring on the PPAR $\beta/\delta$  subtype selectivity.

IT 910032-78-9P 910032-97-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (development of new selective PPAR $\beta/\delta$  ligands)

RN 910032-78-9 CAPLUS  
 CN Acetic acid, [4-[3-[(6-acetyl-5-hydroxy-4-propyl-3-pyridinyl)oxy]propoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



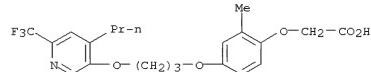
RN 910032-97-2 CAPLUS  
 CN Acetic acid, [2-methyl-4-[3-[(4-propyl-6-(trifluoromethyl)-3-pyridinyl)oxy]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005182607 CAPLUS  
 DOCUMENT NUMBER: 142:279949  
 TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winnroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 603 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RG, RZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

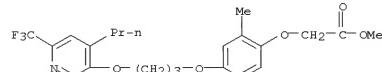
OTHER SOURCE(S): MARPAT 142:279949  
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L10 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



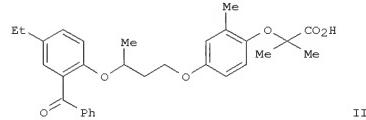
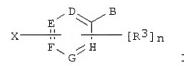
IT 910033-02-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (development of new selective PPAR $\beta/\delta$  ligands)

RN 910033-02-2 CAPLUS  
 CN Acetic acid, [2-methyl-4-[3-[(4-propyl-6-(trifluoromethyl)-3-pyridinyl)oxy]propoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

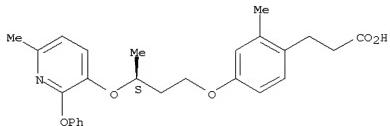
L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [wherein B = -A1- $\text{CR}4\text{R}5\text{-Q}$ ; X = -A2-( $\text{CHR}2\text{-Y-(CHR}1\text{-A3-Z)}$ ;  
 A1 = a bond,  $\text{CH}_2$ , O, S, and wherein A1 and R4 or A1 and R5 form a 3- to 6-membered carbocyclic when A1 = C; A2, A3 = independently  $\text{CH}_2$ , O, S; D, E, F, G, H = independently  $\text{CH}_3$  or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q =  $\text{CO}_2\text{H}$  and derivatives, carboxamido, sulfonamido, etc.];  
 Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biphenyl, n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonaromatic carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;  
 R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy, R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II.  
 II. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu\text{M}$  for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.  
 IT 847346-02-5P, 3-[2-Methyl-4-[(S)-3-(6-methyl-2-phenoxy)pyridin-3-yloxy]butyl]phenylpropionic acid 847352-11-8P, (R)-3-[2-Methyl-4-[(S)-3-(6-methyl-2-phenoxy)pyridin-3-yloxy]butyl]phenylpropionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

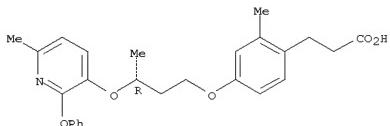
L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 847346-02-5 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[ (3S)-3-[ (6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-11-8 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[ (3R)-3-[ (6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

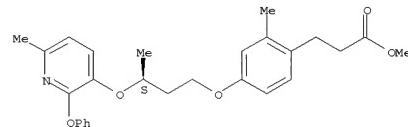


IT 847346-04-7P, 3-[2-Methyl-4-[ (S)-3-(6-methyl-2-phenoxy-3-yloxy)butoxy]propionic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847346-04-7 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[ (3S)-3-[ (6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ACCESSION NUMBER: 2001:868218 CAPLUS

DOCUMENT NUMBER: 136:694

TITLE: Thromboxane inhibitors, compositions, and methods for therapeutic use  
 INVENTOR(S): Saenz de Tejada, Inigo  
 PATENT ASSIGNEE(S): Nitromed, Inc., USA  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001089519	A1	20011129	WO 2001-US16318	20010522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EO, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, JV, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001064729	A5	20011203	AU 2001-64729	20010522
US 2003050305	A1	20030313	US 2002-285620	20021101
PRIORITY APFLN. INFO.:			US 2000-205536P	P 20000522
			WO 2001-US16318	W 20010522

OTHER SOURCE(S): MARPAT 136:694

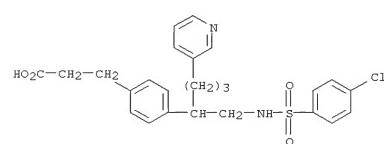
AB The invention describes methods for treating or preventing sexual dysfunctions in males and females, and for enhancing sexual responses in males and females, by administering a therapeutically effective amount of at least one thromboxane inhibitor, and, optionally, at least one compound that donates, transfers, or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The male or female may preferably be diabetic.

The invention also provides compns. comprising at least one thromboxane inhibitor, and, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and, optionally, at least one therapeutic agent, such as, vasoactive agents, nonsteroidal antiinflammatory compound (NSAIDs), selective cyclooxygenase-2 (COX-2) inhibitors, anticoagulants, angiotensin converting enzymes (ACE) inhibitors, angiotensin II receptor antagonists, renin inhibitors, and mixts. thereof. The invention further provides methods for treating or preventing ischemic heart disorders, myocardial infarction, angina pectoris, stroke, migraine, cerebral hemorrhage, cardiac fatalities, transient ischemic attacks, complications following organ transplants, coronary artery bypasses, angioplasty, endarterectomy, atherosclerosis, pulmonary embolism, bronchial asthma,

L10 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 bronchitis, pneumonia, circulatory shock of various organs, nephritis, graft rejection, cancerous metastases, pregnancy-induced hypertension, preeclampsia, eclampsia, thrombotic and thromboembolic disorders, intrauterine growth, gastrointestinal disorders, renal diseases and disorders, disorders resulting from elevated uric acid levels, and dysmenorrhea, and for inhibiting platelet aggregation or platelet adhesion or relaxing smooth muscles. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit.

IT 172283-12-4 CAPLUS  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (thromboxane inhibitors, compns., and methods for therapeutic use)

RN 172283-12-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[{[(4-chlorophenyl)sulfonyl]amino}methyl]-4-(3-pyridinyl)butoxy- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:380546 CAPLUS

DOCUMENT NUMBER: 134:367194

TITLE: Preparation of novel phenylalanine derivatives as  $\alpha\beta$ -integrin inhibitors  
INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

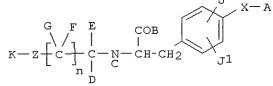
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LV, MA, MD, MG, MK, MN, MW, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, GA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AD, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014165	A1	20010530	AU 2001-14165	20001120
EP 1233013	A1	20020821	EP 2000-976347	20001120
EP 1233013	B1	20070228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MR, CY, AL, TR				
AT 355269	T	20060315	AT 2000-976347	20001120
US 2003149083	A1	20030807	US 2002-150067	20020520
US 6855706	B2	20050215		
US 2005070485	A1	20050331	US 2004-986829	20041115
US 7160874	B2	20070109		
PRIORITY APPLN. INFO.:				
		JP 1999-328468	A 19991118	
		JP 2000-197139	A 20000629	
		WO 2000-JP8152	W 20001120	
		US 2002-150067	A1 20020520	

OTHER SOURCE(S): MARPAT 134:367194

GI

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

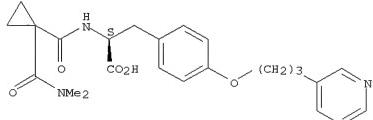


AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO<sub>2</sub>, N-(un)substituted NH, NHCO, NHSO<sub>2</sub>, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO<sub>2</sub>; A represents a specific substituted Ph group or nitrogen-containing heterocycle such as aromatic-fused pyrimidinediones or pyrimidinone, 2,4-ox 2,5-imidazolidinedione, or 5-imidazoline]; C represents hydrogen, lower alkyl, lower alkenyl, lower alkyanyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally containing 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR<sub>7</sub>, NR<sub>7</sub>R<sub>8</sub>, NHNR<sub>7</sub>R<sub>8</sub>, SR<sub>7</sub>, or R<sub>7</sub>; R<sub>7</sub> and R<sub>8</sub> represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO<sub>2</sub>] are prepared. These derivs. and analogs thereof show an  $\alpha\beta$  integrin inhibitory activity and are usable as remedies for various diseases relating to  $\alpha\beta$  integrin, such as inflammatory diseases related to  $\alpha\beta$  integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjogren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temperature for 16 h, washed with DMF five times, and condensed with pyrrolidine using HOAt, DIC, and NMP, followed by oxidation with OsO<sub>4</sub> in dioxane at room temperature for 16 and resin-cleavage in aqueous CF<sub>3</sub>CO<sub>2</sub>H to give N-[2-[(cis-2,4-dihydroxypyrrrolidin-1-

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
and N-[2-[(pyrrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrin $\alpha\beta$  with IC<sub>50</sub> of  $\leq 0.02 \mu\text{M}$ .

IT 340718-11-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPF (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of novel phenylalanine derivs. as  $\alpha\beta$ -integrin inhibitors)  
RN 340718-11-8 CAPLUS  
CN L-Tyrosine, N-[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]-O-[3-(3-pyridinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:324824 CAPLUS

DOCUMENT NUMBER: 129:27961

TITLE: Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa

INVENTOR(S): Mills, Stuart Dennett  
PATENT ASSIGNEE(S): Zeneca Ltd., UK  
SOURCE: U.S. 68 pp., Cont.-in-part of U.S. 5,563,141.DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602
US 5563141	A	19961008	US 1994-218174	19940328
US 5750754	A	19980512	US 1996-658097	19960604
PRIORITY APPLN. INFO.:			GB 1993-6451	A 19930329
			GB 1993-25610	A 19931215
			US 1994-218174	A2 19940328
			GB 1993-6453	A 19930329
			GB 1993-25605	A 19931215
			GB 1995-18188	A 19950907

AB The title compds. [(M1)n-Q-(M2)-l-n-L-A; n = 0-1; M1 = NH<sub>2</sub>; Q = an aromatic heterocyclic group containing N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the

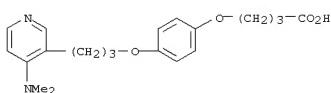
inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-(2-[4-(4-pyridyl)piperazin-1-yl]acetyl)phenoxyacetate which showed pIC<sub>50</sub> of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

IT 166952-49-4P 207850-32-6P  
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPF (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

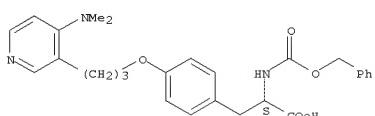
RN 166952-49-4 CAPLUS  
CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]- (CA INDEX NAME)

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



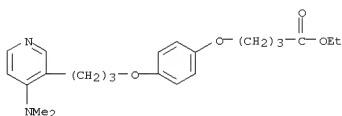
RN 207850-32-6 CAPLUS  
 CN L-Tyrosine, O-[3-(dimethylamino)-3-pyridinylpropyl]-N-[(phenylmethoxy)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 166954-62-7P 207908-36-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of heterocycl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)  
 RN 166954-62-7 CAPLUS  
 CN Butanoic acid, 4-[4-[3-(4-(dimethylamino)-3-pyridinyl)propoxy]phenoxy]-, ethyl ester (CA INDEX NAME)

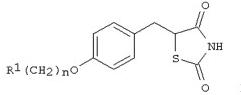


RN 207908-36-9 CAPLUS  
 CN L-Tyrosine, O-[3-(4-(dimethylamino)-3-pyridinylpropyl)-N-[(phenylmethoxy)carbonyl]-, methyl ester (CA INDEX NAME)

L10 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997174985 CAPLUS  
 DOCUMENT NUMBER: 126:199564  
 TITLE: Preparation of benzylthiazolidine derivatives by cyclocondensation of phenyllactic acid derivatives with thiourea  
 INVENTOR(S): Morita, Hikari; Mori, Hiroyuki; Furubayashi, Yoshimasa  
 PATENT ASSIGNEE(S): Nitto Chemical Industry Co Ltd, Japan; Mitsubishi Rayon Co., Ltd.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

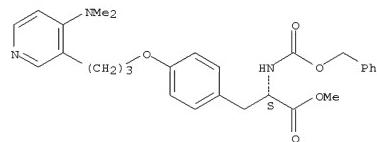
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09025273	A	19970128	JP 1995-200268	19950714
JP 3567024	B2	20040915		

PRIORITY APPLN. INFO.: JP 1995-200268 19950714

OTHER SOURCE(S): CASREACT 126:199564  
 GI

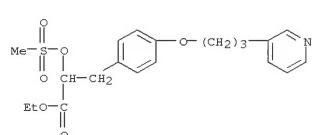
AB Claimed is a process for preparation of the title compds. [I; R1 = H, (un)substituted Ph or heterocycle; n = 0-3] by cyclocondensation of  $\beta$ -phenyllactic acid derivs. [II; R2 = H, MeSO2, p-toluenesulfonyl, (un)substituted Ph or heterocycle; n = 0-3; R3 = MeSO2, p-toluenesulfonyl; R4 = lower alkyl] with thiourea and hydrolysis. I, useful as intermediates in the production of drugs and pesticides, are prepared in an industrial manner efficiently and easily. Thus, II (R2 = H, n = 1, R3 = MeSO2, R4 = Me) was reacted with thiourea and then treated with 6N HCl to give I (R1 = H, n = 1).

IT 187143-04-0P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of benzylthiazolidine derivs. by cyclocondensation of

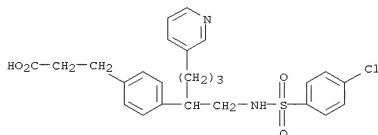
L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 phenyllactic acid derivs. with thiourea  
 RN 187143-04-0 CAPLUS  
 CN Benzene propanoic acid,  $\alpha$ -[(methylsulfonyl)oxy]-4-[3-(3-pyridinyl)propoxy]-, ethyl ester (CA INDEX NAME)



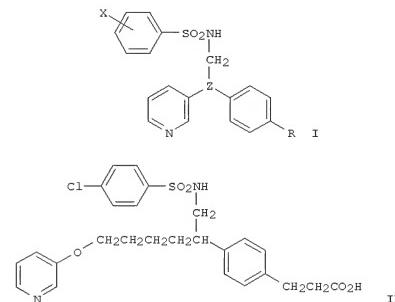
L10 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:30177 CAPLUS  
 DOCUMENT NUMBER: 126:98688  
 TITLE: TER-930180. Antithrombotic, TxA2 synthase/receptor dual inhibitor  
 AUTHOR(S): Kasukawa, Hiroaki; Ohnishi, Hiroyuki  
 CORPORATE SOURCE: Terumo Corporation R&D Center, Kanagawa, 259-01, Japan  
 SOURCE: Drugs of the Future (1996), 21(1), 33-36  
 CODEN: DRFDUD4; ISSN: 0377-8282  
 PUBLISHER: Prous  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review, with 22 refs., describing the synthesis and the pharmacol. actions of the title drug.  
 IT 172283-12-4P1 TER 930180  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and pharmacol. of)  
 RN 172283-12-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl- (CA INDEX NAME)



L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:2234 CAPLUS  
 DOCUMENT NUMBER: 126:31271  
 TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals  
 INVENTOR(S): Tatsugami, Shinichi; Onishi, Hiroyuki; Morimoto, Katsumi  
 PATENT ASSIGNEE(S): Terumo Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309
			JP 1995-49789	19950309

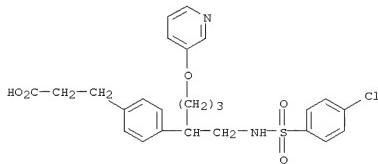
PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 126:31271  
 GI



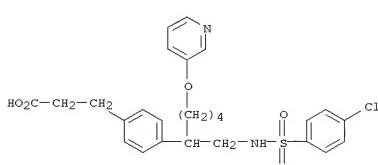
AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH2, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared. The title compound II in vitro showed IC50 of 0.039 x 10-6 M against U-46619-induced platelet

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 184419-22-5P 184419-23-6P 184419-24-7P  
 184419-32-7P 184419-35-0P 184653-31-4P  
 184653-32-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-22-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl- (CA INDEX NAME)

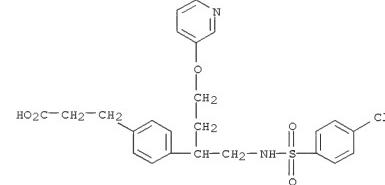


RN 184419-23-6 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyl)pentyl- (CA INDEX NAME)



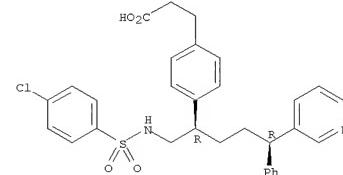
RN 184419-24-7 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-3-(3-pyridinyl)propyl- (CA INDEX NAME)

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184419-32-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

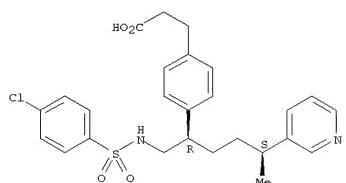


RN 184419-35-0 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

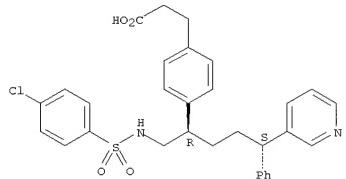
L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



RN 184653-31-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, (R\*,S\*)- (9CI) (CA INDEX NAME)

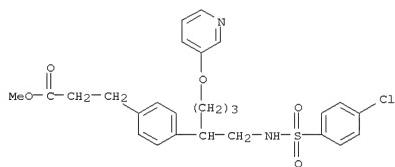
Relative stereochemistry.



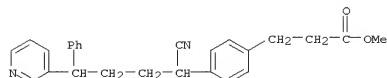
RN 184653-32-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

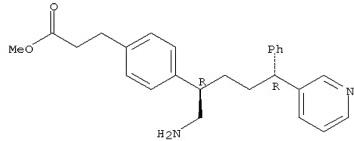


RN 184419-61-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

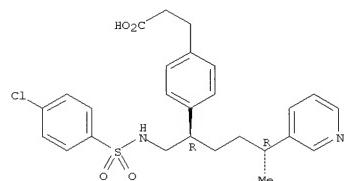
Relative stereochemistry.



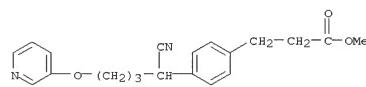
RN 184419-63-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

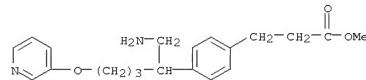
L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 184419-52-1P 184419-53-2P 184419-54-3P  
 184419-61-2P 184419-62-3P 184419-63-4P  
 184419-67-8P 184419-68-9P 184653-33-6P  
 184653-34-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-52-1 CAPLUS  
 Benzenepropanoic acid, 4-[1-cyano-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

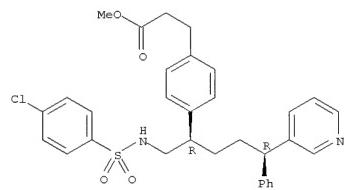


RN 184419-53-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

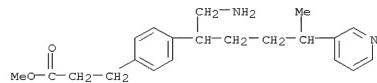


RN 184419-54-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl-, methyl ester (CA INDEX NAME)

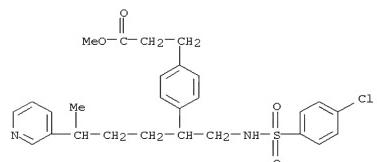
L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184419-67-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)pentyl]-, methyl ester (CA INDEX NAME)



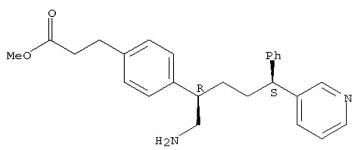
RN 184419-68-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl-, methyl ester (CA INDEX NAME)



RN 184653-33-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

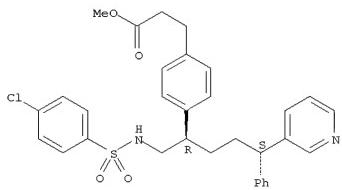
Relative stereochemistry.

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184653-34-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl-4-phenyl-1-(3-pyridinyl)butyl-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

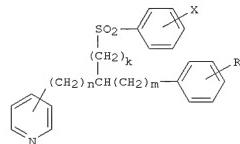
Relative stereochemistry.



L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1996:509478 CAPLUS  
 DOCUMENT NUMBER: 125:167791  
 TITLE: Preparation of pyridylalkylphenylsulfone derivatives as antithrombotic agents and antiallergic agents  
 INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue;  
 PATENT ASSIGNEE(S): Kasukawa, Hiroaki  
 SOURCE: Texmo Kabushiki Kaisha, Japan  
 PCT Int Appl., 23 pp.  
 CODEN: PIIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN, JP, KR, RU, US				
RU, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9641892	A	19960710	AU 1996-41892	19951218
PRIORITY APPN. INFO.:			JP 1994-316279	A 19941220
			WO 1995-JP2590	W 19951218

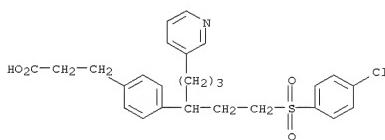
OTHER SOURCE(S): MARPAT 125:167791  
 GI



AB The title compds. I [X = H, OH, NO2, CN, CF3, halo, lower alkyl, lower alkoxy; R = O(CH2)aCO2R1, (CH2)aCO2R1, CR2CR3CO2R1 or CR2R3CR4R5CO2R1 (R1, R2, R3, R4, R5 = H, lower alkyl; a = 0-5); h, m, n = 0-5] are prepared. A medicinal preparation containing I is also claimed. I possessing thromboxane A2 and prostaglandin H2 antagonisms and the effect of inhibiting the synthesis of thromboxane A2, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH2)2CO2H; h = 2; m = 0; n = 3] was prepared from p-HOC6H4CH(OEt)2 in twelve steps and demonstrated a IC50 against thromboxane A2 of 0.25 μM.  
 IT 180153-37-1P

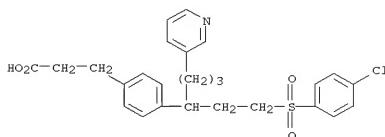
L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-37-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-((4-chlorophenyl)sulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



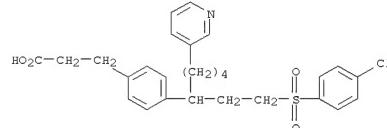
IT 180153-38-2P 180153-39-3P 180153-40-6P  
 180153-41-7P 180153-42-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-38-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-((4-chlorophenyl)sulfonyl)ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)

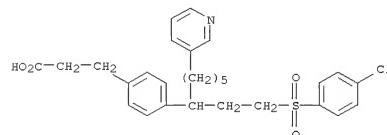


● Na  
 RN 180153-39-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-((4-chlorophenyl)sulfonyl)ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)

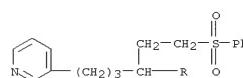
L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 180153-40-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-((4-chlorophenyl)sulfonyl)ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)

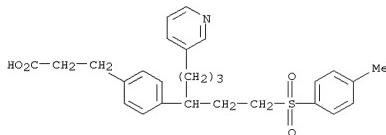


RN 180153-41-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



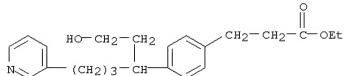
RN 180153-42-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-((4-methylphenyl)sulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

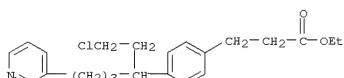


IT 180153-34-8P 180153-35-9P 180153-36-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-34-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(2-hydroxyethyl)-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

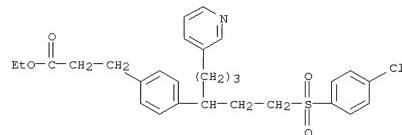


RN 180153-35-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(2-chloroethyl)-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)



RN 180153-36-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



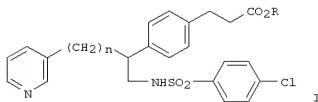
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 199628120 CAPLUS  
 DOCUMENT NUMBER: 124:86821  
 TITLE: Preparation of 3-[4-[2-(p-chlorobenzenesulfonamido)-  
 o-(3-pyridyl)-2-alkylphenyl]propionic acid as  
 antithrombotics and allergy inhibitors  
 INVENTOR(S): Onishi, Hiroyuki; Tatsugami, Shinichi; Kasukawa,  
 Hiroaki; Kitamura, Harue; Morimoto, Katsumi  
 PATENT ASSIGNEE(S): Terumo Corp., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

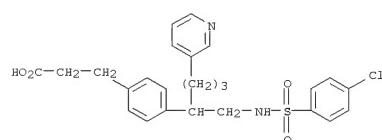
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07233149	A	19950905	JP 1994-22494	19940221
PRIORITY APPLN. INFO.:			JP 1994-22494	19940221

OTHER SOURCE(S): MARPAT 124:86821  
 GI

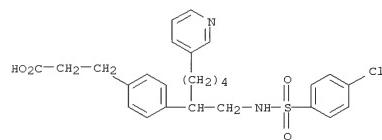


AB The title compds. (I; n = 3, 4; R = H, Cl-4 alkyl), which are useful as inhibitors of thromboxane A2 synthesis, thromboxane A2 antagonists, and prostaglandin H2 antagonists, are prepared. Thus, Et 3-[4-[1-cyano-5-(3-pyridyl)pentyl]phenyl]propionate was hydrogenated in the presence of Raney nickel under H atm in ethanolic NH3 at room temperature overnight to give Et 3-[4-[1-amino-6-(3-pyridyl)hexan-2-yl]phenyl]propionate which was condensed with p-chlorobenzenesulfonyl chloride in the presence of Et3N in CH2Cl2 at room temperature for 2 days to give, after saponification with a mixt. of 2 n aqueous NaOH and MeOH and acidification with dilute HCl, I (n = 4, R = H). The latter compound showed IC50 of 4.2 + 10-8 M for inhibiting the U-46619 (stable derivative of PGG2/H2)-induced blood platelet aggregation in human platelet rich plasma.  
 IT 172283-12-4P 172283-13-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of (1-(p-chlorobenzenesulfonamido)pyridylalkyl)phenyl)propionic acid)

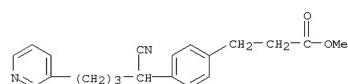
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 acids as antithrombotics and allergy inhibitors  
 RN 172283-12-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



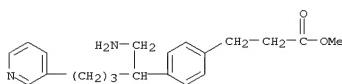
RN 172283-13-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)



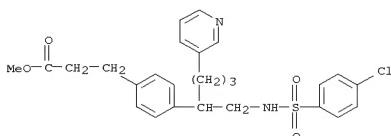
IT 172283-15-7P 172283-16-8P 172283-17-9P  
 172283-23-7P 172283-24-8P 172283-26-0P  
 172283-27-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of [(p-chlorobenzenesulfonamido)pyridylalkyl]phenyl)propionic acids as antithrombotics and allergy inhibitors  
 RN 172283-15-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



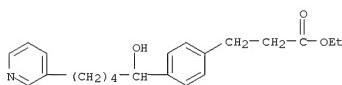
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 172283-16-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 172283-17-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[(4-chlorophenyl)sulfonyl]amino]methyl-4-(3-pyridinyl)butyl-, methyl ester (CA INDEX NAME)

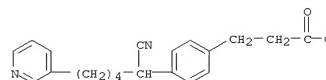


RN 172283-23-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-hydroxy-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

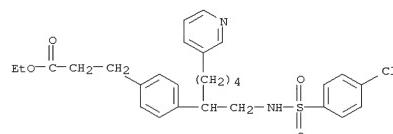


RN 172283-24-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

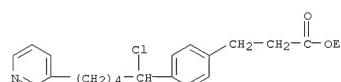
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 172283-26-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[4-chlorophenyl]sulfonyl]amino]methyl]-5-(3-pyridinyl)pentyl-, ethyl ester (CA INDEX NAME)



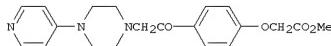
RN 172283-27-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-chloro-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)



L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1995:758624 CAPLUS  
 DOCUMENT NUMBER: 123:169654  
 TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors  
 INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner,  
 John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney Zeneeca Ltd., UK  
 PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 236 pp.  
 DOCUMENT TYPE: Patent CODEN: PIIXD2  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422835	A2	19941013	WO 1994-GB648	19940328
WO 9422835	A3	19941222		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN				
FW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2155307	A1	19941013	CA 1994-2155307	19940328
AU 9462890	A	19941024	AU 1994-62890	19940328
AU 692439	B2	19980611		
EP 690847	A1	19960110	EP 1994-910495	19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE				
JP 08509967	T	19961022	JP 1994-521811	19940328
JP 3088016	B2	20000918		
US 5750754	A	19980512	US 1996-658097	19960604
PRIORITY APPLN. INFO.:			GB 1993-6451	A 19930329
			GB 1993-25610	A 19931215
			GB 1993-6453	A 19930329
			GB 1993-25605	A 19931215
			WO 1994-GB648	W 19940328
			GB 1995-18188	A 19950907

OTHER SOURCE(S): MARPAT 123:169654  
 GI

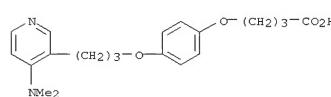


L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 AB Title compds. [I; (M1)nQ(M2)1-nLA wherein n = 0, 1; M1 = amino; Q = N-heterocycl; M2 = imino; L = template; A = an acidic group, or ester, amide derivative, sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepared Me 4-(bromoacetyl)phenoxyacetate in MeCN was

added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

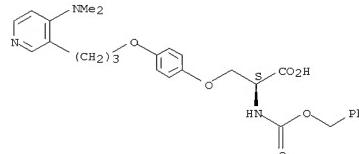
IT R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic compds. as platelet aggregation inhibitors)

RN 166952-49-4 CAPLUS  
 CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]- (CA INDEX NAME)



RN 166952-50-7 CAPLUS  
 CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N-[(phenylmethoxy)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

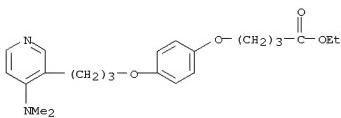


● HCl

IT 166954-62-7P 166954-63-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of heterocyclic compds. as platelet aggregation inhibitors)

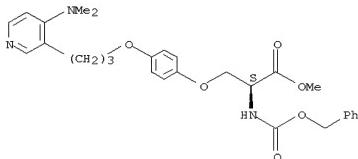
RN 166954-62-7 CAPLUS

L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 166954-63-8 CAPLUS  
 CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N-[(phenylmethoxy)carbonyl]-, methyl ester (CA INDEX NAME)

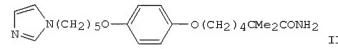
Absolute stereochemistry.



L10 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1988:422967 CAPLUS  
 DOCUMENT NUMBER: 109:22967  
 TITLE: Preparation of heterocyclalkyl ethers and sulfides as antitumor agents  
 INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro;  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 56 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774	A 19860724
			US 1987-74290	A3 19870716

OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967  
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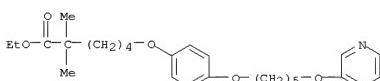
AB A(CH2)pX1(CH2)mX2BX3Y(CH2)nCR1R2R3 [I; A = biphenyl, (un)substituted 5- or 6-membered heterocyclic, 9- or 10-membered bicyclic heterocyclic, each containing 1-4 N and, optionally, O, S; XI = bond, X2; X3 = O, S, SO; B = phenoxy, 1,3,4-thiadiazole-2,5-diy, pyrimidindiyl, pyridazindiy; R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO2H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors. 4-HOC6H4O(CH2)4C(Me)2CONH2 was etherified with Br(CH2)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole I. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L10 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1987:169040 CAPLUS  
 DOCUMENT NUMBER: 106:169040

IT 114545-58-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOI (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)

RN 114545-58-3 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[(5-(3-pyridinyl)oxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



L10 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:169040 CAPLUS

DOCUMENT NUMBER: 106:169040

TITLE: Anti-tumor imidazolylalkoxy- and pyridoxylalkoxyphenoxyalkanoates, their preparation, and pharmaceutical compositions containing them  
 INVENTOR(S): Numasaki, Yoso; Takahashi, Koichiro; Ohata, Isao  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

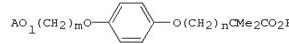
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210753	A2	19870204	EP 1986-304966	19860626
EP 210753	A3	19890209		
R: AT, BE, CH, DE, FR, GE, IT, LI, LU, NL, SE				
US 4758580	A	19880719	US 1986-874547	19860616
AU 6659120	A	19870108	AU 1986-59128	19860620
JP 62089618	A	19870424	JP 1986-150078	19860625
JP 02044810	B	19901005		
AU 8659305	A	19870108	AU 1986-59305	19860626
AU 574926	B2	19880114		
US 4986818	A	19891212	US 1988-198099	19880524
PRIORITY APPLN. INFO.:			JP 1985-140901	A 19850626
			US 1986-874547	A1 19860616

OTHER SOURCE(S): MARPAT 106:169040  
 GI



AB Compds. of formula I (A = imidazolyl, pyridyl; R = H, alkyl, cation; l = 0, 1; m, n = 1-6) are antitumor agents with low toxicity which can be administered orally. I (A = 1-imidazolyl, R = Et, l = 0, m = 5, n = 4) (II) at 100 mg/kg/day orally for 9 days caused 55.8% inhibition of the growth rate of MM-46 tumors in mice, compared to 26.0% inhibition by tetrahydrofuryl-5-fluorouracil at the same dosage. I (A = 2-pyridyl, R = Et, l = 1, m = 5, n = 4) was prepared by reaction of 2-hydroxypyridine with

NaH and Et 6-[p-(5-bromopentoxy)phenoxy]-2,2-dimethylhexanoate. Capsules were prepared containing II 200, lactose 205, crystalline cellulose 50, hydroxypyropyl

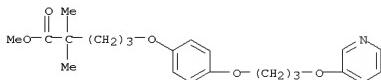
cellulose 15, starch 25, and Mg stearate 5 mg.

IT 95923-50-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOI (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)

L10 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 95923-50-5 CAPLUS  
 CN Pentanoic acid, 2,2-dimethyl-5-[4-[3-(3-pyridinyl)oxy]propoxy]phenoxy-, methyl ester (CA INDEX NAME)



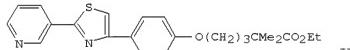
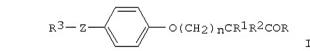
L10 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1985:166457 CAPLUS  
 DOCUMENT NUMBER: 102:166457  
 ORIGINAL REFERENCE NO.: 102:26161a,26164a  
 TITLE: Phenoxylalkanoate esters.  
 INVENTOR(S): Kojima, Tadao; Kageyama, Shunji; Okada, Minoru; Ohata,

Patent Assignee(s): Isao Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 98 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 130077	A2	19950102	EP 1984-304292	19840625
EP 130077	A3	19970520		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60006667	A	19950114	JP 1983-113988	19830624
JP 63047710	B	19980926		
JP 60094974	A	19950528	JP 1983-201639	19831027
JP 60136563	A	19950720	JP 1983-248928	19831226
DK 8403058	A	19941235	DK 1984-3058	19840622
SU 1428197	A3	19880930	SU 1984-3753901	19840622
EP 320501	A2	19890614	EP 1989-200049	19840625
EP 00501	A3	19991206		
R: AT, BE, CH, DE, FR, GE, IT, LI, LU, NL, SE				
ES 542804	A3	19951216	ES 1985-542004	19850503
SU 1380609	A3	19980307	SU 1985-3947151	19850826
SU 1422998	A3	19980907	SU 1985-3943999	19850826
SU 1530193	A3	19891215	SU 1985-3947357	19850826
US 4795753	A	19890103	US 1986-913513	19860930
US 4798838	A	19890117	US 1986-913722	19860930
US 4794113	A	19881227	US 1987-203	19870102
US 4942424	A	19900717	US 1988-261552	19881021
PRIORITY APPLN. INFO.:			JP 1983-113988	A 19830624
			JP 1983-201639	A 19831027
			JP 1983-248928	A 19831226
			US 1978-892534	19780403
			US 1984-623174	A3 19840621
			EP 1984-304292	F 19840625
			US 1987-203	A3 19870102

OTHER SOURCE(S): CASREACT 102:166457; MARPAT 102:166457  
 GI

L10 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Phenoxyalkanoic acids and derivs. I [R = OH, amino, alkoxy; R1 and R2 are H, alkyl; n = 0, 1, 2, 3, 4, 5, 6; Z = direct bond, thiazolediyl, (CH2)mO, (CH2)mCONH(CH2)p, or (CH2)mNR4 (m = 1, 2, 3, 4, 5, 6; p = 0, 1, 2, 3, 4, 5; R4 = alkyl); R3 = imidazolyl, pyridyl, pyridyloxy, oxochromenyloxy, alkyl, amino, carbamoyl] were prepared, and they showed anticholesteremic activity and inhibited blood platelet aggregation. Thus, thionicotinamide was stirred with 4-(BrCH2CO)C6H4O(CH2)3CMe2CO2Et in MeOH to give ester

II.

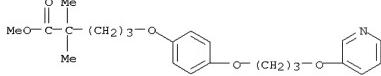
IT 95923-50-5

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 95923-50-5 CAPLUS

CN Pentanoic acid, 2,2-dimethyl-5-[4-[3-(3-pyridinyl)oxy]propoxy]phenoxy-, methyl ester (CA INDEX NAME)



L10 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:125945 CAPLUS

DOCUMENT NUMBER: 98:125945

ORIGINAL REFERENCE NO.: 98:19187a,19190a

TITLE: Studies on antidiabetic agents. II. Synthesis of 5-[4-(1-methylcyclohexylmethoxy)benzyl]thiazolidine-2,4-dione (ADD-3978) and its derivatives.

AUTHOR(S): Sohda, Takashi; Mizuno, Katsutoshi; Inamiya, Eiko; Sugiyama, Yasuo; Fujita, Takeshi; Kawamatsu, Yutaka

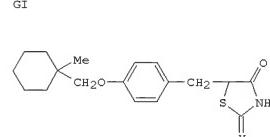
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(10), 3580-600

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English



AB More than 100 5-substituted thiazolidine-2,4-diones were prepared and their

hypoglycemic and hypolipidemic activities were evaluated with genetically obese and diabetic mice, yellow KK. Thus, 2-chloro-3-[4-(1-methylcyclohexylmethoxy)phenyl]propionate was cyclized with H2NCSNH2 to give the thiazolidinone I (X = NH), which was hydrolyzed to give I (X = O). The structure-activity relationship study showed that the 5-(4-oxybenzyl) moiety is essential for substantial activity. Among

these compds., 5-(4-cyclohexylmethoxy)benzylthiazolidine-2,4-dione I (X = O)

and 5-[4-[2-(3-pyridyl)ethoxy]benzyl]thiazolidine exhibited the most favorable properties in terms of activity and toxicity.

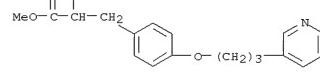
IT 85003-47-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and cyclization with thiourea, thiazolidinone derivative from)

RN 85003-47-0 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -chloro-4-[3-(3-pyridinyl)propoxy]-, methyl ester (CA INDEX NAME)



02/29/2008

10-566,291.trn

L10 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

02/29/2008

10-566,291.trn

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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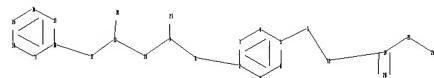
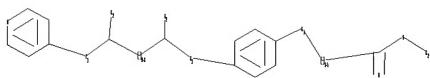
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1f.str



chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L11 STRUCTURE UPLOADED

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L11 HAS NO ANSWERS  
L11 STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 11431 TO ITERATE

17.5% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 222213 TO 235027  
PROJECTED ANSWERS: 0 TO 0

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=> s l11 sss full  
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100.0% PROCESSED 228464 ITERATIONS 10 ANSWERS  
SEARCH TIME: 00.00.03

L13 10 SEA SSS FUL L11

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FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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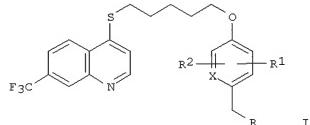
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L14 11 L13

=> d ibib abs hitstr 1-  
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L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007180940 CAPLUS  
 DOCUMENT NUMBER: 146:184375  
 TITLE: Preparation of substituted quinolines for treatment  
 of  
 amyloid- $\beta$ -peptide related disorders  
 INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry;  
 Desire, Laurent; Schweighoffer, Fabien  
 PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.  
 SOURCE: Eur. Pat. Appl., 38pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1746092	A1	20070124	EP 2005-291576	20050722
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 2007027146	A1	20070201	US 2005-190070	20050727
WO 2007034329	A2	20070329	WO 2006-IB3242	20060721
WO 2007034329	A3	20070830		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RU: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
WO 2007031878	A2	20070322	WO 2006-IB3503	20060726
WO 2007031878	A3	20070907		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RU: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO.: EP 2005-291576			A 20050722	
		US 2005-190070		A 20050727

L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 OTHER SOURCE(S): MARPAT 146:184375  
 GI



AB The title compds. I [X = CH or N; R1, R2 = H, halo, alkyl, etc.; R = H, OH, piperidino, morpholino, etc.], useful for the treatment of Alzheimer's disease and other similar diseases, were prepared. E.g., a multi-step synthesis of I. 3HCl [X = CH; R1, R2 = H; R = piperazinol], starting from 7-trifluoromethyl-4-quinolinemethiol and 1,5-dibromopentane, was given. More specifically the inventing compds. I modulate (in particular, inhibit) the level of amyloid- $\beta$  peptide ( $\text{A}\beta$ ) exhibited by cells or tissues ( $\text{A}\beta$  peptide is a major component of the amyloid plaques found in the brains of Alzheimer's sufferers). Exemplified compds. I were

tested for inhibition of  $\text{A}\beta$  40 production in HEK-293 cells overexpressing SWAPP751 (data given for representative compds. I). This invention also relates to the use of these inhibitors to prevent, treat or ameliorate the symptoms of Alzheimer's disease or any Amyloid- $\beta$ -Peptide Related Disorder. Pharmaceutical composition comprising the compound I is also disclosed.

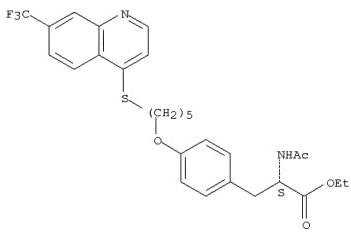
IT 921609-63-4P 921609-78-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinolines for treatment and prevention of amyloid- $\beta$ -peptide related disorders)

RN 921609-63-4 CAPLUS  
 CN L-Tyrosine,  
 N-acetyl-O-[5-[(7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

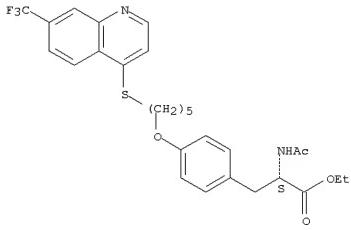
L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 921609-78-1 CAPLUS  
 CN L-Tyrosine,  
 N-acetyl-O-[5-[(7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007124174 CAPLUS  
 DOCUMENT NUMBER: 146:66404  
 TITLE: Synthesis, in vitro and in silico evaluation of L-tyrosine containing PPAR $\alpha/\gamma$  dual agonists

AUTHOR(S): Kumar, Rakesh; Ramachandran, Uma; Khanna, Smriti; Bharatam, Prasad V.; Raichur, Suryaprakash; Chakrabarti, Ranjan

CORPORATE SOURCE: Department of Pharmaceutical Technology, National Institute of Pharmaceutical Education and Research (NIPER), S. A. S. Nagar, 160 062, India

SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(3), 1547-1555

CODEN: BMCECP; ISSN: 0968-0896  
 PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 146:266404

AB A novel series of L-tyrosine derivs. have been reported with potential PPAR $\alpha/\gamma$  dual agonistic activity. In vitro cell based PPAR $\alpha/\gamma$  transactivation studies have shown compound 4a and compound 4t to be the most potent PPAR $\alpha$  and PPAR $\gamma$  activators, resp. Mol. docking studies performed on these series of compds. have complemented the exptl. results and have led to interesting inferences.

IT 927407-72-5P  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(L-tyrosine-containing PPAR $\alpha/\gamma$  dual agonists preparation and evaluation as potential antidiabetic agents)

RN 927407-72-5 CAPLUS  
 CN L-Tyrosine, O-[2-[(2,8-bis(trifluoromethyl)-4-quinolinyl)oxy]ethyl]-N-(1-methyl-3-oxo-3-phenyl-1-propen-1-yl)- (CA INDEX NAME)

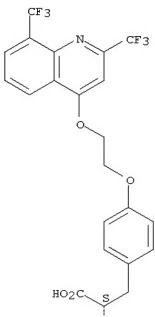
Absolute stereochemistry.  
 Double bond geometry unknown.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

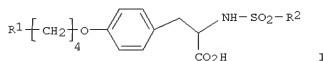
PAGE 1-A



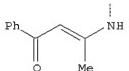
L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:626952 CAPLUS  
 DOCUMENT NUMBER: 143:153704  
 TITLE: Preparation of O-substituted sulfonyl tyrosine derivative  
 INVENTOR(S): Xiong, Chuanhui; Yang, Yong; Yang, Wenbin  
 PATENT ASSIGNEE(S): Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1415606	A	20030507	CN 2002-146177	20021101
PRIORITY APPLN. INFO.:		CN 2002-146177 20021101		

OTHER SOURCE(S): CASREACT 143:153704; MARPAT 143:153704  
 GI



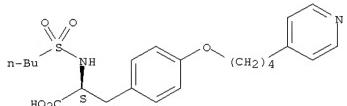
PAGE 2-A



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

AB Title compds. I (R1 = pyridyl, piperidinyl, etc.; R2 = aryl, alkyl, aralkyl) and their hydrochloride salt are prepared by alkylating di-Et malonate with R3-CH2-CH2 (R3 = pyridyl, etc.) in the presence of EtONa, saponifying with NaOH, neutralizing with HCl, and decarboxylating under heating to obtain R3-(CH2)3COOH HCl; reducing with LiAlH4 in THF, chlorinating with HCl under refluxing for 24 h and neutralizing with Na2CO3 to obtain R3-(CH2)4Cl; chlorinating L-tyrosine with SOCl2 and esterifying with MeOH to obtain L-tyrosine Me ester HCl; neutralizing with pyridine and sulfonylating with butanesulfonyl chloride in Ar ambient to obtain N-butylsulfonyl-L-tyrosine Me ester; saponifying with LiOH in water-DMSO at room temperature for 3 h, and etherifying with R3-(CH2)4Cl in the presence of KI catalyst. N-(Butylsulfonyl)-O-[4-(4-piperidinyl)butyl]-L-tyrosine or its hydrochloride are prepared  
 IT 149490-61-9  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of O-substituted sulfonyl tyrosine derivative)  
 RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-piperidinyl)butyl]- (CA INDEX NAME)  
 Absolute stereochemistry.

L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:569049 CAPLUS

DOCUMENT NUMBER: 143:97277

TITLE: Acidic quinolines as antihyperglycemics and their preparation

INVENTOR(S): Molinet, Gerard; Correc, Jean Claude; Arbelot De Vacqueur, Annick

PATENT ASSIGNEE(S): Merck Sante, Fr.

SOURCE: Fr. Demande, 61 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

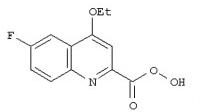
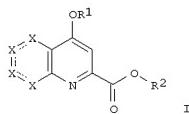
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2864535	A1	20050701	FR 2003-15402	20031224
FR 2864535	B1	20061222		
AU 2004308578	A1	20050714	AU 2004-308578	20041201
CA 2551227	A1	20050714	CA 2004-2551227	20041201
WO 2005063244	A1	20050714	WO 2004-EP13662	20041201
W: AE, AG, AL, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HK, HU, ID, IL, IN, IS, JE, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BE, BG, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RO, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, JE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CL, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1696924	A1	20060906	EP 2004-803419	20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CE, EE, HU, PL, SK, IS				
CN 1893949	A	20070110	CN 2004-80037584	20041201
BR 2004017140	A	20070221	BR 2004-17140	20041201
JP 2007516986	T	20070628	JP 2006-545961	20041201
IN 2006KN01222	A	20070427	IN 2006-KN1222	20060510
MX 2006PA07082	A	20060823	MX 2006-PA7082	20060620
US 2007149566	A1	20070628	US 2006-584151	20060622
PRIORITY APPLN. INFO.:		FR 2003-15402	A	20031224
		WO 2004-EP13662	W	20041201

OTHER SOURCE(S): MARPAT 143:97277  
 GI



**AB** The invention is related to the use of quinolines I [wherein X = independently N, O, S, or (un)substituted C; R1, R2 = independently H, (un)substituted alk(en/yn)yl; heteroaryl, hetero/cycloalkyl, etc.] and their tautomers, enantiomers, diastereomers, and epimers, and their pharmaceutically acceptable salts for treating hyperglycemia-related disorders. For example, II (m.p. = 207°) was prepared in 4 steps by reacting 4-fluoroaniline with di-Me acetylenedicarboxylate, cyclization, O-alkylation with iodoethane, and saponification. II showed 17% insulin secretion.

at 10<sup>-5</sup> M. II, when administered orally to NOD<sup>Z</sup> rats, reduced glycemia by 27%. Thus, I and their compns. are used for treating hyperglycemia, diabetes, obesity, dyslipidemia, and microvascular and macrovascular complications arising from diabetes.

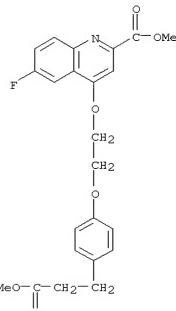
**IT** 856685-39-7P 856685-90-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antidiabetic agent; preparation of quinolines for treating hyperglycemia-related disorders)

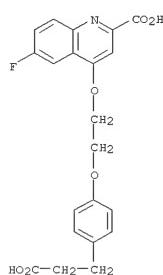
**RN** 856685-39-7 CAPLUS

**CN** 2-Quinoliniccarboxylic acid, 6-fluoro-4-[2-[4-(3-methoxy-3-

oxopropyl)phenoxy]ethoxy]-, methyl ester (CA INDEX NAME)



**RN** 856685-90-0 CAPLUS  
**CN** 2-Quinoliniccarboxylic acid, 4-[2-[4-(2-carboxyethyl)phenoxy]ethoxy]-6-fluoro- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

**of**

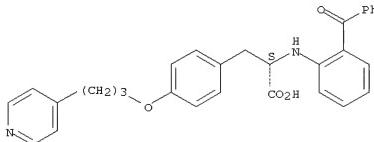
**AUTHOR(S):** the Phenyl Alkyl Ether Moiety  
Collins, Jon L.; Blanchard, Steven G.; Boswell, G.  
Evan, Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad  
R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.;  
Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen;  
Lenhard, James M.; Orband-Miller, Lisa A.;  
Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin  
**CORPORATE SOURCE:** Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA  
**SOURCE:** Journal of Medicinal Chemistry (1998), 41(25), 5037-5044  
**PUBLISHER:** CODEN: JMCMAR; ISSN: 0022-2623  
**DOCUMENT TYPE:** American Chemical Society  
**LANGUAGE:** Journal  
English

**AB** We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-(4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl)propanoic acid (I) (PPAR $\gamma$  pK<sub>i</sub> = 8.94, PPAR $\gamma$  pEC<sub>50</sub> = 9.47) as a potent and selective PPAR $\gamma$  agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPAR $\gamma$  agonists with improved aqueous solubility. Specifically, replacement of the Ph ring of the phenyloxazol moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-(4-[2-(5-methyl-2-pyridin-4-yloxadol-4-yl)ethoxy]phenyl)propanoic acid (PPAR $\gamma$  pK<sub>i</sub> = 8.85, PPAR $\gamma$  pEC<sub>50</sub> = 8.74) or a 4-methylpiperazine as (2S)-((2-benzoylphenyl)amino)-3-(4-[2-(5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl)ethoxy]phenyl)propanoic acid (PPAR $\gamma$  pK<sub>i</sub> = 8.66, PPAR $\gamma$  pEC<sub>50</sub> = 8.89) provided two potent and selective PPAR $\gamma$  agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of alkyl ethers which led to the identification of a number of novel, high-affinity PPAR $\gamma$  ligands (PPAR $\gamma$  pK<sub>i</sub>'s 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPAR $\gamma$  binding, functional activity, selectivity, and aqueous solubility.

**IT** 219597-77-OP  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preprn., optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine  
 analogs  
 as PPAR<sub>Y</sub> agonists)  
 RN 219597-77-0 CAPLUS  
 CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-(4-pyridinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

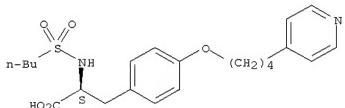
L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1996:371857 CAPLUS  
 DOCUMENT NUMBER: 125:67716  
 TITLE: Sustained-release preparations for delivery of water-soluble physiologically active substances  
 INVENTOR(S): Takada, Shigeyuki; Kurokawa, Tomofumi; Iwasa, Susumu  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 18 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 709085	A1	19960501	EP 1995-115568	19951002
EP 709085	B1	20010131		
JP 08151321	A	19960611	JP 1995-250818	19950928
JP 3790567	B2	20060628		
CA 2159552	A1	19960331	CA 1995-2159552	19950929
EP 1022020	A2	20000726	EP 2000-106329	19951002
EP 1022020	A3	20010425		
EP 1022020	B1	20030122		
JP 189883	T	20010215	AT 1995-115568	19951002
AT 231390	T	20030215	AT 2000-106329	19951002
PRIORITY APPLN. INFO.:			JP 1994-236846	A 19940930
			EP 1995-115568	A3 19951002

OTHER SOURCE(S): MARPAT 125:67716  
 AB A microcapsule comprising an amorphous water-soluble physiol. active substance and a polymer and a process for producing a microcapsule, which comprises dispersing an amorphous water-soluble physiol. active substance in a solution of a polymer in an organic solvent into an aqueous phase to prepare an emulsion and subjecting the emulsion to a rapid drying process, are described. The invention provides a microcapsule that has a high entrapment of a water-soluble drug and causes a small initial release.  
 An antiplatelet aggregation agent S-4-[(4-amidinobenzoyl)glycyl]-3-methoxycarbonylmethyl-2-oxopiperazine-1-acetic acid in amorphous form was dispersed in a solution of glycolic acid-lactic acid copolymer. The drug in the dispersion was pulverized to microparticles in a 0.2% PVA solution containing 2.7% NaCl. The microcapsules were freeze-dried to obtain powdery microcapsules.  
 IT 149490-61-9  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (sustained-release microcapsules containing water-soluble physiol. active

L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 substances and polymers)  
 RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



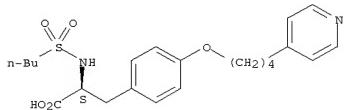
L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1994:457996 CAPLUS  
 DOCUMENT NUMBER: 121:57996  
 TITLE: Process for preparing tyrosine derivatives useful as fibrinogen receptor antagonists  
 INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 843,690, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5312923	A	19940517	US 1993-17922	19930216
RU 2113432	C1	19980620	RU 1994-41212	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227
CN 1040534	B	19981104		
PRIORITY APPLN. INFO.:			US 1992-843690	B2 19920228

OTHER SOURCE(S): CASREACT 121:57996; MARPAT 121:57996  
 AB The invention is a highly efficient synthesis of tyrosine derivs. 4-[R1(CH<sub>2</sub>)<sub>m</sub>O]C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH(NHSO<sub>2</sub>R)CO<sub>2</sub>H [I; R1 = 6-membered (un)sat'd heterocyclic ring containing 1-2 heteroatoms selected from N, NH, or alkylimino; m = 2-6; R<sub>4</sub> = aryl, C<sub>1</sub>-10 alkyl, or C<sub>4</sub>-10 aralkyl]. The method involves (1) lithiation of Me heterocycles RICH<sub>3</sub> with BuLi and reaction with Br(CH<sub>2</sub>)<sub>m</sub>-1OR (R = tetrahydropyranyl) to give R1(CH<sub>2</sub>)<sub>m</sub>OR;  
 (2) deprotection of the latter with HCl/EtOH, then neutralization with Et<sub>3</sub>N/THF, to give R1(CH<sub>2</sub>)<sub>m</sub>OH; (3) Mitsunobu reaction of these alcs. with N-sulfonylated tyrosine Me esters, followed by ester hydrolysis, to give I, and optional addnl. selective hydrogenation of unsat'd. heterocyclic groups R<sub>1</sub> in I. For example, 4-picoline underwent lithiation by BuLi, coupling with Br(CH<sub>2</sub>)<sub>3</sub>OR (R = 2-tetrahydropyranyl), deprotection, and neutralization to give 40% 4-(4-pyridinyl)butanol. This underwent Mitsunobu reaction with N-(n-butanesulfonyl)-L-tyrosine Me ester using PPh<sub>3</sub> and iso-Pro<sub>2</sub>CN:NCO<sub>2</sub>Pr-isoc, followed by hydrolysis of the Me ester with LiOH in aqueous MeOH/THF, to give 55% L-I (R<sub>1</sub> = 4-pyridyl, m = 4, R<sub>4</sub> = Bu). Hydrogenation of this over Pd/C gave 86% L-I (R<sub>1</sub> = 4-piperidinyl, m = 4, R<sub>4</sub> = Bu), which inhibited ADP-stimulated aggregation of human platelets in vitro with an IC<sub>50</sub> of 0.015 μM.  
 IT 149490-61-9P, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrogenation of)  
 RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

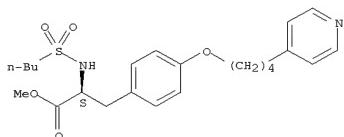
Absolute stereochemistry.

L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 151414-73-2P, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)  
 RN 151414-73-2 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester  
 (CA INDEX NAME)

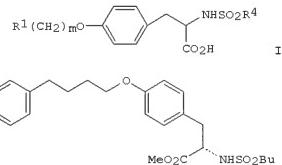
Absolute stereochemistry.



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:8480 CAPLUS  
 DOCUMENT NUMBER: 120:8480  
 TITLE: Preparation of O-[4-(4-piperidinyl)butyl]tyrosine via the Mitsunobu reaction  
 INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: PCT Int. Appl., 24 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316994	A1	19930902	WO 1993-US1621	19930224
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337313	A	19930913	AU 1993-37313	19930224
HU 70545	A2	19951030	HU 1994-2462	19930224
HU 223578	B1	20040928		
CZ 282770	B6	19971015	CZ 1994-2056	19930224
RU 2113432	C1	19980620	RU 1994-41212	19930224
SK 280164	B6	19990910	SK 1994-1024	19930224
NO 115724	B1	20000530	RO 1994-1434	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227
CN 1040534	B	19981104		
FI 9403934	A	19941005	FI 1994-3934	19940826
FI 106024	B1	20001115		
PRIORITY APPLN. INFO.:			US 1992-843690	A1 19920228
			WO 1993-US1621	A 19930224

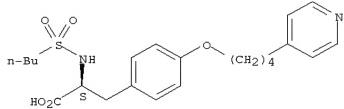
OTHER SOURCE(S): CASREACT 120:8480; MARPAT 120:8480  
 GI



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

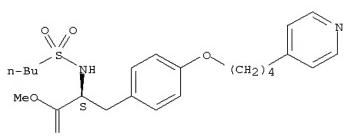
AB The title compds. I [R1 = 6-membered (un)saturated heterocyclic ring containing 1 or 2 heteroatoms; R4 = aryl, C1-10 alkyl, C4-10 arylalkyl; m = 2-6], useful as fibrinogen receptor antagonists (no data), are prepared in high yield and from inexpensive starting materials by reacting R1Me with BuLi and Br(CH2)mOR (R = tetrahydropyran) forming R1(CH2)mOR, cleaving the ether to an alc. with HCl, and then coupling the ether with a tyrosinesulfonamide Me ester in the presence of Ph3P and iso-Pro2NCNCO2Pr-Iso (Mitsunobu reaction). Thus, (L)-tyrosine Me ester hydrochloride was condensed with n-butanesulfonyl chloride and the intermediate coupled with 4-(4-pyridinyl)butanol via the Mitsunobu reaction, producing II.  
 IT 149490-61-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of fibrinogen receptor antagonist and reaction of, in preparation of fibrinogen receptor antagonist)  
 RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.

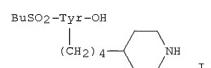


IT 151414-73-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of fibrinogen receptor antagonists)  
 RN 151414-73-2 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:650418 CAPLUS  
 DOCUMENT NUMBER: 119:250418  
 TITLE: A practical synthesis of fibrinogen receptor antagonist MK-383. Selective functionalization of (S)-tyrosine  
 AUTHOR(S): Chung, John Y. L.; Zhao, Dalian; Hughes, David L.; Gradowski, Edward J. J.  
 CORPORATE SOURCE: Dep. Process Res., Merck and Co., Inc., Rahway, NJ, 07065, USA  
 SOURCE: Tetrahedron (1993), 49(26), 5767-76  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 119:250418  
 GI

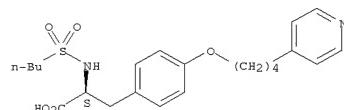


AB A practical 4-step synthesis of fibrinogen receptor antagonist MK-383 (I.HCl), is accomplished in 48% overall yield from (S)-tyrosine. Highlights include: (1) the dual use of 4-picoline as a masked form of piperidine, and as a nucleophile precursor for a 3-carbon homologation with 3-bromo-1-chloropropane; (2) the use of trimethylsilyl groups for temporary protection of phenolic and carboxylate oxygens of (S)-tyrosine that enable selective N-sulfonylation to be carried out in high yield;

(3) the selective phenolic O-alkylation of the tyrosine derivative in high yield with no racemization using aqueous KOH/DMSO; and (4) the selective hydrogenation of the pyridine ring in the presence of the tyrosine ring using Pd/C in acetic acid.

IT 149490-61-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and catalytic hydrogenation of)  
 RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:539778 CAPLUS  
 DOCUMENT NUMBER: 119:139778  
 TITLE: Process for preparing fibrinogen receptor antagonists  
 INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 8 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

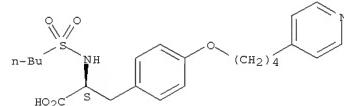
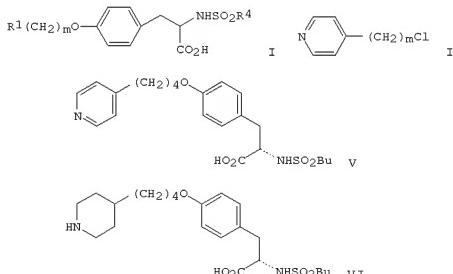
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5206373	A	19930427	US 1992-843658	19920228
EP 558139	A1	19930901	EP 1993-200486	19930220
EP 558139	B1	19970730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 738714	A2	19961023	EP 1996-202049	19960220
EP 738714	A3	19961120		
EP 738714	B1	20010502		
R: ES, GR				
AT 156118	T	19970815	AT 1993-200486	19930220
ES 2105069	T3	19971016	ES 1993-200486	19930220
ES 2156258	T3	20010616	ES 1996-202049	19960220
WO 9316995	A1	19930902	WO 1993-US1646	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ,				
PL, RO, RU, SD, SK, US, VS				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
BF, BJ, CF, CG, CI, CM, GA, GN, MD, MR, SN, TD, TG				
AU 9337322	A	19930913	AU 1993-37322	19930223
HU 70537	A2	19951030	HU 1994-2467	19930223
CZ 283485	B6	19980415	CZ 1994-2033	19930223
RU 2114105	C1	19980627	RU 1996-107890	19930223
HU 217959	B	20000528	HU 1996-658	19930223
RO 116016	B1	20000929	RO 1994-1433	19930223
SK 281250	B6	20010118	SK 1994-1022	19930223
JP 06009557	A	19940118	JP 1993-36896	19930225
CA 2090509	A1	19930829	CA 1993-2090509	19930226
CA 2090509	C	19970225		
AU 9333836	A	19930902	AU 1993-33836	19930226
AU 657199	B2	19950302		
CN 1076441	A	19930922	CN 1993-102136	19930227
CN 1050832	B	20000329		
FI 9403933	A	19941004	FI 1994-3933	19940826
FI 106023	B1	20001115		
RU 2097377	C1	19971127	RU 1994-40166	19940826
FI 107255	B1	20010629	FI 1998-2545	19981124
GR 3035827	T3	20010831	GR 2001-400122	20010503
LV 12824	B	20020920	LV 2002-41	20020315
PRIORITY APPLN. INFO.:			US 1992-843658	A 19920228
			EP 1993-200486	A3 19930220

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
WO 1993-US1646 A 19930223

FI 1994-3933 A 19940826

OTHER SOURCE(S): CASREACT 119:139778; MARPAT 119:139778  
GI

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 149490-61-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and selective hydrogenation of)  
 RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)  
 Absolute stereochemistry.

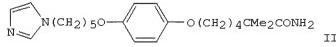


AB Tyrosine derivs. I ( $R_1 = 4$ -piperidinyl, 4-pyridyl;  $m = 2-6$ ;  $R_4 = \text{aryl}$ ,  $\text{Cl}-10$  alkyl, aralkyl), useful as fibrinogen receptor antagonists (no data), were prepared by  $N$ -sulfonylating tyrosine with  $R_4\text{SO}_2\text{Cl}$  mediated by  $\text{N},\text{O}$ -bis(trimethylsilyl)trifluoracetamide (BSTFA) and  $O$ -alkylating the resulting  $R_4\text{SO}_2\text{-Tyr-OH}$  with pyridylalkyl chlorides II in aqueous alkaline hydride in a highly polar aprotic solvent. When  $R_1 = 4$ -piperidinyl is desired for I, the corresponding 4-pyridyl derivative can be selectively hydrogenated over Pd/C in acetic acid. II was prepared by treating 4-picoline with BuLi and then chloroalkylating with  $B(CH_2)m\text{Cl}$ . Thus, a suspension of L-tyrosine and BSTFA in MeCN was heated at  $85^\circ$  for 2 h and the resulting solution of  $O,\text{O}'$ -bis(trimethylsilyl)L-tyrosine was cooled to  $40^\circ$  and then pyridine and  $\text{BuSO}_2\text{Cl}$  were added over 30 min. The reaction mixture was aged at  $70^\circ$  for 3 h and then at room temperature for 14 h. Almost all the solvent was removed in a batch concentrator and the oily residue was treated with 15%  $\text{KH}_2\text{PO}_4$  and stirred for 1 h to give 84%  $\text{BuSO}_2\text{-L-Tyr-OH}$  (III). 4-Picoline was treated with BuLi in THF and the resulting 4-picollylithium was treated with  $\text{Br}(\text{CH}_2)_3\text{Cl}$  to give 92% II ( $m = 4$ ) (IV). III was treated with IV in DMSO and 3N aqueous KOH to give pyridylbutyl ether V, which was hydrogenated over Pd/C in acetic acid to give 4-piperidinyl ether VI.

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:422967 CAPLUS  
 DOCUMENT NUMBER: 109:22967  
 TITLE: Preparation of heterocyclalkyl ethers and sulfides  
 as antitumor agents  
 INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro;  
 Numasaki, Yoso; Takahashi, Koichiro  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 56 pp.  
 CODEN: EPXNDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

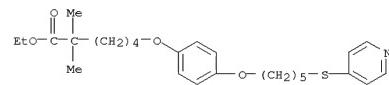
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
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JP 63179840	A	19880723	JP 1987-170800	19870707
US 4991432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
			JP 1986-174774	A 19860724
PRIORITY APPLN. INFO.:				
		US 1987-74290	A3	19870716

OTHER SOURCE(S): CASREACT 109:22967, MARPAT 109:22967  
 GI

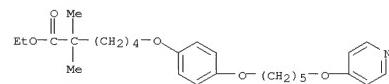


AB A(CH<sub>2</sub>)<sub>p</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>m</sub>X<sub>2</sub>BX<sub>3</sub>Y(CH<sub>2</sub>)<sub>n</sub>C<sub>1</sub>R<sub>2</sub>R<sub>3</sub> [I; A = biphenyl, (un)substituted 5- or 6-membered heterocyclic, 9- or 10-membered bicyclic heterocyclic, each containing 1-4 N and, optionally, O, S; X<sub>1</sub> = bond, X<sub>2</sub>; X<sub>3</sub> = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidindiyl, pyridazindiyil; R<sub>1</sub>, R<sub>2</sub> = H, alkyl; R<sub>3</sub> = H, OH, cyano, (un)modified CO<sub>2</sub>H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors.  
 4-HOC<sub>6</sub>H<sub>4</sub>O(CH<sub>2</sub>)<sub>4</sub>CMe<sub>2</sub>CONH<sub>2</sub> was etherified with Br(CH<sub>2</sub>)<sub>5</sub>Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 N-decyl-2,2-dimethyl-6-[4-[(5-midazol-1-ylpentyl)oxy]hexanamide  
 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch  
 25, and Mg stearate 5 mg.  
 IT 114545-62-9P 114545-83-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPF (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as neoplasm inhibitor)  
 RN 114545-62-9 CAPLUS  
 CN Hexanoic acid,  
 2,2-dimethyl-6-[4-[(5-(4-pyridinylthio)pentyl)oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 114545-83-4 CAPLUS  
 CN Hexanoic acid,  
 2,2-dimethyl-6-[4-[(5-(4-pyridinylthio)pentyl)oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



02/29/2008

10-566, 291.trn

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DICTIONARY FILE UPDATES: 28 FEB 2008 HIGHEST RN 1005771-38-9

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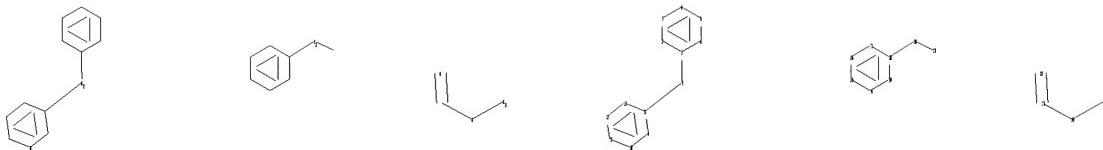
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10-566,291 three fragmnts.str



chain nodes :

7 20 21 22 23 24 26

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19

chain bonds :

1-7 7-8 18-20 20-21 22-23 23-24 24-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19  
15-16 16-17 17-18 18-19

exact/norm bonds :

1-7 7-8 18-20 20-21 22-23 23-24 24-26

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19  
15-16 16-17 17-18 18-19

isolated ring systems :

containing 1 : 8 : 14 :

G1:H,Ak

G2:C,O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 26:CLASS

02/29/2008

10-566,291.trn

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS  
L1 STR  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 20368 TO ITERATE

100.0% PROCESSED 20368 ITERATIONS 529 ANSWERS  
SEARCH TIME: 00.00.01

L2 529 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL  
SESSION  
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FILE LAST UPDATED: 28 Feb 2008 (20080228/ED)

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L3 128 L2

02/29/2008

10-566,291.trn

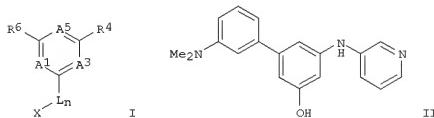
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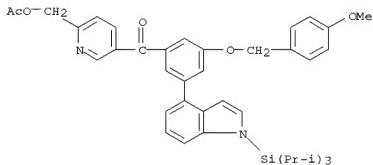
L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20061256681 CAPLUS  
 DOCUMENT NUMBER: 146:7835  
 TITLE: Preparation of heterocyclic anticancer agents and uses  
 INVENTOR(S): thereof  
 Kelly, Martha; Lee, Younghie; Liu, Bin; Fujimoto, Ted;  
 Freundlich, Joel; Dorsey, Bruce D.; Flynn, Gary A.;  
 Husain, Arifa  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 69pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-- US 2006270686	A1	20061130	US 2004-928401	20040830
--> WO 2008008059	A1	20080117	WO 2006-US26935	20060712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DS, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GD, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2003-498705P	P 20030829
<<			US 2003-528695P	P 20031212

<< OTHER SOURCE(S): MARPAT 146:7835  
 GI



L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 AB The present invention is in the area of novel compds. and salts thereof, their syntheses, and their use as anti-cancer agents. The compds. include

compds. of formula I and solvates, hydrates and pharmaceutically-acceptable salts thereof, wherein A1 = N or CR1; A3 = N or CR3; A5 = N or CR5; R1,R3, R5, R6 = H, halo, hydroxy, alkyl, etc.; R4 = (un)substituted 1-indolyl or 1-indazolyl; adamantyl, etc.; L = a linker; n = 0 or 1; and X

= (un)substituted aryl group having 6-10 carbons in the ring portion, (un)substituted 6-membered heteroaryl group having 1-3 nitrogens, etc. They are effective against a broad range of cancers, especially leukemia, non-small cell lung and colon. Preparation of I is exemplified. For example,

II was prepared in three steps from an initial reaction between 3-bromo-N,N-dimethylanilin and tri-Me borate via intermediates 3-dimethylaminophenylboronic acid and 3-benzoyloxy-3'-dimethylamino-5-(pyridin-3'-ylamino)biphenyl. In a cell viability assay using Jurkat and HeLa cells, II had IC50 values in the range of 1-30  $\mu$ M.

IT 915411-89-1b 915411-90-4P, Acetic acid [5-((4-methoxybenzyl)oxy)-5-[1-(trisopropylsilyl)-1H-indol-4-yl]benzoyl]pyridin-2-yl]methylester

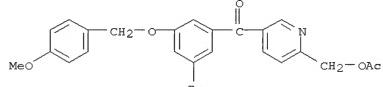
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant); RACT (Reactant)

(preparation of heterocyclic anticancer agents and uses thereof)

RN 915411-89-1 CAPLUS

CN Methanone, [6-[(acetoxy)methyl]-3-pyridinyl][3-[(4-

methoxyphenyl)methoxy]-5-[1-(tris(1-methylethyl)silyl)-1H-indol-4-yl]phenyl]- (CA INDEX NAME)



RN 915411-90-4 CAPLUS

CN Methanone, [6-[(acetoxy)methyl]-3-pyridinyl][3-[(4-methoxyphenyl)methoxy]-5-[1-(tris(1-methylethyl)silyl)-1H-indol-4-yl]phenyl]- (CA INDEX NAME)

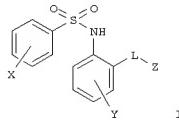
L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ACCESSION NUMBER: 2006493928 CAPLUS  
 DOCUMENT NUMBER: 144:467901  
 TITLE: Preparation of aryl sulfonamides as antagonists of CCR9 receptor  
 INVENTOR(S): Ungashe, Solomon; Wright, John J.; Pennell, Andrew; Wei, Zheng; Melikian, Anita  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 163 pp., Cont.-in-part of U.S. Ser. No. 0846,241.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

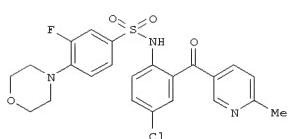
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--> US 2006111351	A1	20060525	US 2005-255163	20051020
--> US 2004171654	A1	20040902	US 2003-716170	20031117
--> US 6939885	B2	20050906		
--> EP 1798223	A2	20070620	EP 2007-4318	20031117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PI, RO, SE, SI, SK, TR CN 101077867 A 20071128 CN 2007-10127011 20031117				
CA 2505590 A1 20041007 CA 2003-2505590 20031118				
AU 2003303942 A1 20041018 AU 2003-303942 20031118				
AU 2003303942 B2 20080103 EP 2003-816012 20031118 EP 1567486 A2 20050831 EP 2003-816012 20031118				
EP 1567486 B1 20080116 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2006510724 T 20060330 JP 2004-569975 20031118				
US 2005137193 A1 20050623 US 2004-846241 20040513				
US 2005165067 A1 20050728 US 2005-46565 20050127				
MX 2005PA05296 A 20051214 MX 2005-PA5296 20050518				
IN 2005CN00962 A 20070810 IN 2005-CN962 20050518				
KR 780905 B1 20071130 KR 2005-708974 20050518				
JP 2007077166 A 20070329 JP 2006-311085 20061117				
AU 2007205711 A1 20070830 AU 2007-205711 20070809				
PRIORITY APPLN. INFO.: US 2002-427670P P 20021118				
US 2003-716170 A2 20031117				

L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 US 2004-846241 A2 20040513  
 AU 2003-298661 A3 20031117  
 CN 2003-80103335 A3 20031117  
 EP 2003-796416 A3 20031117  
 JP 2004-553842 A3 20031117  
 WO 2003-US37035 W 20031118

<-- OTHER SOURCE(S) : MARPAT 144:467901  
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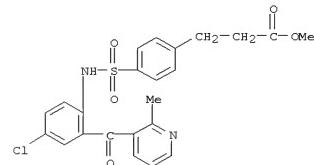


II

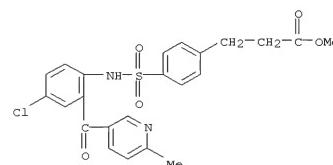
AB Title compds. I [X = 1-5 substituents independently selected from CN, NO<sub>2</sub>, (un)substituted alkyl, alkenyl, alkynyl, etc.; Y = 1-4 substituents independently selected from halo, CN, NO<sub>2</sub>, etc.; L = linker selected from CO, S, SO, or SO<sub>2</sub>; Z = (un)substituted monocyclic or bicyclic 5-10 membered heteroaryl, or bicyclic 3-10 membered heterocyclyl, (un)substituted amine or N-heterocycle] are prepared and disclosed as potent antagonists of the CCR9 receptor, and which have been further confirmed in animal testing for inflammation, one of the hallmark disease states for CCR9. Numerous compds. of the invention were determined to possess IC<sub>50</sub> values of less than 1000 nM in either chemotaxis assays and/or calcium mobilization assays. Compound II is claimed.

IT 698395-36-7P 698395-48-1P

L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (Preparation of aryl sulfonamides as antagonists of CCR9 receptor)  
 698395-36-7 CAPLUS  
 Benzenepropanoic acid, 4-[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl-, methyl ester (CA INDEX NAME)

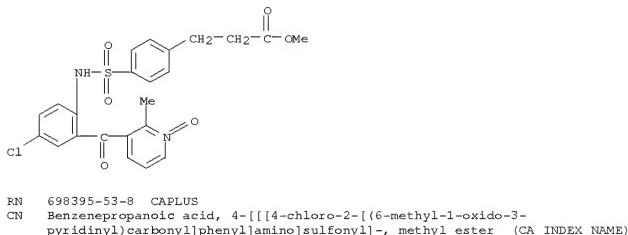


RN 698395-48-1 CAPLUS  
 Benzenepropanoic acid, 4-[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl-, methyl ester (CA INDEX NAME)

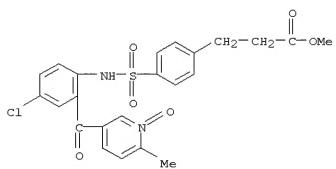


IT 698395-41-4P 698395-53-8P 698395-85-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (Preparation of aryl sulfonamides as antagonists of CCR9 receptor)  
 698395-41-4 CAPLUS  
 Benzenepropanoic acid, 4-[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl-, methyl ester (CA INDEX NAME)

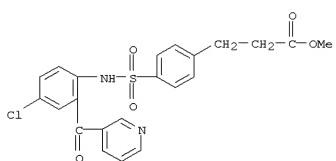
L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-53-8 CAPLUS  
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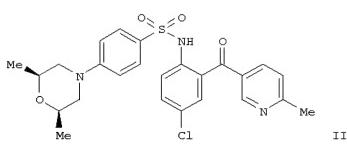
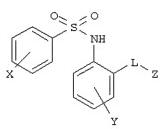
RN 698395-85-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl-, methyl ester (CA INDEX NAME)



L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 20051259748 CAPLUS  
 DOCUMENT NUMBER: 144:22718  
 TITLE: Preparation of aryl sulfonamides as antagonists of CCR9 receptor  
 INVENTOR(S): Ungashe, Solomon; Wright, John Jessen; Pennell, Andrew; Wei, Zheng; Melikian, Anita  
 PATENT ASSIGNEE(S): Chemocentryx, USA  
 SOURCE: PCT Int. Appl., 312 pp.  
 CODEN: PIKXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112925	A1	20051201	WO 2005-US16815	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, ZA, ZM, ZW				
RW: BE, GE, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RO, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DR, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005137193	A1	20050623	US 2004-846241	20040513
<-- AU 2007205711	A1	20070830	AU 2007-205711	20070809
<-- PRIORITY APPLN. INFO.:			US 2004-846241	A 20040513
<--			US 2002-427670P	P 20021118
<--			AU 2003-298661	A3 20031117
<--			US 2003-716170	A1 20031117
<-- OTHER SOURCE(S) : CASREACT 144:22718; MARPAT 144:22718 GI				

L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [X = 1-5 substituents independently selected from CN, NO<sub>2</sub>, (un)substituted alkyl, alkenyl, alkynyl, etc.; Y = 1-4 substituents independently selected from halo, CN, NO<sub>2</sub>, etc., L = linker selected from CO, S, SO, or SO<sub>2</sub>; Z = (un)substituted monocyclic or bicyclic 5-10 membered heteroaryl, or bicyclic 3-10 membered heterocyclyl, (un)substituted amine or N-heterocycle] are prepared and disclosed as potent antagonists of the CCR9 receptor, and which have been further confirmed in animal testing for inflammation, one of the hallmark disease states for CCR9. Thus, e.g., II was prepared by substitution of

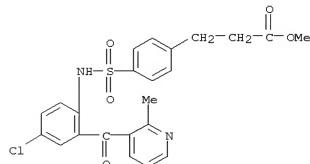
4-bromo-N-[4-chloro-2-(6-methylpyridine-3-carbonyl)phenyl]benzenesulfonamide (preparation given) with cis-2,6-dimethylmorpholine. Numerous compds. of the invention were determined to possess IC<sub>50</sub> values of less than 1000 nM in either chemotaxis assays and/or calcium mobilization assays. The compds. are generally aryl sulfonamide derivs. and are useful in pharmaceutical compns., methods for the treatment of CCR9-mediated diseases, and as controls in assays for the identification of CCR9 antagonists.

IT 698395-36-7P 698395-48-1P

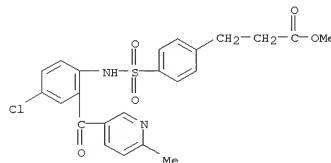
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

RN 698395-36-7 CAPLUS

CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-

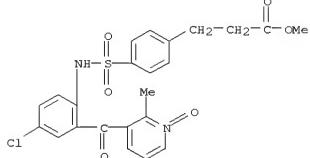
L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

RN 698395-48-1 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

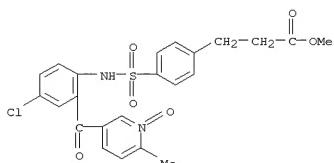


IT 698395-41-4P 698395-53-8P 698395-85-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
RN 698395-41-4 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

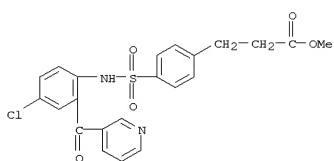
L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-53-8 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 698395-85-6 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:1089525 CAPLUS  
DOCUMENT NUMBER: 143:367209  
TITLE: Preparation of aralkyl amino acid derivatives as PPAR agonists with potent antihyperglycemic and antihyperlipidemic activity  
INVENTOR(S): Lu, Xianping; Li, Zhibin; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ma, Baoshun; Ning, Zhiqiang; Shan, Song; Deng, Tuan  
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Limited, Peop. Rep. China  
SOURCE: Faming Zhanlu Shengqing Gongkai Shuomingshu, 49 pp.  
DOCUMENT TYPE: CODEN: CNXXEV  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 1  
PRIORITY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1562970	A	20050112	CN 2003-126974	20030617

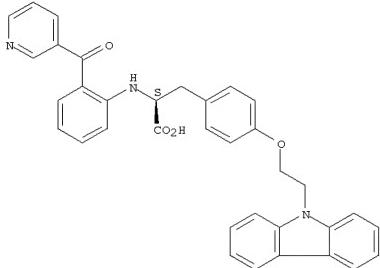
<-- PRIORITY APPLN. INFO.: CN 2003-126974 20030617  
<-- OTHER SOURCE(S): CASREACT 143:367209; MARPAT 143:367209  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein ring A, B = (un)substituted 5-6 membered (hetero)cyclic ring; X = a valence bond, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, O, S, (un)substituted amino; R<sub>1</sub> = H, (heteroaryl)alkyl, alkenyl, heterocyclyl, etc.; R<sub>2</sub> = H, (heteroaryl)alkyl, (hetero)aryl, etc.; R<sub>3</sub> = H, alkyl, aralkyl, aryl, etc.; R<sub>4</sub>, R<sub>5</sub> = independently H, alkyl, alkenyl, heteroaryloxy, etc.; Alk<sub>1</sub> = Cl-6 alkylene; Alk<sub>2</sub> = Cl-2 alkylene; Ar<sub>1</sub> = (hetero)arylene or (un)substituted divalent heterocyclic group; Ar<sub>2</sub> = (un)substituted (hetero)aryl; and stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator-activated receptors (PPAR) agonist that activates RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers. For example, condensation of 2-(4-fluorobenzoyl)cyclohexanone with L-tyrosine Me ester (48%), followed by O-alkylation with 1,2-dibromoethane (38%) and N-alkylation with carbazole (36%), gave II (CS 038). I showed comparative activation of RXR/PPAR- $\alpha$ , - $\delta$  and - $\gamma$ , and illustrated in vivo glucose lowering effect, etc. Thus, I and their pharmaceutical compns. are useful for as selective agonists activating PPAR, in particularly the RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers, in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders with improved

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 side effects profile commonly assocd. with conventional PPAR- $\gamma$   
 agonists.  
 IT 866218-00-OP  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of aralkyl amino acid derivs. as PPAR pan agonists with  
 potent antihyperglycemic and antihyperlipidemic activity)  
 RN 866218-00-0 CAPLUS  
 CN L-Tyrosine, O-[2-(9H-carbazol-9-yl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

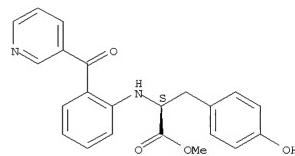
Absolute stereochemistry.



IT 702639-94-9P 702639-97-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of aralkyl amino acid derivs. as PPAR pan agonists with  
 potent antihyperglycemic and antihyperlipidemic activity)  
 RN 702639-94-9 CAPLUS  
 CN L-Tyrosine, N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

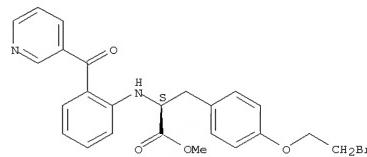
Absolute stereochemistry.

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 702639-97-2 CAPLUS  
 CN L-Tyrosine, O-(2-bromoethyl)-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

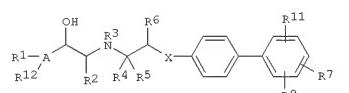


L4 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:588861 CAPLUS  
 DOCUMENT NUMBER: 143:115446  
 TITLE: Preparation of biphenyl aminoalcohols as  $\beta$ 3 adrenergic agonists for treatment of pollakiurea or urinary incontinence  
 INVENTOR(S): Hattori, Kouji; Toda, Susumu; Imanishi, Masashi; Ito, Shinji; Washizuka, Kenichi; Araki, Takanobu; Sakurai, Minoru; Tanabe, Daisuke  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Astellas Pharma Inc.  
 SOURCE: PCT Int. Appl., 174 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061433	A2	20050107	WO 2004-JP19495	20041220
WO 2005061433	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JE, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MR, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, T2, UA, UG, US, U2, VC, VN, YU, ZA, ZM, ZW FW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2551167	A1	20050707	CA 2004-2551167	20041220
EP 1697301	A2	20060906	EP 2004-807850	20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1906154	A	20070131	CN 2004-80041150	20041220
JP 2007516211	T	20070621	JP 2006-520478	20041220
MX 2006PA07173	A	20060823	MX 2006-PA7173	20060622
IN 2006CN02292	A	20070608	IN 2006-CN2292	20060623
KR 2007019678	A	20070215	KR 2006-714417	20060718
PRIORITY APPLN. INFO.:		AU 2003-907111	A 20031223	
		WO 2004-JP19495	W 20041220	

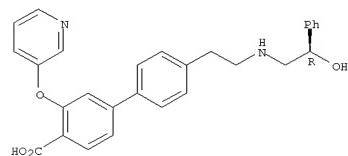
OTHER SOURCE(S): MARPAT 143:115446  
 GI

L4 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; A = Ph, pyridyl; X = bond, CH<sub>2</sub>, O, NH; R1, R12 = H, OH, halo, alkyl, etc.; R2 = H, (substituted) alkyl; R3 = H, protecting group; R4, R5, R6 = H, (substituted) alkyl; R7 = ZR13; Z = bond, (CH<sub>2</sub>)<sub>n</sub>, OCH<sub>2</sub>; n = 1-4; R13 = carboxy, alkoxy, carbonyl, alkylsulfonylcarbamoyl, alkanoylsulfamoyl; R8 = TR9; Y = bond, CH<sub>2</sub>, O, S, etc.; R9 = H, alkyl, cycloalkyl, haloalkyl, alkanoyl, etc.; R11 = H, alkyl, alkoxy, amino, etc.; with provisos], were prepared. Thus, 3-cyclohexoxy-4'-[2-[(2R)-2-hydroxy-2-(3-pyridinyl)ethyl]aminoethyl]-N-(methylsulfonyl)-4-biphenylcarboxamide dihydrochloride (preparation of analogous compds. given) at 0.010 mg/kg i.v. in beagle dogs gave 89% reduction in carbachol-induced increase in intravesical pressure.  
 IT 855480-30-7  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of biphenyl aminoalcs. as  $\beta$ 3 adrenergic agonists for treatment of pollakiurea or urinary incontinence)  
 RN 855480-30-7 CAPLUS  
 CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[(2R)-2-hydroxy-2-phenylethyl]aminoethyl]-3-(3-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

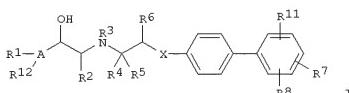


● 2 HCl

L4 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:547274 CAPLUS  
 DOCUMENT NUMBER: 143:78089  
 TITLE: Preparation of biphenyl aminoalcohols as  $\beta_3$  adrenergic agonists for treatment of pollakiurea or urinary incontinence.  
 INVENTOR(S): Hattori, Kouji; Toda, Susumu; Imanishi, Masashi; Ito, Shinji; Washizuka, Kenichi; Araki, Takanobu; Sakurai, Minoru; Tanabe, Daisuke  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: U.S. Pat. Appl. Publ., 53 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005137236	A1	20050623	US 2004-16886	20041221

<-- PRIORITY APPLN. INFO.: EP 2003-907111 A 20031223  
 <-- OTHER SOURCE(S): MARPAT 143:78089  
 GI

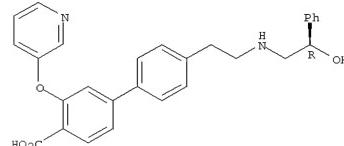


AB Title compds. [I; A = Ph, pyridyl; X = bond, CH<sub>2</sub>, O, NH; R<sub>1</sub>, R<sub>12</sub> = H, OH, halo, alkyl, etc.; R<sub>2</sub> = H, (substituted) alkyl; R<sub>3</sub> = H, protecting group; R<sub>4</sub>, R<sub>5</sub> = H, (substituted) alkyl; R<sub>7</sub> = ZR<sub>13</sub>; Z = bond, (CH<sub>2</sub>)<sub>n</sub>, OCH<sub>2</sub>; n = 1-4; R<sub>13</sub> = carboxy, alkoxy carbonyl, alkylsulfonylcarbamoyl, alkanoysulfamoyl; R<sub>8</sub> = YR<sub>9</sub>; Y = bond, CH<sub>2</sub>, O, S, etc.; R<sub>9</sub> = H, alkyl, cycloalkyl, haloalkyl, alkanoyl, etc.; R<sub>11</sub> = H, alkyl, alkoxy, amino, etc.; with provisos], were prepared. Thus, 3-cyclohexyloxy-4'-[2-[(2R)-2-hydroxy-2-(3-pyridyl)ethyl]aminoethyl]-N-(methylsulfonyl)-4-biphenylcarboxamide dihydrochloride (preparation of analogous compds. given) at 0.010 mg/kg i.v. in beagle dogs gave 89% reduction in carbachol-induced increase in intravascular pressure.

IT 855480-30-7  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of biphenyl aminoalcs. as  $\beta_3$  adrenergic agonists for

L4 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 treatment of pollakiurea or urinary incontinence)  
 RN 855480-30-7 CAPLUS  
 CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[(2R)-2-hydroxy-2-phenylethyl]aminoethyl]-3-(3-pyridinyl), dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



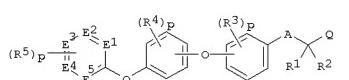
●2 HCl

L4 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:371204 CAPLUS  
 DOCUMENT NUMBER: 142:430015  
 TITLE: Preparation of phenoxyether derivatives as PPAR modulators  
 INVENTOR(S): Winerowski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulemburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 185 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037763	A1	20050428	WO 2004-US30911	20041008

<-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NQ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, RG, RZ, MD, RU, TO, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, CA 2541751 A1 20050428 CA 2004-2541751 20041008  
 <-- EP 1675814 A1 20060705 EP 2004-793892 20041008  
 <-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 JP 2007508382 T 20070405 JP 2006-535505 20041008  
 <-- US 2007037812 A1 20070215 US 2006-5179161 20060313  
 <-- PRIORITY APPLN. INFO.: US 2003-510865P P 20031014  
 <-- WO 2004-US30911 W 20041008  
 OTHER SOURCE(S): CASREACT 142:430015; MARPAT 142:430015  
 GI

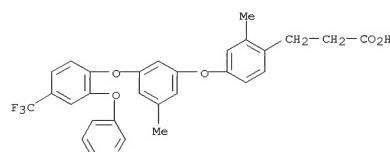


AB Title compds. I [E1-5 = CH, CR<sub>5</sub>, or at least one of E1-5 = N and others

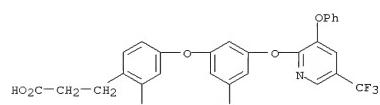
L4 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 are CH, CR<sub>5</sub>; A = bond, CH<sub>2</sub>, etc.; O = 1-4; R1-2 = H, alkyl, etc.; R3-4 = H, NO<sub>2</sub>, CN, OH, etc.; R5 = H, NO<sub>2</sub>, CN, etc.] are prepd. For instance, [(4-[3-(4-chloro-2-phenoxy)phenoxy]-2-methylphenyl)sulfanyl]acetic acid is prepd. in 2 steps from 4-chloro-2-phenoxyphenol, 1-bromo-3-iodobenzene and [(4-hydroxy-2-methylphenyl)sulfanyl]acetic acid Et ester. Example compds. bind to peroxisome proliferator activated receptor- $\alpha$  (PPAR $\alpha$ ), PPAR $\alpha$  and PPAR $\delta$  in the range of 1 - 1000 nM. I are useful in treating or preventing syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 850793-19-0P, 3-[2-Methyl-4-[3-methyl-5-[2-(3-pyridin-3-yl)oxy]-4-(trifluoromethyl)phenoxy]phenyl]propionic acid  
 850793-78-1P, 3-[2-Methyl-4-[3-methyl-5-[3-phenoxy-5-trifluoromethyl]pyridin-2-yl]oxy]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenoxyether derivs. as PPAR modulators)

RN 850793-0 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[3-methyl-5-[2-(3-pyridin-3-yl)oxy]-4-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



RN 850793-78-1 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[3-methyl-5-[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005323829 CAPLUS  
 DOCUMENT NUMBER: 142:392290  
 TITLE: Preparation of pyridylamino compounds as glycine transport inhibitors  
 INVENTOR(S): Lowe, John Adams  
 PATENT ASSIGNEE(S): Pfizer Inc, USA  
 SOURCE: U.S. Pat. Appl. Publ., 10 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

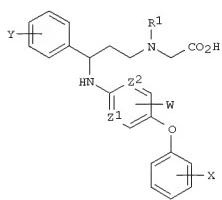
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005080100	A1	20050414	US 2004-926493	20040826

<-- WO 2005035494 A1 20050421 WO 2004-IB3129 20040927

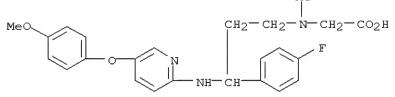
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 GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
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 SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-510016P P 20031009

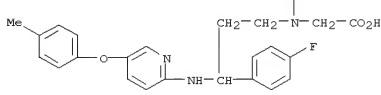
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 GI



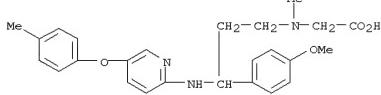
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Glycine, N-[3-(4-fluorophenyl)-3-[(5-(4-methoxyphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



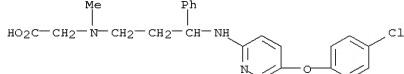
RN 850015-64-4 CAPLUS  
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RN 850015-65-5 CAPLUS  
 CN Glycine, N-[3-(4-methoxyphenyl)-3-[(5-(4-methoxyphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



RN 850015-66-6 CAPLUS  
 CN Glycine, N-[3-[(5-(4-chlorophenoxy)-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-67-7 CAPLUS  
 CN Glycine, N-[3-[(5-(4-chlorophenoxy)-2-pyridinyl)amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB This invention relates to a series of pyridylamino compds. I [21, 22 = C, N, provided that Z2 is not C when Z1 = C; W, X and Y = H, alkyl, alkoxy, etc.; R1 = H, alkyl], that exhibit activity as glycine transport inhibitors, their pharmaceutical compns. containing them, and their use for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. The general procedure which describes the synthesis of compds.

I, is given. Seventeen compds. I such as {Methyl-[3-phenyl-3-(5-p-tolyl)oxypyridin-2-ylamino]propyl}aminoacetic acid, were prepared. The compds. I have been found to have significant activity in inhibiting glycine reuptake in synaptosomes, having IC50 values of no greater than

50 nM.

IT 850015-61-1P 850015-62-2P 850015-63-3P

850015-64-4P 850015-65-5P 850015-66-6P

850015-67-7P 850015-68-8P 850015-69-9P

850015-70-2P 850015-71-3P 850015-72-4P

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850015-76-8P 850015-78-0P

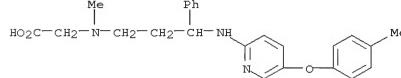
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of pyridylamino compds. as glycine transport inhibitors)

RN 850015-61-1 CAPLUS

CN Glycine, N-methyl-N-[3-[(5-(4-methylphenoxy)-2-pyridinyl)amino]-3-phenylpropyl]- (CA INDEX NAME)

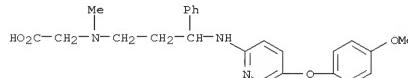
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methyl- (CA INDEX NAME)



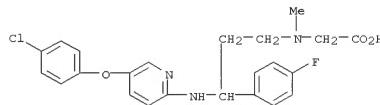
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CN Glycine, N-[3-[(5-(4-methoxyphenoxy)-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



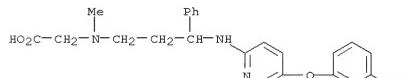
RN 850015-63-3 CAPLUS

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



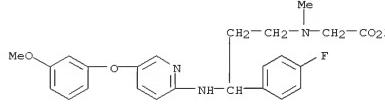
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CN Glycine, N-[3-[(5-(3-methoxyphenoxy)-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



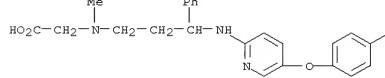
RN 850015-69-9 CAPLUS

CN Glycine, N-[3-[(4-fluorophenoxy)-2-pyridinyl]amino]-3-[(3-methoxyphenoxy)-2-pyridinyl]propyl-N-methyl- (CA INDEX NAME)



RN 850015-70-2 CAPLUS

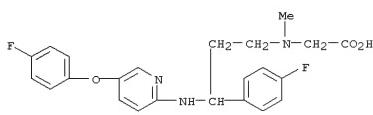
CN Glycine, N-[3-[(4-fluorophenoxy)-2-pyridinyl]amino]-3-[(4-fluorophenoxy)-2-pyridinyl]propyl-N-methyl- (CA INDEX NAME)



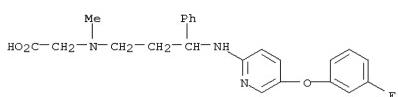
RN 850015-71-3 CAPLUS

CN Glycine, N-[3-[(4-fluorophenoxy)-2-pyridinyl]amino]-3-(4-fluorophenyl)propyl-N-methyl- (CA INDEX NAME)

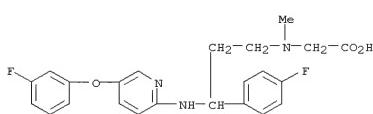
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



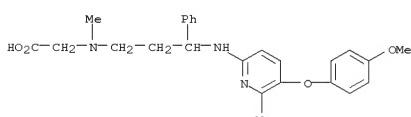
RN 850015-72-4 CAPLUS  
CN Glycine, N-[3-[(5-(3-fluorophenoxy)-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



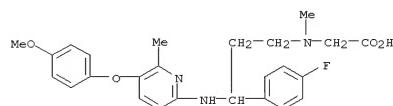
RN 850015-73-5 CAPLUS  
CN Glycine, N-[3-[(5-(3-fluorophenoxy)-2-pyridinyl)amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)



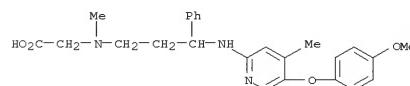
RN 850015-74-6 CAPLUS  
CN Glycine, N-[3-[(5-(4-methoxyphenoxy)-6-methyl-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



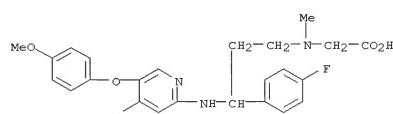
RN 850015-75-7 CAPLUS  
CN Glycine, N-[3-(4-fluorophenyl)-3-[(5-(4-methoxyphenoxy)-6-methyl-2-

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)

RN 850015-76-8 CAPLUS  
CN Glycine, N-[3-[(5-(4-methoxyphenoxy)-4-methyl-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-78-0 CAPLUS  
CN Glycine, N-[3-(4-fluorophenyl)-3-[(5-(4-methoxyphenoxy)-4-methyl-2-pyridinyl)amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005219782 CAPLUS

DOCUMENT NUMBER: 142:298005

TITLE: Preparation of substituted N-(aryl methyl) aryl oxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4

INVENTOR(S): Yamagishi, Tatsuya; Okumura, Yoshiyuki; Nukui, Seiji; Nakao, Kazunari

PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Japan, Inc.

SOURCE: PCT Int. Appl., 209 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021508	A1	20050310	WO 2004-1B2735	20040823

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
FW: BW, GH, GN, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004268839 A1 20050310 AU 2004-268839 20040823

CA 2536870 A1 20050310 CA 2004-2536870 20040823

EP 1663979 A1 20060607 EP 2004-769162 20040823

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR  
BR 2004014130 A 20061031 BR 2004-14130 20040823  
CN 1867551 A 20061122 CN 2004-80030095 20040823  
JP 2007504210 T 20070301 JP 2006-525196 20040823

US 2005065188 A1 20050324 US 2004-932463 20040902

US 7238714 B2 20070703 US 2004-1026958 20040903  
NL 1026958 A1 20050307 NL 2004-1026958 20040903

NL 1026958 C2 20050629 IN 2006DN00817 A 20070810 IN 2006-DN817 20060217  
KR 747401 B1 20070808 KR 2006-704328 20060302

KR 2007026302 A 20070308 KR 2006-PA2551 20060303  
MX 2006PA02551 A 20060620 MX 2006-PA2551 20060303

L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
NO 2006001251 A 20060317 NO 2006-1251 20060317

&lt;-- JP 2008044949 A 20080228 JP 2007-229739 20070905

&lt;-- PRIORITY APPLN. INFO.: US 2003-500131P P 20030903

&lt;-- JP 2006-525196 A3 20040823

&lt;-- WO 2004-IB2735 W 20040823

OTHER SOURCE(S): CASREACT 142:298005; MARPAT 142:298005  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. I [B = aryl, heteroaryl; R1, R2 = H, halo, alkyl, alkoxy, haloalkyl, haloalkoxy, NC, H2NCO; R3, R4 = H, alkyl; R3R4C = 3-7 membered carbocycle; R5 = HO2C, 1H-5-tetrazoyl, R6SO2NHCO; R5 may also be an alkoxycarbonyl derivative containing a prodrugs group; R6 = alkyl, cycloalkyl, aryl, heteroaryl; X = CH2, O, S; Y, Z, A, E = CH, N (only one of A, E, Y, or Z may be N)], particularly substituted N-(aryl methyl) aryl oxy- or heteroaryl oxy arylcarboxamides such as II, are prepared as agents for the treatment of prostaglandin-mediated conditions such as pain, inflammation, osteoarthritis, or rheumatoid arthritis; the compds. of the invention act as PGE2 receptor EP4 antagonists. Radical bromination of Me 2-methyl-5-chlorobenzene, palladium-catalyzed coupling of the bromomethylbenzoate with 3-chlorophenylboronic acid, and ester hydrolysis yields 2-(4-chlorophenylmethyl)-5-chlorobenzonic acid. (S)- $\alpha$ -methyl-4-bromobenzylamine is protected with Boc anhydride, carboxylated with methanol and carbon monoxide in the presence of palladium (II) acetate and 1,3-bis(diphenylphosphino)propane, deprotected with trifluoroacetic acid, and reacted with hydrogen chloride to yield

the nonracemic (aminoethyl)benzoate hydrochloride III•HCl. Coupling of 2-(4-chlorophenylmethyl)-5-chlorobenzonic acid with III•HCl followed by ester hydrolysis yields II. Data on the inhibition of the human PGE2 receptor EP4 by selected compds. of the invention is provided. E.g., II binds to the human PGE2 receptor EP4 with a Ki value of 0.7 nM; in a functional assay with the human PGE2 receptor EP4, II has an IC50 value of 3.6 nM.

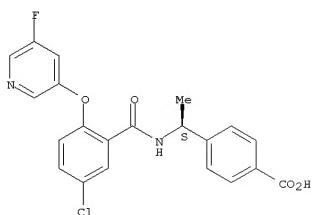
IT 847728-32-9 P 847728-33-OP  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of substituted N-(aryl methyl) aryl oxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4 for the treatment of pain, inflammation, osteoarthritis, and rheumatoid arthritis)

RN 847728-32-9 CAPLUS  
CN Benzoic acid, 4-[(1S)-1-[(5-chloro-2-[(5-fluoro-3-pyridinyl)oxy]benzoyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

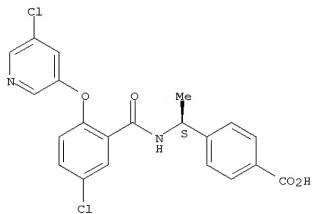
L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



RN 847728-33-0 CAPLUS  
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-((5-chloro-3-pyridinyl)oxy)benzoyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

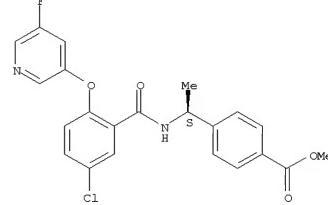


IT 847729-91-3 P 847729-93-5  
RL: RCT (Reactant); SNN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of substituted N-(arylmethyl)aryloxy arylcarboxamide and heterocarboxamide antagonists for the PGF<sub>2</sub> receptor EP4 for the treatment of pain, inflammation, osteoarthritis, and rheumatoid arthritis)  
RN 847729-91-3 CAPLUS  
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-((5-fluoro-3-pyridinyl)oxy)benzoyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

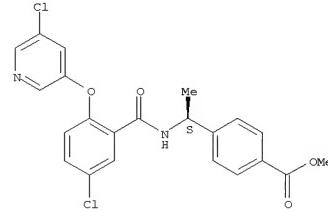
Absolute stereochemistry.

L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



RN 847729-93-5 CAPLUS  
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-((5-chloro-3-pyridinyl)oxy)benzoyl]amino]ethyl]-, methyl ester (CA INDEX NAME)  
Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

ACCESSION NUMBER: 2005182607 CAPLUS

DOCUMENT NUMBER: 142:279949

TITLE:

Preparation of aryloxalkoxyalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists

INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winnroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 603 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

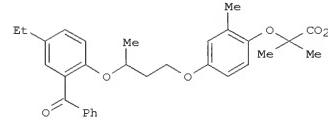
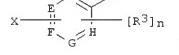
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
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US 2006257987	A1	20061116	US 2006-566291	20060125
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PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
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WO 2004-US24381	W	20040817		

OTHER SOURCE(S): MARPAT 142:279949  
GI

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH<sub>2</sub>, O, S, and wherein A1 and R4 or A1 and R5 form a 3- to 6-membered carbocyclen when A1 = C; A2, A3 = independently CH<sub>2</sub>, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO<sub>2</sub>H and derivatives, carboxamido, sulfonamido, etc.]; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biphenyl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO<sub>2</sub>, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy, R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC<sub>50</sub> and EC<sub>50</sub> in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

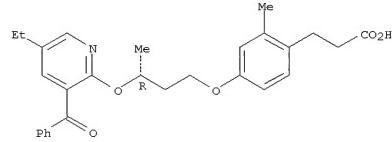
IT 847345-17-9P, (R)-3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847345-23-7P, (R)-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanylacetic acid 847345-75-9P, 3-[4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-79-3P, [(4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy)-2-methylphenyl]sulfanylacetic acid 847345-81-7P, 3-[4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-ethylphenyl]propionic acid 847345-84-0P, 3-[4-[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 methylphenyl]propionic acid 847345-88-4P, [(4-[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy)-2-methylphenyl]sulfanyl]acetic acid  
 847345-90-8P, 3-[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-93-1P,  
 [(4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy)-2-methylphenyl]sulfanyl]acetic acid 847345-95-3P,  
 3-[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]propionic acid 847346-00-3P,  
 [(2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]sulfanyl]acetic acid 847346-01-6P,  
 3-[2-Ethyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]propionic acid 847346-05-8P,  
 3-[4-[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid 847346-09-2P, 847346-10-5P  
 847352-00-5P, (R)-3-[4-[(3-Benzoyl-5-chloropyridin-2-yloxy)butoxyl]-2-methylphenyl]sulfanyl]ethanoic acid  
 847352-04-9P, (R)-3-[4-[(3-Chloro-3-phenoxy)pyridin-2-yloxy]butoxyl]-2-ethylphenyl]propionic acid 847352-05-0P,  
 (R)-3-[4-[(3-Chloro-3-phenoxy)pyridin-2-yloxy]butoxyl]-2-ethylphenyl]propionic acid 847352-06-1P, (R)-[4-[(3-Chloro-3-phenoxy)pyridin-2-yloxy]butoxyl]-2-methylphenyl]sulfanyl]ethanoic acid  
 847352-07-2P, (R)-3-[2-Methyl-4-[(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxyl]-2-ethylphenyl]propionic acid  
 847352-08-3P, (R)-3-[2-Ethyl-4-[(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxyl]-2-ethylphenyl]propionic acid  
 847352-09-4P, 3-[4-[(3-Chloro-3-phenoxy)pyridin-2-yloxy]propoxy]-2-methylphenyl]propionic acid trifluoroacetate 847352-10-7P,  
 3-[4-[(3-Chloro-3-phenoxy)pyridin-2-yloxy]butoxyl]-2-methylphenyl]propionic acid 847352-19-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847345-17-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxyl]-2-methyl- (CA INDEX NAME)

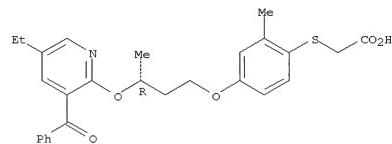
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



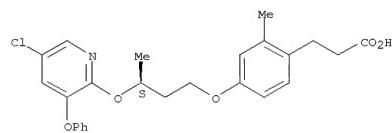
RN 847345-23-7 CAPLUS  
 CN Acetic acid, [(4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]sulfanyl]acetic acid (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-75-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

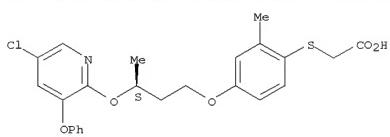
Absolute stereochemistry.



RN 847345-79-3 CAPLUS  
 CN Acetic acid, [(4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]sulfanyl]acetic acid (9CI) (CA INDEX NAME)

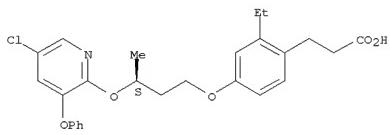
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



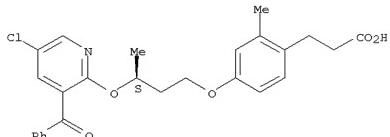
RN 847345-81-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-84-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

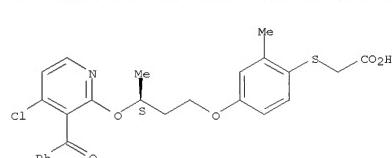
Absolute stereochemistry.



RN 847345-88-4 CAPLUS  
 CN Acetic acid, [(4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]acetic acid (9CI) (CA INDEX NAME)

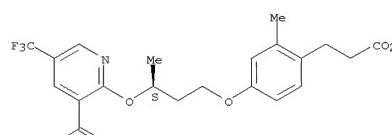
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



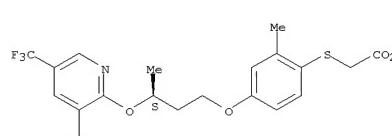
RN 847345-90-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-93-1 CAPLUS  
 CN Acetic acid, [(4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]acetic acid (9CI) (CA INDEX NAME)

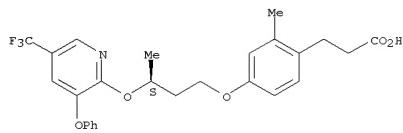
Absolute stereochemistry.



RN 847345-95-3 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

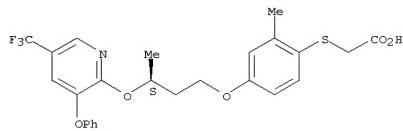
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



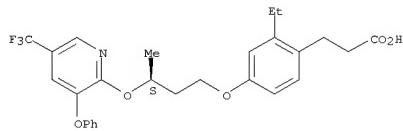
RN 847345-98-6 CAPLUS  
 CN Acetic acid, [(2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]thio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



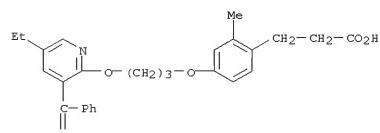
RN 847346-00-3 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-05-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

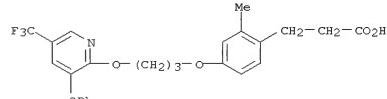
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847346-09-2 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[[3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-08-1  
 CMF C25 H24 F3 N O5



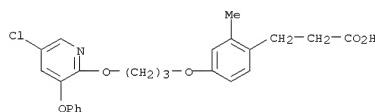
CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



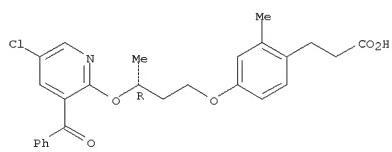
RN 847346-10-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



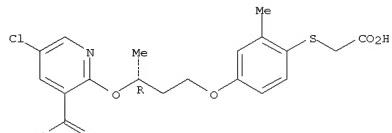
RN 847352-00-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-01-6 CAPLUS  
 CN Acetic acid, [(4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio)- (9CI) (CA INDEX NAME)

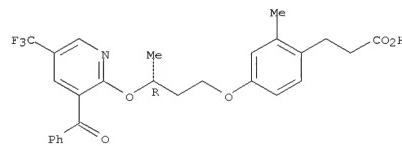
Absolute stereochemistry.



RN 847352-02-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

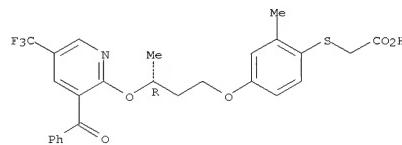
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



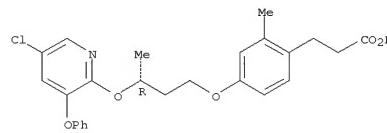
RN 847352-03-8 CAPLUS  
 CN Acetic acid, [(4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-04-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[[5-chloro-3-phenoxy-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

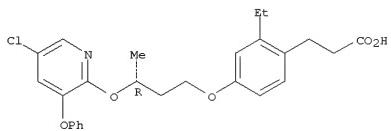
Absolute stereochemistry.



RN 847352-05-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[[5-chloro-3-phenoxy-2-pyridinyl]oxy]butoxy]-2-ethyl- (CA INDEX NAME)

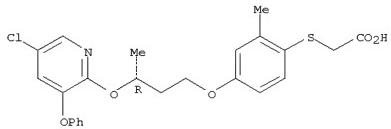
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



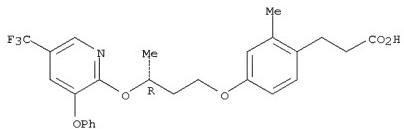
RN 847352-06-1 CAPLUS  
CN Acetic acid, [(4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-07-2 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

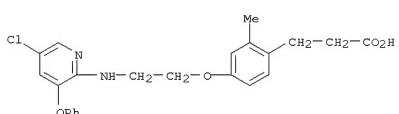
Absolute stereochemistry.



RN 847352-08-3 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

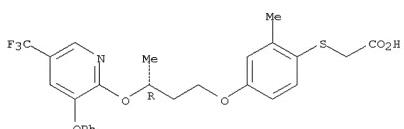
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-19-6 CAPLUS  
CN Acetic acid, [(2-methyl-4-[(3R)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]phenyl)thio]- (9CI) (CA INDEX NAME)

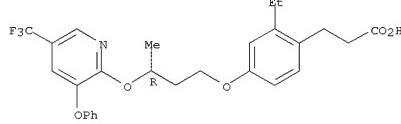
Absolute stereochemistry.



IT 847345-22-6P, 3-[4-[(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid methyl ester 847345-24-8P,  
[(4-[(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl)sulfanyl]acetic acid ethyl ester 847345-78-2P,  
3-[4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-80-6P,  
[(4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-82-8P,  
3-[4-[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-ethylphenyl]propionic acid methyl ester 847345-87-3P,  
3-[4-[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-89-5P,  
[(4-[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl)sulfanyl]acetic acid ethyl ester 847345-92-0P,  
3-[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-94-2P,  
[(4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl)sulfanyl]acetic acid ethyl ester 847345-97-5P,  
3-[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-99-7P,  
[(2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid ethyl ester 847346-01-4P,  
3-[2-Ethyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid ethyl ester 847346-06-9P,  
3-[4-[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid methyl ester  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of alkoxyphenylalkanoic acids and analogs

as PPAR  
Page 100

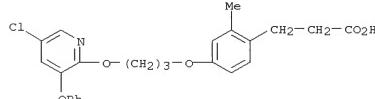
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-09-4 CAPLUS  
CN Benzenepropanoic acid, 4-[(3-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy-2-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-10-5  
CMF C24 H24 Cl N O5



CM 2

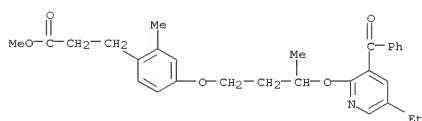
CRN 76-05-1  
CMF C2 H F3 O2



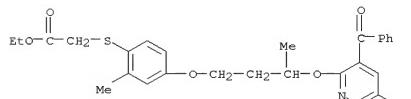
RN 847352-10-7 CAPLUS  
CN Benzenepropanoic acid, 4-[(3-chloro-3-phenoxy-2-pyridinyl)amino]ethoxy-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 847345-22-6 CAPLUS  
CN Benzenepropanoic acid, 4-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy-2-methyl-, methyl ester (CA INDEX NAME)

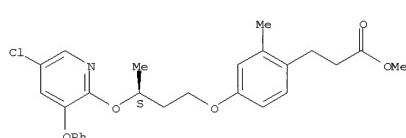


RN 847345-24-8 CAPLUS  
CN Acetic acid, [(4-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy)-2-methylphenyl]thio-, ethyl ester (9CI) (CA INDEX NAME)



RN 847345-78-2 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy-2-methyl-, methyl ester (CA INDEX NAME)

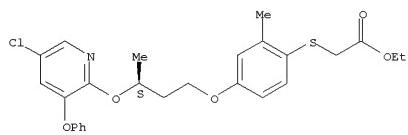
Absolute stereochemistry.



RN 847345-80-6 CAPLUS  
CN Acetic acid, [(4-[(3S)-3-(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy)-2-methylphenyl]thio-, ethyl ester (9CI) (CA INDEX NAME)

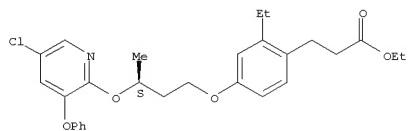
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



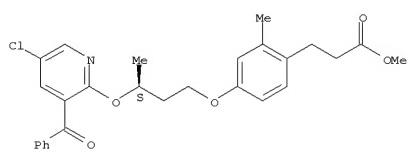
RN 847345-82-8 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-87-3 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

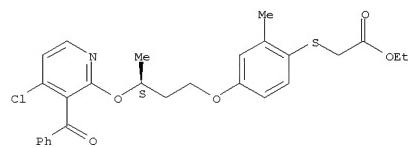
Absolute stereochemistry.



RN 847345-89-5 CAPLUS  
CN Acetic acid, [(4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

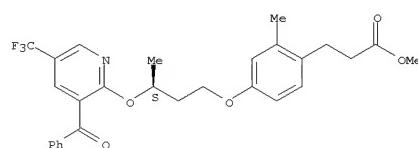
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



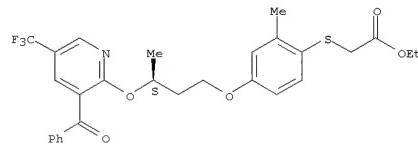
RN 847345-92-0 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-94-2 CAPLUS  
CN Acetic acid, [(4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

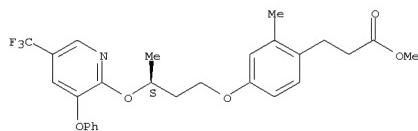
Absolute stereochemistry.



RN 847345-97-5 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

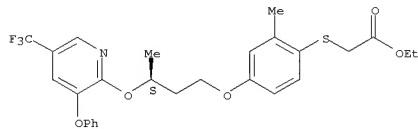
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



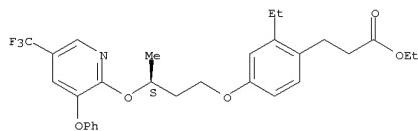
RN 847345-99-7 CAPLUS  
CN Acetic acid, [(2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



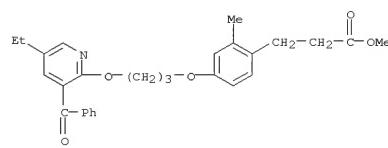
RN 847346-01-4 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-06-9 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



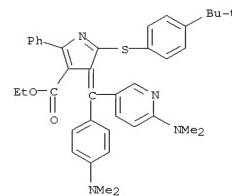
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS FORMAT

L4 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:931675 CAPLUS  
 DOCUMENT NUMBER: 141:396841  
 TITLE: Pyrrolofuranone-based Leuco pigments with good coloring sensitivity and concentration  
 INVENTOR(S): Taniguchi, Masatoshi  
 PATENT ASSIGNEE(S): Yamada Chemical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004307792	A	20041104	JP 2003-136577	20030407

<-- PRIORITY APPLN. INFO.: JP 2003-136577 20030407  
 <-- OTHER SOURCE(S): MARPAT 141:396841  
 GI

L4 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to pyrrolo furanones I, wherein R = H or alkyl; Y = alkyl, fluoro-substituted alkyl, or aryl (if Y is an aryl group, it may be substituted with alkyl, alkoxy, cyano, dialkylamino, or halogen atom); Z = chlorine atom, alkylthio, or (alkyl, fluoro-substituted alkyl, alkoxy, fluoro-substituted alkoxy, cyano, nitro, aryl, or halogen atom substituted) arylthio, pyridylthio, imidazolylthio, triazolylthio, thiazolylthio, benzimidazolylthio, or benzothiazolylthio; Q1 = substituent II; Q2 = substituent II, III, IV, V, or VI; R1, R2 = alkyl or (alkyl or alkoxy substituted) aryl (R1, R2 may be connected each other with nitrogen atom to form a pyridine or piperidine ring); R3, R4, R5, R6 = H, halogen atom, alkyl, or alkoxy; R7 = alkyl; R8, R9 = alkyl or aryl; Q3 = H or (alkyl, alkoxy, dialkylamino-substituted) phenyl; and R10, R11 = alkyl. Thus, 1.0 g 3-[bis[4-(diethylamino)phenyl]methylene]-2-chloro-5-phenyl-3H-pyrrole-4-carboxylic acid was heated with 18 g tert-butanol in the presence of 0.80 g sodium tert-butoxide to give 0.48 g pyrrolo furanone type compound with  $\lambda_{max}$  608 nm and extinction coefficient 114,000 in methanol.

IT 786698-37-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyrrolo furanone-based Leuco pigments)

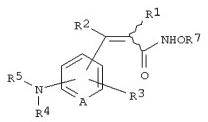
RN 786698-37-1 CAPLUS

CN 3H-Pyrrole-4-carboxylic acid, 3-[{4-(dimethylamino)phenyl}-2-[{4-(1,1-dimethylamino)-3-pyridinyl}methylene]-2-[{4-(1,1-dimethylamino)phenyl}thio]-5-phenyl-, ethyl ester (CA INDEX NAME)

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:823190 CAPLUS  
 DOCUMENT NUMBER: 141:332056  
 TITLE: Preparation of 3-phenyl- and 3-pyridylpropenohydroxamic acid derivatives as new matrix metalloprotease (MMP-3) inhibitors  
 INVENTOR(S): Hirata, Akikage; Nishimura, Hiroshi; Katayama, Kimiko;  
 Tamura, Koichi; Amano, Hirotaka; Sugimoto, Kaori  
 PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004277311	A	20041007	JP 2003-69128	20030314

<-- PRIORITY APPLN. INFO.: JP 2003-69128 20030314  
 <-- OTHER SOURCE(S): MARPAT 141:332056  
 GI



AB Disclosed are matrix metalloprotease (MMP-3) inhibitors containing 3-phenyl- and 3-pyridylacryloylhydroxamic acid derivs. (I) or salts thereof (wherein R1 = H, alkyl, halo; R2 = aryl, cycloalkylaryl, (un)substituted heteroaryl; R3 = H, halo; R4 = H, each (un)substituted alkyl or alkenyl; R5 = R6CO, R6SO2, R6NHCO, R6NHCS); wherein R6 = cycloalkyl, cyclic amino, each (un)substituted alkyl, aryl, or heteroaryl; R7 = H, protecting group; A = CH, N, N(:O)] as active ingredients. These compds. are useful for the prevention and/or treatment of chronic rheumatoid arthritis, osteoarthritis (arthrosis deformans), jaw arthritis, slipped disk, venous ulcer, diabetic ulcer, bedsores, ulcerative colitis, Crohn's disease, duodenal ulcer, dystrophic blister, herpes dermatitis, yellow ligament calcareous deposition, cancer, heart attack, and stroke. Thus, 270 mg (E/Z)-3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(N-oxidopyridin-3-yl)propenohydroxamic acid Est er (preparation given) was dissolved 3 mL dioxane, treated with 2 mL 5% aqueous NaOH solution, stirred at room temperature for

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 1 h 40 min, distd. under reduced pressure to remove dioxane, dild. with H2O, adjusted to pH 5-6 with 55 aq. HCl soln., and extd. with EtOAc to give, after workup, an oil (0.19 g). The oil was dissolved in 1.5 mL DMF, successively treated with 1-hydroxybenzotriazole 76, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 114, N-methylmorpholine 50, and O-(tert-butyldimethylsilyl)hydroxylamine 123 mg and stirred for 22 h, treated with 5 mL, and extd. with CHCl3/THF (4:1) to give, after workup and silica gel chromatog., (E)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(N-oxidopyridin-3-yl)propenohydroxamic acid. (E)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(2-pyridyl)propenohydroxamic acid showed IC50 of  $\mu$ g/mL against 0.030  $\mu$ M against MMP-3.

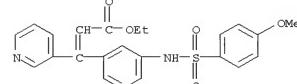
IT 402949-56-6P 402949-57-9P 402949-58-0P  
 402949-59-1P 768403-15-2P 768403-26-5P  
 768403-44-7P 768403-50-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 3-phenyl- and 3-pyridylpropenohydroxamic acid derivs. as new

matrix metalloprotease (MMP-3) inhibitors as preventives or remedies for diseases)

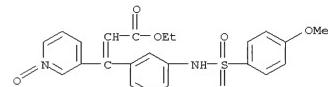
RN 402949-56-8 CAPLUS

CN 2-Propenoic acid, 3-[3-[{4-(methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 402949-57-9 CAPLUS

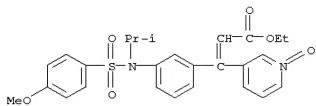
CN 2-Propenoic acid, 3-[3-[{4-(methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)



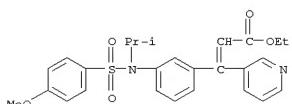
RN 402949-58-0 CAPLUS

CN 2-Propenoic acid, 3-[3-[{4-(methoxyphenyl)sulfonyl}(1-methylethyl)amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

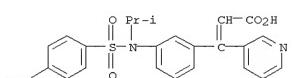
L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 402949-59-1 CAPLUS  
CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



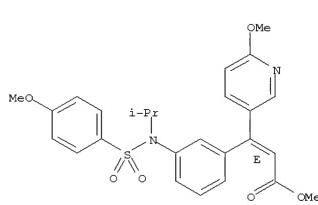
RN 768403-15-2 CAPLUS  
CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)



RN 768403-26-5 CAPLUS  
CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(6-methoxy-3-pyridinyl)-, methyl ester, (2E)- (CA INDEX NAME)

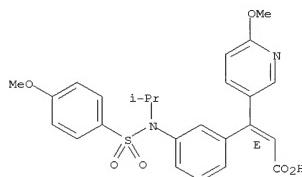
Double bond geometry as shown.

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

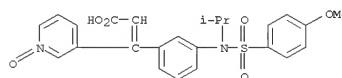


RN 768403-44-7 CAPLUS  
CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(6-methoxy-3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 768403-50-5 CAPLUS  
CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(1-oxido-3-pyridinyl)- (CA INDEX NAME)



L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:780653 CAPLUS

DOCUMENT NUMBER: 141:277245

TITLE: Preparation of imino ether derivatives as PPAR $\delta$  agonists

INVENTOR(S): Ishida, Akiharu; Kusuda, Shinya; Nakayama, Yoshisuke; Tajima, Hisao; Kido, Tomoyuki; Kitamine, Tetsuya

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

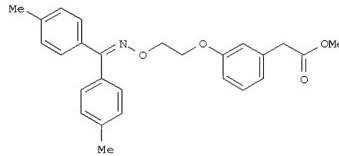
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080947	A1	20040923	WO 2004-JP3323	20040312
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CA 2518986	A1	20040923	CA 2004-2518986	20040312
EP 1602642	A1	20051207	EP 2004-782059	20040312
BR 2004008325	A	20060321	BR 2004-8325	20040312
CN 1787989	A	20060614	CN 2004-80012942	20040312
NO 2005004214	A	20051213	NO 2005-4214	20050912
US 2007167490	A1	20070719	US 2005-548650	20050913
PRIORITY APPLN. INFO.:			JP 2003-68932	A 20030313
			WO 2004-JP3323	W 20040312

OTHER SOURCE(S): MARPAT 141:277245  
GI

L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



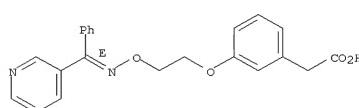
AB The title compds. with general formula of  $R_1R_2C=N-O-W-X-Ar-Y-Z$  [wherein R1 and R2 = independently H, (un)substituted hydrocarbyl, or cyclyl; or R1 and R2 together form a ring with the adjacent carbon atom; W = a spacer; X = a single bond, O, S, SO<sub>2</sub>, or (un)substituted NH; ring Ar = (un)substituted cyclyl; Y = a single bond or a spacer; Z = an acid], or salts, solvates, N-oxides, or prodrugs thereof are prepared as peroxisome proliferator-activated receptors (PPAR)  $\delta$  agonists. For example, the compound I was prepared in a four-step synthesis starting from bis(4-methoxyphenyl)methanone and 3-hydroxybenzeneacetic acid. The title compds. showed excellent agonistic activity towards human PPAR $\delta$ , and lowered triglyceride and LDL in rat. The title compds. are useful for

the treatment of diseases caused by PPAR $\delta$ , such as hyperlipemia and obesity. Formulations containing the title compds. as an active ingredient were also described.

IT 760984-43-8  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of imino ether derivs. as PPAR $\delta$  agonists)

RN 760984-43-8 CAPLUS  
CN Benzenoacetic acid, 3-[2-[(E)-(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy- (CA INDEX NAME)

Double bond geometry as shown.



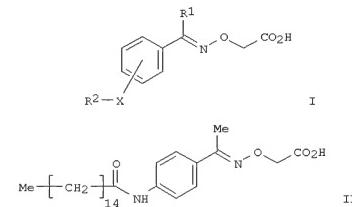
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:758809 CAPLUS  
 DOCUMENT NUMBER: 141:260773  
 TITLE: Preparation of [N-(phenylethyldene)aminoxy]acetic acid derivatives as protein tyrosine phosphatase inhibitors  
 INVENTOR(S): Amanomiya, Yoshiya; Motoizumi, Masatoshi; Taniuchi, Makoto; Hasegawa, Toru  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 113 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004256443	A	20040916	JP 2003-49085	20030226

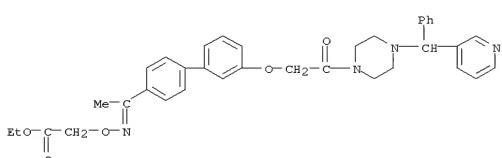
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 PRIORITY APPLN. INFO.: JP 2003-49085 20030226  
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 OTHER SOURCE(S): MARPAT 141:260773  
 GI



AB The title compds. having piperazine subunit with general formula of I [wherein X = a single bond, O, or CONH; R1 = (un)substituted alkyl or aryl; R2 = alkyl or aryl] or esters or pharmaceutically acceptable salts thereof are prepared as protein tyrosine phosphatase (PTP) inhibitors. For example, the compound II was prepared in a multi-step synthesis in good yield. II inhibited 98% human PTP-1B enzyme at 100 μM. Formulations containing I as an active ingredient were also described.  
 IT 756483-81-5P

L4 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of [N-(phenylethyldene)aminoxy]acetic acid derivs. as protein tyrosine phosphatase inhibitors)  
 RN 756483-81-5 CAPLUS  
 CN Acetic acid, [[[1-[3'-(2-oxo-2-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]ethoxy)[1,1'-biphenyl]-4-yl]ethylidene]amino]oxy]- (9CI) (CA INDEX NAME)

IT 756484-80-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of [N-(phenylethyldene)aminoxy]acetic acid derivs. as protein tyrosine phosphatase inhibitors)  
 RN 756484-80-7 CAPLUS  
 CN Acetic acid, [[[1-[3'-(2-oxo-2-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]ethoxy)[1,1'-biphenyl]-4-yl]ethylidene]amino]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

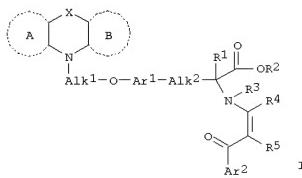


L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:467860 CAPLUS  
 DOCUMENT NUMBER: 141:38526  
 TITLE: Preparation of arylalcanoic acid derivatives as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity  
 INVENTOR(S): Li, Zhibin; Lu, Xian-Ping; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ma, Baoshun; Ning, Zhiqiang; Shan, Song; Deng, Tu; Shenzhen Chipgreen Biosciences Ltd., Peop. Rep. China  
 PATENT ASSIGNEE(S): Shenzhen Chipgreen Biosciences Ltd., Peop. Rep. China  
 SOURCE: PCT Int. Appl., 70 pp.  
 DOCUMENT TYPE: Patent  
 CODEN: PIXXD2  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048333	A1	20040610	WO 2003-IB5371	20031121

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 HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO,  
 NZ, OM, PH, PL,  
 PT, RO, RU, SC, SD, SL, SK, SL, TZ, TM, TN, TR, TT, TZ, OA,  
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 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM,  
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 BY, KG, KZ, MD, RU, TZ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG: US 2004142921 A1 20040722 US 2003-715622 20031118  
 <-- US 7268157 B2 20070911  
 CA 2504718 A1 20040610 CA 2003-2504718 20031121  
 <-- AU 2003280154 A1 20040618 AU 2003-280154 20031121  
 <-- EP 1569904 A1 20050907 EP 2003-772525 20031121  
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 JP 2006519171 T 20060824 JP 2005-510266 20031121  
 <-- IN 2005KN00792 A 20060707 IN 2005-KN792 20050503  
 <-- US 2008051321 A1 20080228 US 2007-882417 20070801  
 <-- PRIORITY APPLN. INFO.: US 2002-429221P P 200221126  
 <-- US 2003-469368P P 20030509  
 <-- US 2003-715622 A 20031118  
 <-- WO 2003-IB5371 W 20031121  
 <-- OTHER SOURCE(S): MARPAT 141:38526

L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
GI



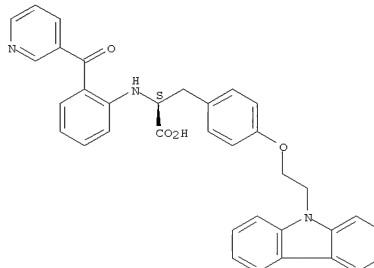
AB Title compds. I [wherein ring A, B = (un)substituted 5-6 membered (hetero)cyclic ring; X = a valence bond, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, O, S, (un)substituted amino; R1 = H, (heteroaryl)alkyl, alkenyl, heterocyclyl, etc.; R2 = H, (heteroaryl)alkyl, alkenynyl, (hetero)aryl, etc.; R3 = H, alkyl, aralkyl, aryl, etc.; R4, R5 = independently H, alkyl, alkenyl, alkenynyl, heteroalkoxy, etc.; Alk1 = C1-6 alkylene; Alk2 = C1-2 alkylene; Ar1 = (hetero)arylene or (un)substituted divalent heterocyclic group; Ar2 = (un)substituted (hetero)aryl; and stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator-activated receptors (PPAR) pan agonist that activates RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers. For example, condensation of 1-benzoylacetone with L-tyrosine Me ester (98%), followed by O-alkylation with 1,2-dibromoethane

(17%) and N-alkylation with carbazole (20%), gave 2-[(1-methyl-3-oxo-3-phenylpropenyl)amino]-3-[4-(2-(carbazol-9-yl)ethoxy)phenyl]propanoic acid (CS 023). I showed comparative activation of RXR/PPAR- $\alpha$ ,  $\delta$ , and  $\gamma$ , and illustrated in vivo glucose-lowering effect, etc. Thus, I and their pharmaceutical compns. are useful for as selective agonists activating PPAR, in particularly the RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers, in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders with improved side effects profile commonly associated with conventional PPAR- $\gamma$  agonists.

IT 866218-00-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
potent (preparation of arylalcanoic acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)

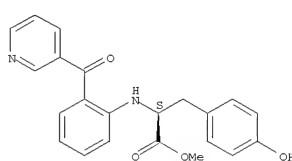
L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RN 866218-00-0 CAPLUS  
CN L-Tyrosine, O-[2-(9H-carbazol-9-yl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 702639-94-9P 702639-97-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of arylalcanoic acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)  
RN 702639-94-9 CAPLUS  
CN L-Tyrosine, N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

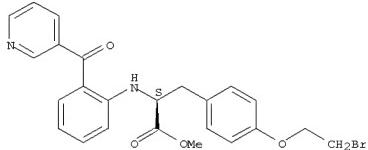
Absolute stereochemistry.



RN 702639-97-2 CAPLUS  
CN L-Tyrosine, O-(2-bromoethyl)-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl

L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:453170 CAPLUS  
DOCUMENT NUMBER: 141:38531  
TITLE: Preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists.  
INVENTOR(S): Ugashe, Solomon; Zheng, Wei; Wright, J. J.; Pennell, Andrew  
PATENT ASSIGNEE(S): Chemocentryx, USA  
SOURCE: PCT Int. Appl., 164 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

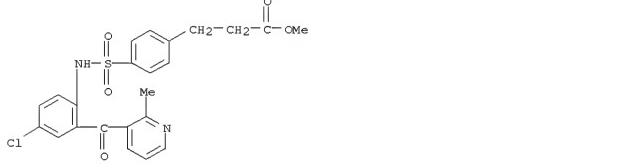
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046092	A2	20040603	WO 2003-US36766	20031117
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<-- AU 2003298661	A1	20040615	AU 2003-298661	20031117
<-- AU 2003298661	B2	20070510		
EP 1562940	A2	20050817	EP 2003-796416	20031117
<-- EP 1562940	B1	20070530		
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CN 1711257	A	20051221	CN 2003-80103335	20031117
<-- JP 2006506438	T	20060223	JP 2004-553842	20031117
<-- AT 363470	T	20070615	AT 2003-796416	20031117
<-- EP 1798223	A2	20070620	EP 2007-4318	20031117
<-- R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CN 101077867	A	20071128	CN 2007-10127011	20031117
<-- ES 2286502	T3	20071201	ES 2003-796416	20031117
<-- CA 2505590	A1	20041007	CA 2003-2505590	20031118
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L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

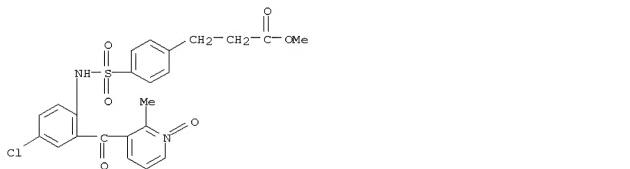
WO 2004085384 A3 20050203  
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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,  
 NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
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 --> EP 1567486 A2 20050831 EP 2003-8108668 20031118  
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 CN 1738796 A 20060222 CN 2003-80108668 20031118  
 --> JP 2006510724 T 20060330 JP 2004-569975 20031118  
 --> IN 2005CN00545 A 20070907 IN 2005-CN545 20050404  
 --> MX 2005PA04236 A 20060714 MX 2005-PA4236 20050421  
 --> ZA 2005003663 A 20051030 ZA 2005-3663 20050506  
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 --> ZA 2005004015 A 20060830 ZA 2005-4015 20050518  
 --> IN 2005CN00962 A 20070810 IN 2005-CN962 20050518  
 --> KR 780905 B1 20071130 KR 2005-708974 20050518  
 --> JP 2007077166 A 20070329 JP 2006-311085 20061117  
 --> IN 2007CN01155 A 20070831 IN 2007-CN1155 20070320  
 --> AU 2007205711 A1 20070830 AU 2007-205711 20070809  
 --> PRIORITY APPLN. INFO.: US 2002-427670P P 20021118  
 --> AU 2003-298661 A3 20031117  
 --> CN 2003-80103335 A3 20031117  
 --> EP 2003-796416 A3 20031117  
 --> JP 2004-553842 A3 20031117  
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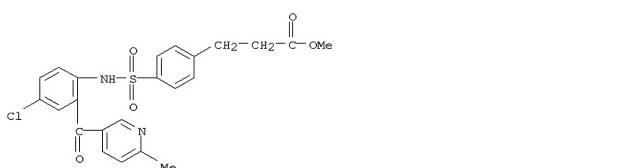
L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-41-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 698395-48-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

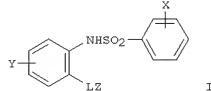


RN 698395-53-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

WO 2003-US36766 W 20031117  
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 WO 2003-US37035 W 20031118  
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 IN 2005-CN545 A3 20050404

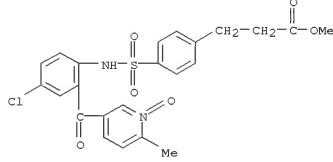
OTHER SOURCE(S): GI MARPAT 141:38531



AB Title compds. [I; X = 1-4 of halo, cyano, NO<sub>2</sub>, OH, OR1, COR1, CO2R1, SR1, NR1R2, NR1COR2, etc.; R1, R2 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; Y = 1-3 of halo, cyano, NO<sub>2</sub>, OH, OR4, COR4, CO2R4, SR4, SO2R4, SO2R4, (substituted) alkyl; R4 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl; L = CO, S, SC, SO<sub>2</sub>; Z = (substituted) mono- or bicyclic heteroaryl, heterocyclyl; with provisos], were prepared. Thus, reaction of (2-amino-5-chlorophenyl) pyridin-4-yl methanone (preparation given) with 4-tert-butylbenzenesulfonyl chloride gave 4-tert-butyl-N-[4-chloro-2-(pyridine-4-carbonyl)phenyl]benzenesulfonamide. The latter at 50 mg/kg s.c. twice a day in MDR1 knockout mice prevented IBD-associated growth retardation.

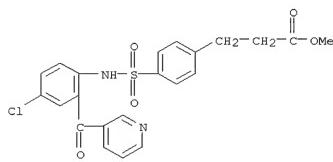
IT 698395-36-7P 698395-41-4P 698395-48-1P  
 698395-53-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists)  
 RN 698395-36-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-((2-methyl-3-pyridinyl)carbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 698395-85-6  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists)

RN 698395-85-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-((3-pyridinyl)carbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



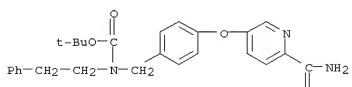
02/29/2008

10-566,291.trn

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:267241 CAPLUS  
 DOCUMENT NUMBER: 140:303538  
 TITLE: Preparation of [(aminoalkyl)aryl]oxy[nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions  
 INVENTOR(S): Blanco-Pillardo, Maria-Jesus; Chappell, Mark Donald; Garcia De la Torre, Marta; Diaz Buezo, Nuria; Fritz, James Erwin; Holloway, William Glen; Matt, James Edward, Jr.; Mitch, Charles Howard; Pedregal-Tercero, Concepcion; Quimby, Steven James; Siegel, Miles Goodman; Smith, Dana Rae; Stucky, Russell Dean; Takeuchi, Kumiko; Thomas, Elizabeth Marie; Wolfe, Chad  
 PATENT ASSIGNEE(S): Nolan  
 Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 559 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

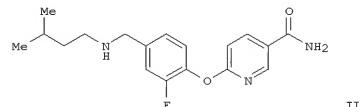
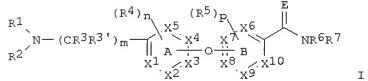
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026305	A1	20040401	WO 2003-US26300	20030917
WO 2004026305	A9	20040513		
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FW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CT, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2499690	A1	20040401	CA 2003-2499690	20030917
AU 2003269980	A1	20040408	AU 2003-269980	20030917
BR 2003014308	A	20050705	BR 2003-14308	20030917
EP 1562595	A1	20050817	EP 2003-751877	20030917
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CN 1681498	A	20051012	CN 2003-822421	20030917
JP 2006511474	T	20060406	JP 2004-537682	20030917
US 2006217372	A1	20060928	US 2005-526960	20050303
MX 2005PA03093	A	20050713	MX 2005-PA3093	20050318

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 a concn. of 7 mg/kg. In an acute feeding rat obesity assay, II suppressed opioid receptors at a dose of 0.3 µg/kg. In addn., diet-induced obese rats achieved an energy balance (caloric intake minus utilization) of ~81 kcal/kg/day upon administration of 0.3 mg/kg p.o. of II in an indirect calorimetry assay. Thus, I and their pharmaceutical compns. are useful for the treatment, prevention, or amelioration of obesity and related diseases.  
 IT 676496-29-0P, [4-((16-Carbamoylpiperidin-3-yl)oxybenzyl)(phenethyl)carbamic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of (aryloxy)nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions)  
 RN 676496-29-0 CAPLUS  
 CN Carbamic acid, [(4-[(6-(aminocarbonyl)-3-pyridinyl)oxyphenyl]methyl)(2-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 2005:2005KN00457 A 20060303 IN 2005-KN457 20050318  
 <-- NO 2005001871 A 20050418 NO 2005-1871 20050418  
 <-- PRIORITY APPLN. INFO.: US 2002-412158P P 20020919  
 <-- WO 2003-US26300 W 20030917  
 <-- OTHER SOURCE(S): MARPAT 140:303538  
 GI



AB Title diaryl ethers I [wherein X1-X10 = independently C, CH, or N; provided that each of rings A or B has no more than 2 N atoms; E = O or NH; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, (aryl)heterocyclyl, (cyclo)alkylheterocyclyl, (cyclo)alkanoylalkyl, arylalkyl, aryloxylalkyl, benzhydryl, bicycyl(alkyl), benzoyl(alkyl), alkoxyalkyl, alkoxy carbonyl, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, cycloalkylalkyl, carboxyalkyl, carbamoylalkyl, etc.; R3 and R3' = independently H, alkyl, alkenyl, alkynyl, (alkyl)aryl, or alkylcycloalkyl; R4 and R5 = independently H, (halo)alkyl, alkenyl, alkynyl, alkoxy(halo)alkyl, thioalkyl, halo, aryl(alkyl), alkanoyl, alkoxy carbonyl, aminoalkyl, cycloalkylalkyl, etc.; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkanoyl, OH, alkoxy, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, aryl(alkyl), carbamoyl(alkyl), etc.; m = 1-3; n = 0-3; p = 0-3; or pharmaceutically acceptable salts, solvates, enantiomers, racemates, diastereomers, or mixts. thereof] were prepared as  $\mu$ -,  $\kappa$ -, and  $\delta$ -opioid receptor antagonists. For example, reductive amination of 6-(2-fluoro-4-formylphenoxy)nicotinamide and 3-methylbutylamine provided II (99%). The latter inhibited ex vivo binding of [<sup>3</sup>H]-diprenorphine in rat striatum/nucleus accumbens by >65% at

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ACCESSION NUMBER: 2004:100955 CAPLUS  
 DOCUMENT NUMBER: 140:157441  
 TITLE: Cyclooxygenase-2 selective inhibitors, compositions and methods of use  
 INVENTOR(S): Garvey, David S.; Khanapure, Subhash P.; Ranatunge, Ramanil R.; Richardson, Stewart K.; Schroeder, Joseph D.  
 PATENT ASSIGNEE(S): Nitromed, Inc., USA  
 SOURCE: PCT Int. Appl., 140 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010945	A2	20040205	WO 2003-US23605	20030729
WO 2004010945	A3	20040422		
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FW: GH, GM, KE, LS, MW, MZ, SD, SL, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2493156	A1	20040205	CA 2003-2493156	20030729
AU 2003261281	A1	20040216	AU 2003-261281	20030729
US 2004072883	A1	20040415	US 2003-628375	20030729
US 7244753	B2	20070717		
EP 1542972	A2	20050622	EP 2003-772004	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538110	T	20051215	JP 2004-524981	20030729
US 2007238735	A1	20071011	US 2007-802161	20070521
PRIORITY APPLN. INFO.:			US 2002-398829P	P 20020729
			US 2003-628375	A3 20030729
OTHER SOURCE(S): MARPAT 140:157441			WO 2003-US23605	W 20030729

AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors and novel compns. comprising at least one cyclooxygenase 2 (COX-2) selective inhibitor, and, optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 synthase, and/or at least one therapeutic agent. The invention also provides novel kits comprising at least one COX-2 selective inhibitor, optionally nitrated and/or nitrosylated, and, optionally, at least one nitric oxide donor, and/or, optionally, at least one therapeutic agent. The novel cyclooxygenase 2 selective inhibitors of the invention can be optionally nitrated and/or nitrosylated. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors.

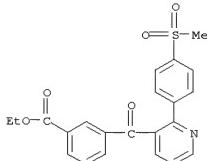
IT 654058-76-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiinflammatory cyclooxygenase-2 selective inhibitors)

RN 654058-76-1 CAPLUS

CN Benzoic acid, 3-[(2-[4-(methylsulfonyl)phenyl]-3-pyridinyl]carbonyl)-, ethyl ester (CA INDEX NAME)



IT 654059-10-6P

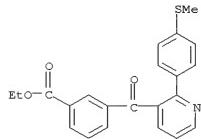
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(antiinflammatory cyclooxygenase-2 selective inhibitors)

RN 654059-10-6 CAPLUS

CN Benzoic acid, 3-[(2-[4-(methylthio)phenyl]-3-pyridinyl]carbonyl)-, ethyl ester (CA INDEX NAME)

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 654059-10-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

RN 654059-10-6 CAPLUS

CN Benzoic acid, 3-[(2-[4-(methylthio)phenyl]-3-pyridinyl]carbonyl)-, ethyl ester (CA INDEX NAME)

L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:950984 CAPLUS  
 DOCUMENT NUMBER: 140:5067  
 TITLE: Preparation of N-heteroaryl- and N-arylenesulfonamide and -heterocyclesulfonamides  
 as chemokine CCR9 inhibitors as antiinflammatory agents

INVENTOR(S): Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int'l. Appl., 110 pp.  
 CODEN: PIIXXD2

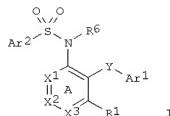
DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099773	A1	20031204	WO 2003-US16090	20030521
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US 2004038976	A1	20040226	US 2003-443155	20030521
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US 7238717	B2	20070703		
EP 1507756	A1	20050223	EP 2003-755422	20030521
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005526857	T	20050908	JP 2004-507431	20030521
<--				
ZA 2004009131	A	20050712	ZA 2004-9131	20041111
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MX 2004PA11465	A	20050214	MX 2004-PA11465	20041118
<--				
US 2006167251	A1	20060727	US 2006-391633	20060328
<--				
US 7282502	B2	20071016		
JP 2006265259	A	20061005	JP 2006-124437	20060427
<--				
US 2007066823	A1	20070322	US 2006-601025	20061117
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PRIORITY APPLN. INFO.:		US 2002-383573P	P 20020524	
<--				
		JP 2004-507431	A3 20030521	
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L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 US 2003-443155 A3 20030521

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OTHER SOURCE(S): MARPAT 140:5067  
GI

AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)2; X1, X2, and X3 are each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring A is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared. These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated

chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds.

I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including

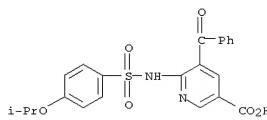
N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0  $\mu$ M.IT 628300-74-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heteroaryl- and N-arylenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)

RN 628300-74-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-benzoyl-6-[[4-(1-methylethoxy)phenyl]sulfonyl]amino- (CA INDEX NAME)

L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:784629 CAPLUS  
 DOCUMENT NUMBER: 139:292147  
 TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors  
 INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren Genetics Institute LLC, USA  
 PATENT ASSIGNEE(S): U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 30,102.  
 SOURCE: CODEN: USXXAM Patent  
 DOCUMENT TYPE: English  
 LANGUAGE: FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6630496	B1	20031007	US 2000-645042	20000824
<--	BR 9909242	A	BR 1999-9242	19990217
<--	PRIORITY APPLN. INFO.:		US 1997-918400	B2 19970826
<--			US 1998-30102	B2 19980225
<--			WO 1999-IS3388	W 19990217
OTHER SOURCE(S):	MARPAT 139:292147			
	GI			

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>O)<sub>n</sub>, (CH<sub>2</sub>S)<sub>n</sub>, (OCH<sub>2</sub>)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (C.tplbond.C)n, CONR<sub>6</sub>, O, S, or NR<sub>6</sub>; R<sub>1</sub> = H, OH, halo, etc.; R<sub>2</sub>, R<sub>3</sub> = H, CO<sub>2</sub>H, alkyl, aryl, etc.; R<sub>4</sub>, R<sub>5</sub> = H, OH, CN, CO<sub>2</sub>H, etc.; n = 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-((3,5-bis(trifluoromethyl)phenoxy)methyl)benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedine derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A<sub>2</sub> (cPLA<sub>2</sub>), for treatment of inflammatory conditions and pain, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081  $\mu$ M to >50  $\mu$ M for the LysoPC assay and from 2.5  $\mu$ M to >64  $\mu$ M for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition

at concns. of 3  $\mu$ M to 100  $\mu$ M. Pharmaceutical compn. comprising the compd. I was claimed.

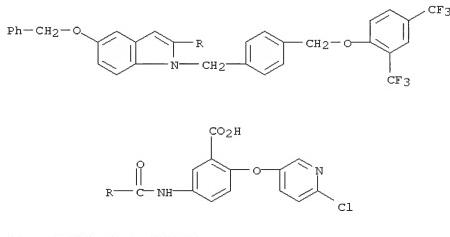
IT 204016-36-4P 204016-37-5P 241489-85-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);  
 (preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

RN 204016-36-4 CAPLUS

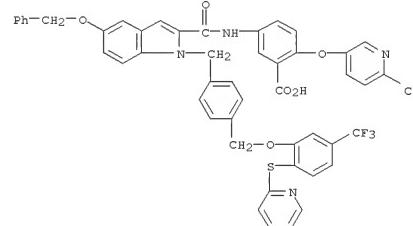
CN Benzoic acid,

5-[(1-[4-[(2,4-bis(trifluoromethyl)phenoxy)methyl]phenyl]methyl)-5-(phenylmethoxy)-1H-indol-2-yl]carbonylamino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



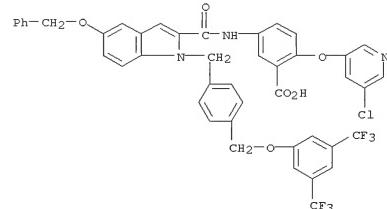
RN 204016-37-5 CAPLUS  
 CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[[5-(phenylmethoxy)-1-[(4-[(2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy)methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 241489-85-0 CAPLUS

CN Benzoic acid,  
 5-[(1-[4-[(2,4-bis(trifluoromethyl)phenoxy)methyl]phenyl)methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonylamino]-2-[(5-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

02/29/2008

10-566,291.trn

L4 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:757329 CAPLUS  
 DOCUMENT NUMBER: 139:276918  
 TITLE: Preparation of omega-carboxyaryl substituted diphenyl ureas as raf kinase inhibitors  
 INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.  
 PATENT ASSIGNEE(S): Bayer Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 61 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003181442	A1	20030925	US 2001-993647	20011127

<-- PRIORITY APPLN. INFO.: US 2001-993647 20011127

<-- OTHER SOURCE(S): MARPAT 139:276918  
 AB Aryl ureas of formula A-NHCONH-B [A = a substituted moiety of up to 40 carbon atoms of the formula: -L-(M-L1)q (where L = a 5 or 6 membered cyclic structure bound directly to D; L1 comprises a substituted cyclic moiety having at least 5 members; M = a bridging group having at least

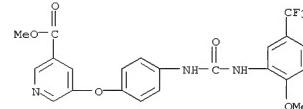
one atom; q = an integer of from 1-3; each cyclic structure of L and L1 contains 0-4 members of the group consisting of nitrogen, oxygen and sulfur); B = a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-membered cyclic structure bound directly to D containing 0-4 members of the group consisting of nitrogen, oxygen and sulfur] are prepared. These urea derivs.

are useful for treating raf mediated diseases, in particular cancerous cell growth mediated by raf kinase. Thus, N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-(2-(N-methylcarbamoyl)-4-pyridyloxy)phenyl]urea. Thus, a solution of 4-bromo-3-(trifluoromethyl)phenyl isocyanate (8.0 g, 30.1 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (80 mL) was added dropwise to a solution of 4-(2-(N-methylcarbamoyl)-4-pyridyloxy)aniline (7.0 g, 28.8 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (40 mL) at 0°, stirred at room temperature for 16 h, and filtered to give, after

washing the yellow solids, washing with CH<sub>2</sub>Cl<sub>2</sub> (2 + 50 mL), and drying under reduced pressure (approx. 1 mmHg) at 40° to give N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-(2-(N-methylcarbamoyl)-4-pyridyloxy)phenyl]urea. All compds. exemplified showed IC<sub>50</sub> between 1 nM to 10 μM against raf kinase.

IT 573673-59-3P, N-[5-(Trifluoromethyl)-2-methoxyphenyl]-N'-[4-(5-methoxycarbonyl-3-pyridyloxy)phenyl]urea  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of omega-carboxyaryl substituted di-Ph ureas as

L4 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 raf kinase inhibitors and anticancer agents)  
 RN 573673-59-3 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (CA INDEX NAME)



L4 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:590832 CAPLUS  
 DOCUMENT NUMBER: 139:149528  
 TITLE: Preparation of diphenylureas as RAF kinase inhibitors  
 INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.  
 PATENT ASSIGNEE(S): Bayer Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont. of U. S. Ser. No.  
 42,203.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144278	A1	20030731	US 2002-283248	20021030

<-- US 7235576 B1 20070626 US 2002-42203 20020111

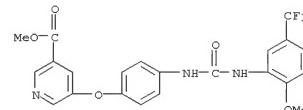
<-- PRIORITY APPLN. INFO.: US 2001-367380P P 20010112

<-- US 2002-42203 A1 20020111

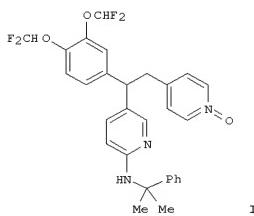
<-- OTHER SOURCE(S): MARPAT 139:149528  
 AB ABD [1]; D = NHCONH; A = L(ML1)q; L = 5-6 membered cyclic structure bound directly to D; L1 = substituted cyclic moiety having ≥5 members, M = bridging group having ≥1 atom; q = 1-3; L, L1 contain 0-4 N, O, S; B = (substituted) up to tricyclic aryl, heteroaryl of ≤30 C atoms with ≥1 6-membered cyclic structure bound directly to D containing 0-4 N, O, S], were prepared. Thus, 4-chloro-3-(trifluoromethyl)phenyl isocyanate in CH<sub>2</sub>Cl<sub>2</sub> was added dropwise to a suspension of 4-(2-(N-methylcarbamoyl)-4-pyridyloxy)phenylurea. I inhibited RAF kinase in the range 1 nM-1 μM. I pharmaceutical compns. are claimed.

IT 573673-59-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of diphenylureas as RAF kinase inhibitors)  
 RN 573673-59-3 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (CA INDEX NAME)

L4 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

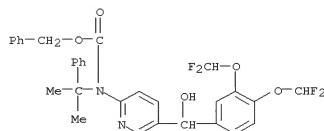


L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:189349 CAPLUS  
 DOCUMENT NUMBER: 139:52837  
 TITLE: Substituted aminopyridines as potent and selective phosphodiesterase-4 inhibitors  
 AUTHOR(S): Cote, Bernard; Frenette, Richard; Prescott, Sylvie; Blouin, Marc; Brideau, Christine; Ducharme, Yves; Friesen, Richard W.; Laliberte, France; Masson, Paul; Styliker, Angela; Girard, Yves  
 CORPORATE SOURCE: Merck Frost Centre for Therapeutic Research, Pointe-Claire-Dorval, QC, 1005, Can.  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(4), 741-744  
 CODEN: BMCLB8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:52837  
 GI

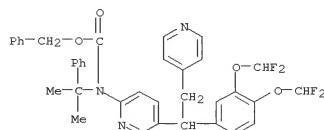


AB The synthesis and the biol. evaluation of new potent phosphodiesterase type 4 (PDE4) inhibitors are presented. This new series was elaborated by replacement of the metabolically resistant Ph hexafluorocarbonil of L-791,943 by a substituted aminopyridine residue. The structure-activity relationship of N-substitution led to the identification of (-)-I which exhibited a good PDE4 inhibitor activity (HWB-TNF $\alpha$ =0.12  $\mu$ M) and an improved pharmacokinetic profile over L-791,943 (rat t<sub>1/2</sub>=2 h). (-)-I was well tolerated in ferret with an emetic threshold of 30 mg/kg (po) and was found to be active in the ovalbumin-induced bronchoconstriction model in guinea pig (54%, 0.1 mg/kg, i.p.) as well as the ascaris-induced bronchoconstriction model in sheep (64%/97%, early/late, 0.5 mg/kg, iv).  
 IT 306761-01-3P 306761-02-4P 306761-03-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (prepn. of substituted aminopyridines as phosphodiesterase-4 inhibitors)  
 RN 306761-01-3 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]hydroxymethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

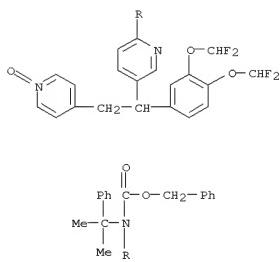


RN 306761-02-4 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 306761-03-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

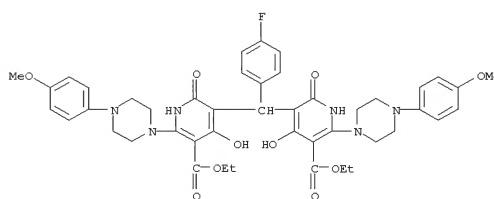


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:128942 CAPLUS  
 DOCUMENT NUMBER: 139:85208  
 TITLE: New bis(pyridyl)methane derivatives from 4-hydroxy-2-pyridones: synthesis and antitumoral activity

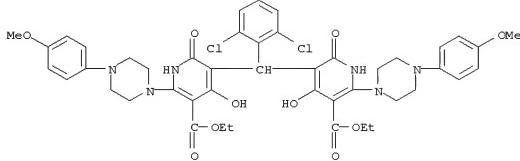
AUTHOR(S): Cocco, Maria Teresa; Congiu, Cenzo; Omnis, Valentina  
 CORPORATE SOURCE: Dipartimento di Tossicologia, Universita degli Studi di Cagliari, Cagliari, 09124, Italy  
 SOURCE: European Journal of Medicinal Chemistry (2003), 38(1), 37-47  
 CODEN: EJMCA5; ISSN: 0223-5234  
 PUBLISHER: Editions Scientifiques et Medicales Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:85208  
 AB Bis(pyridyl)methane derivs. were obtained from the reaction of 4-hydroxy-2-pyridones with aldehydes. Bis(pyridyl)methane derivs. were evaluated for cytotoxic activity against a panel of 60 human cancer cell lines by the National Cancer Institute and some of them demonstrated inhibitory effect on the growth of a wide range of cancer cell lines generally at 10-5 M level and in some case at 10-7 M concns.

IT 556039-47-5P 556039-49-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of bis(pyridyl)methane derivs. as antitumor agents)  
 RN 556039-47-5 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5,5'-[{4-(4-fluorophenyl)methylene]bis[1,6-dihydro-4-hydroxy-2-[4-(4-methoxyphenyl)-1-piperazinyl]-6-oxo-, diethyl ester (9CI) (CA INDEX NAME)



RN 556039-49-7 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5,5'-[{(2,6-dichlorophenyl)methylene]bis[1,6-dihydro-4-hydroxy-2-[4-(4-methoxyphenyl)-1-piperazinyl]-6-oxo-, diethyl ester (9CI) (CA INDEX NAME)

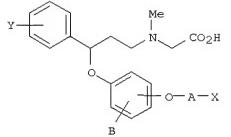
L4 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:5918 CAPLUS  
DOCUMENT NUMBER: 138:56244  
TITLE: Preparation of sarcosine aromatic ether derivatives  
as inhibitors of glycine transport  
INVENTOR(S): Lowe, John Adams, III  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 47 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2003000646	A1	20030103	WO 2002-IB1513	20020412		
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AT, BE, CH, RW, GH, GN, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, EM, ZW, AU, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	US 2003013887	A1	20030116	US 2002-86037	20020228	
<--	US 6566550	B2	20030520			
	CA 2451673	A1	20030103	CA 2002-2451673	20020412	
<--	AU 2002307818	A1	20030108	AU 2002-307818	20020412	
<--	EP 1406861	A1	20040414	EP 2002-780847	20020412	
<--	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	BR 2002010548	A	20040622	BR 2002-10548	20020412
<--	JP 2004534082	T	20041111	JP 2003-506850	20020412	
<--	US 2003208081	A1	20031106	US 2003-414736	20030416	
<--	US 6784299	B2	20040831			
	US 2003PA11596	A	20040405	MX 2003-PA11596	20031215	
<--	PRIORITY APPLN. INFO.:			US 2001-299827P	P 20010621	
<--				US 2002-86037	A3 20020228	
<--				WO 2002-IB1513	W 20020412	
<--	OTHER SOURCE(S):			MARPAT 138:56244		

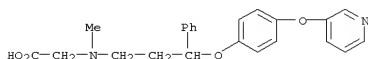
L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
GI

AB Substituted aromatic ethers I [A is a ring (Ph, naphthyl, benzothienyl, benzofuranyl, pyridyl, mono- or bicyclic (hetero)aryl not containing adjacent ring oxygen atoms); X, Y are alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, carboxy, carbalkoxy, carboxamido, alkylthio, sulfoxyl, sulfonyl, halo, nitro, cyano, amino, alkylamino, or dialkylamino; B is alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, or halo] or their pharmaceutically-acceptable salts were prepared as glycine transport inhibitors for use in the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Thus,

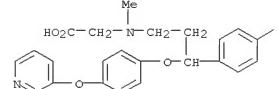
[methyl 3-phenyl-3-[4-(3-(trifluoromethyl)phenoxy)phenoxy]propyl]amino]acetic acid was prepared by alkylation of sarcosine Et ester hydrochloride with 3-phenyl-3-[4-(3-(trifluoromethyl)phenoxy)phenoxy]-1-chloropropane (preparation given), followed by ester cleavage. Compds. I show significant activity in inhibiting glycine re-uptake in synaptosomes (IC50 values more potent than 10  $\mu$ M).

IT 479629-64-6P 479629-66-8P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of sarcosine aromatic ether derivs. as inhibitors of glycine transport)

RN 479629-64-6 CAPLUS  
CN Glycine, N-methyl-N-[3-phenyl-3-[4-(3-pyridinyl)phenoxy]propyl]- (CA INDEX NAME)



RN 479629-66-8 CAPLUS  
CN Glycine, N-[3-(4-fluorophenyl)-3-[4-(3-pyridinyl)phenoxy]propyl]-N-

L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
methyl- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:965163 CAPLUS  
 DOCUMENT NUMBER: 138:39539  
 TITLE: Preparation of amino acid derivatives as inhibitors  
 of protein isoprenyl transferases  
 of  
 INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.;  
 Bar, Kenneth J.; Donner, Greg B.; Fakhouri, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Szczepankiewicz, Bruce G.; Gunawardana, Indrani W.  
 PATENT ASSIGNEE(S): University of Pittsburgh, USA  
 SOURCE: U.S. Pat. Appl. Publ., 499 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

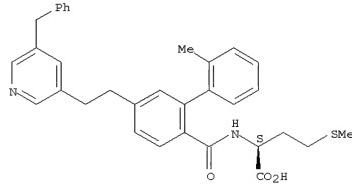
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002193596	A1	20021219	US 2001-984411	20011030
<-- US 6693123	B2	20040217	US 1995-7247P	P 19951106
PRIORITY APPLN. INFO.:				
<--			US 1996-740909	B2 19961105
<--			US 1997-852858	B2 19970507
<--				

OTHER SOURCE(S): MARPAT 138:39539  
 AB Compds. R3-2-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4-NR5-L5, L4-O-L5, L4-S(O)m-L5, etc., where L4 and L5 are absent or alk(en)ylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, etc.; m = 0-2; Z is a covalent bond, O, S(O)m, an amino group; R3 = (un)substituted pyridyl or imidazolyl; or L1, Z, and R3 together are aminoalkyl, haloalkyl, halo, carboxaldehyde, (carboxaldehyde)alkyl, or hydroxylalkyl (R1 ≠ H) or L1, Z, R3, and R4 together are an (un)substituted pyrrolidinone ring] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-(3-pyridylcarbonylamino)-2-phenylbenzoyl]methionine hydrochloride, prepared via amidation reaction, showed 93% inhibition of farnesyl transferase at 1x10<sup>-5</sup> M.  
 IT 478908-31-5  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amino acid derivs. as inhibitors of protein isoprenyl transferases)  
 RN 478908-31-5 CAPLUS  
 CN L-Methionine,  
 N-[(2'-methyl-5-[(2-[5-(phenylmethyl)-3-pyridinyl]ethyl][1,1'-biphenyl]-2-yl]carbonyl)-, monolithium salt (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:964190 CAPLUS  
 DOCUMENT NUMBER: 138:39272  
 TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids  
 acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions  
 INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 438 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

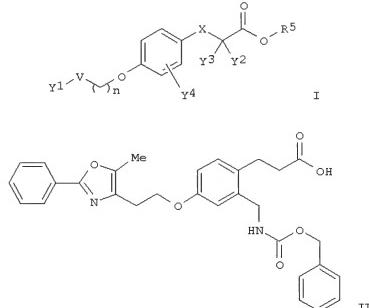
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200210403	A1	20021219	WO 2002-US15143	20020524
<-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TQ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG		CA 2448552	AI 20021219 CA 2002-2448552 20020524	
<-- AU 2002316105	A1	20021223	AU 2002-316105	20020524
<-- NZ 529550	A	20031219	NZ 2002-529550	20020524
<-- EP 1401434	A1	20040331	EP 2002-746380	20020524
<-- EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	BR 2002010167	A 20040406	BR 2002-10167	20020524
<-- HU 2004000268	A2	20040728	HU 2004-268	20020524
<-- JP 2005502600	T	20050127	JP 2003-503224	20020524
<-- CN 1578659	A	20050209	CN 2002-815453	20020524
<-- AT 345128	T	20061215	AT 2002-746380	20020524
<-- ES 2275887	T3	20070616	ES 2002-746380	20020524
<-- US 2005075378	A1	20050407	US 2003-477405	20031112
<-- US 7282501	B2	20071016		

L4 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



● Li

L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ZA 2003009059 A 20050810 ZA 2003-9059 20031120  
 <-- MX 2003PA10903 A 20040217 MX 2003-PA10903 20031127  
 <-- IN 2003KN01573 A 20060317 IN 2003-KN1573 20031203  
 PRIORITY APPLN. INFO.: US 2001-296701P P 20010607  
 <-- WO 2002-US15143 W 20020524  
 OTHER SOURCE(S): MARPAT 138:39272  
 GI

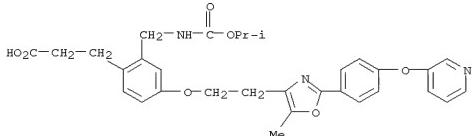


AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH<sub>2</sub> or O; p = 0 or 1; m = 1-4; Y<sub>1</sub> = (un)substituted (hetero)aryl; Y<sub>2</sub> and Y<sub>3</sub> = independently H, alkyl, or alkoxy; Y<sub>4</sub> = (un)substituted alk(en)ynylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R<sub>5</sub> = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[(2-(1,3-dioxo-1,3-dihydroisoindol-2-yl)methyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF. Deprotection of the amine using NaBH<sub>4</sub> in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as

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10-566,291.trn

L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 cardiovascular diseases (no data).  
 IT 478542-94-8P, 3-[2-(Isopropoxycarbonylaminomethyl)-4-[2-[5-methyl-2-[4-(pyridin-3-yloxy)phenyl]oxazol-4-yl]ethoxy]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPF (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids  
 and analogs as PPAR modulators for treatment of diabetes and related conditions)  
 RN 478542-94-8 CAPLUS  
 CN Benzenepropanoic acid,  
 2-[[[(1-methylethoxy)carbonyl]amino)methyl]-4-[2-[5-methoxy-2-[4-(3-pyridinyl)oxy]phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



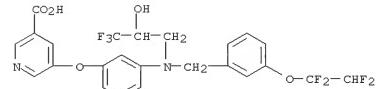
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 2002:658752 CAPLUS  
 DOCUMENT NUMBER: 137:201139  
 TITLE: Substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines useful for inhibiting cholesterol ester transfer protein activity  
 INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke, Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.; Tollefson, Michael B.; Wang, Lijuan; Grapperhaus, Margaret L.; Hickory, Brian S.; Massa, Mark A.; Norton, Monica B.; Vernier, William F.; Parnas, Barry L.; Promio, Michele A.; Hammie, Ashton T.; Spangler, Dale P.; Rueppel, Melvin L.  
 PATENT ASSIGNEE(S): G.D. Searle & Co., USA  
 SOURCE: U.S. Pat. Appl. Publ., 157 pp., Division of U.S. Ser. No. 405,524.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002120011	A1	20020829	US 2001-991174	20011114
<--	US 6479552	B2	20021112	
	US 6448295	B1	20020910	US 2001-991208
<--	US 6451823	B1	20020917	US 2001-990645
<--	US 6451830	B1	20020917	US 2001-991085
<--	US 6458852	B1	20021001	US 2001-991210
<--	US 6458849	B1	20021001	US 2001-991273
<--	US 6462092	B1	20021008	US 2001-990811
<--	US 6476057	B2	20021105	US 2001-990833
<--	US 2002165232	A1	20021107	
	US 6476075	B1	20021105	US 2001-991301
<--	US 2002165231	A1	20021107	US 2001-991241
<--	US 6586433	B2	20030701	
	US 6455519	B1	20020924	US 2001-991116
<--	US 6458803	B1	20021001	US 2001-991084
<--	US 2003032644	A1	20030213	US 2002-71518
<--	US 6723753	B2	20040420	
	US 2003087905	A1	20030508	US 2002-154726
<--				20020523

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 US 6677353 B2 20040113  
 US 2003096818 A1 20030522 US 2002-155921 20020523  
 <-- US 6765023 B2 20040720  
 US 2003100559 A1 20030529 US 2002-155095 20020523  
 <-- US 6677379 B2 20040113  
 US 2003105100 A1 20030605 US 2002-155451 20020523  
 <-- US 6683099 B2 20040127  
 US 2003119833 A1 20030626 US 2002-154571 20020523  
 <-- US 6677375 B2 20040113  
 US 2003125328 A1 20030703 US 2002-154788 20020523  
 <-- US 6696472 B2 20040224  
 US 2003125329 A1 20030703 US 2002-155346 20020523  
 <-- US 6677380 B2 20040113  
 US 6677382 B1 20040113 US 2002-155410 20020523  
 <-- PRIORITY APPLN. INFO.: US 1999-405524 A3 19990923  
 <-- US 2001-990645 A1 20011114  
 <-- US 2001-990811 A1 20011114  
 <-- US 2001-990833 A1 20011114  
 <-- US 2001-991174 A1 20011114  
 <-- US 2001-991210 A1 20011114  
 <-- US 2001-991273 A1 20011114  
 <-- US 2001-991301 A1 20011114  
 <-- US 2001-991084 A1 20011123  
 <-- OTHER SOURCE(S): MARPAT 137:201139  
 GI

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 transfer protein (CETP; plasma lipid transfer protein-I). Examples include over 700 syntheses and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaBH(OAc)3 and AcOH formed the secondary amine (96%). Addn. of 1,1,1-trifluoro-2,3-epoxypropane in CH2Cl2 and Yb(OTf)3 gave the alc. (99%), which was silylated with tert-butyldimethylsilyl trifluoromethanesulfonate (58%). Heating soln. of the tertiary amine with 4-chloro-3-ethylphenol, Cs2CO3, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC50 values of 0.034  $\mu$ M and 0.88  $\mu$ M, resp., in the reconstituted buffer and human plasma assays.  
 IT 263344-82-7P, 3-Pyridinemcarboxylic acid, 5-[3-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenyl-  
 RL: PAC (Pharmacological activity); SPF (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (target compound; preparation of substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines as cholesterol ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)  
 RN 263344-82-7 CAPLUS  
 CN 3-Pyridinemcarboxylic acid, 5-[3-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenoxy- (CA INDEX NAME)



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

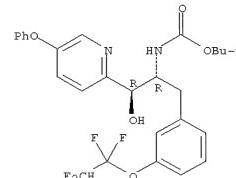
AB Title compds. I [X = NH, N(OH), N-alkyl; R16 = hydrido; n = 1-2; R1 = haloalkyl, haloalkoxyalkyl; R2 = hydrido, hydroxylalkyl, aryl, aralkyl, alkyl, alkenyl, alkynyl, etc.; R3 = hydrido, alkyl, alkenyl, alkoxyalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkenyloxyalkyl, etc.; Y = bond, alkyl; Z = bond, alkyl; R4, R8-9, R13 = hydrido, halo, haloalkyl, alkyl; R5-7, R10-12 = hydrido, perhaloaryloxy, alkanoylalkyl, alkanoylalkoxy, alkanoyloxy, N-aryl-N-alkylamino, heterocyclalkoxy, etc.; with provisions] were prepared for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesteryl ester ester

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:575041 CAPLUS  
 DOCUMENT NUMBER: 1371:40338  
 TITLE: Preparation of aminoethanol derivatives as  
 cholesteryl ester transfer protein inhibitors for treatment of  
 hyperlipidemia, etc.  
 INVENTOR(S): Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu;  
 Yamamoto, Toshihiro  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl. 748 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059077	A1	20020801	WO 2002-JP532	20020125
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W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, NX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	AU 2002228349	A1	20020806
AU 2002228349 WO 2002-228349 20020125				
<-- JP 2002293764 A 20021009 JP 2002-17487 20020125				
<-- EP 1362846 A1 20031119 EP 2002-710345 20020125				
<-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004127574 A1 20040701 US 2003-470351 20030725				
<-- US 6982348 B2 20060103 JP 2001-19280 A 20010126				
PRIORITY APPLN. INFO.: WO 2002-JP532 W 20020125				
<-- OTHER SOURCE(S): MARPAT 137:140338				
AB The title compds. Ar1CH(OR'')CH(CH2Ar2)NR'R [Ar1 represents an optionally substituted aromatic ring group; Ar2 represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyl] are prepared. Compds. of this invention in vitro showed IC50 values of 0.0084 μM to 0.4 μM against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.				
IT 444918-66-5P 444918-72-3P				

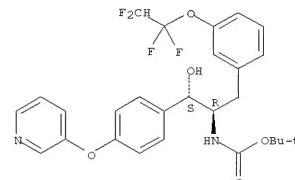
L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: IMP (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of aminoethanol derivs. as cholesteryl ester transfer protein inhibitor for treatment of hyperlipidemia)  
 RN 444918-66-5 CAPLUS  
 CN Carbamic acid, [(1R,2S)-2-hydroxy-2-(5-phenoxy-2-pyridinyl)-1-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]ethyl]-, 1,1-dimethylethyl ester, rel-(9CI) (CA INDEX NAME)

## Relative stereochemistry.



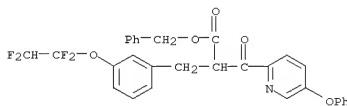
RN 444918-72-3 CAPLUS  
 CN Carbamic acid, [(1R,2S)-2-hydroxy-2-(4-(3-pyridinyl)oxy)phenyl]-1-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]ethyl]-, 1,1-dimethylethyl ester, rel-(9CI) (CA INDEX NAME)

## Relative stereochemistry.

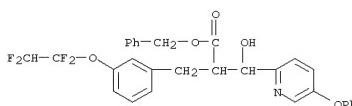


IT 444919-22-6F 444919-23-7P 444919-24-8P  
 444919-26-0P 444919-36-2P 444919-37-3P  
 444919-38-4P 444919-40-8P

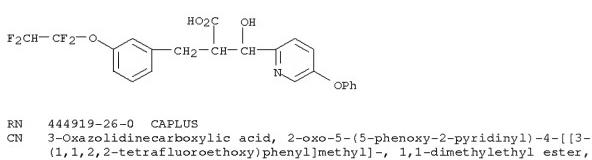
L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent);  
 (prepn. of aminoethanol derivs. as cholesteryl ester transfer protein inhibitor for treatment of hyperlipidemia)  
 RN 444919-22-6 CAPLUS  
 CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-23-7 CAPLUS  
 CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, phenylmethyl ester (CA INDEX NAME)



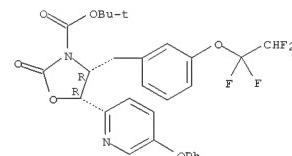
RN 444919-24-8 CAPLUS  
 CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]- (CA INDEX NAME)



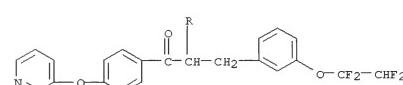
RN 444919-26-0 CAPLUS  
 CN 3-Oxazolidinecarboxylic acid, 2-oxo-5-(5-phenoxy-2-pyridinyl)-4-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, 1,1-dimethylethyl ester, (4R,5R)-rel- (CA INDEX NAME)

## Relative stereochemistry.

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

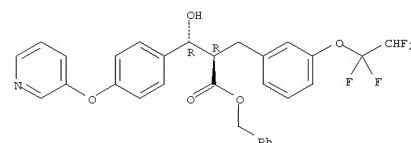


RN 444919-36-2 CAPLUS  
 CN Benzenepropanoic acid, β-hydroxy-4-(3-pyridinyl)oxy-α-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-37-3 CAPLUS  
 CN Benzenepropanoic acid, β-hydroxy-4-(3-pyridinyl)oxy-α-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, phenylmethyl ester, (αR,βR)-rel- (CA INDEX NAME)

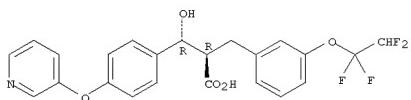
## Relative stereochemistry.



RN 444919-38-4 CAPLUS  
 CN Benzenepropanoic acid, β-hydroxy-4-(3-pyridinyl)oxy-α-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, (αR,βR)-rel- (CA INDEX NAME)

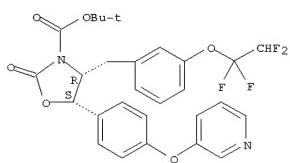
L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Relative stereochemistry.



RN 444919-40-8 CAPLUS  
 CN 3-Oxazolidinecarboxylic acid, 2-oxo-5-[4-(3-pyridinyl)phenyl]-4-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl]-, 1,1-dimethylethyl ester, (4R,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:449653 CAPLUS

DOCUMENT NUMBER: 137:33307

TITLE: Preparation of phenylalkanoic acid derivatives as peroxisome proliferator activated receptor (PPAR) agonists

INVENTOR(S): Miyachi, Hiroyuki; Murakami, Kouji  
 PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 54 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046161	A1	20020613	WO 2001-JP10564	20011204

<-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW  
 RW: GH, GN, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, GM, ZW, AT, BE, CH, CT, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

CA 2430846 A1 20020613 CA 2001-2430846 20011204

&lt;-- AU 2002022574 A 20020618 AU 2002-22574 20011204

&lt;-- EP 1348698 A1 20031001 EP 2001-999557 20011204

<-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 2005101521 A1 20050512 US 2003-433153 20030530

&lt;-- US 7176204 B2 20070213 JP 2000-369370 A 20001205

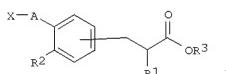
&lt;-- PRIORITY APPLN. INFO.: JP 2001-257390 A 20010828

&lt;-- WO 2001-JP10564 W 20011204

&lt;-- OTHER SOURCE(S): MARPAT 137:33307

GI

L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkoxy; R3 = H, alkyl; A = NHCO, etc., X = (un)substituted pyridyl, etc.] are prepared. I are useful for the treatment of hyperlipidemia, diabetes, etc. For example,

2-[[4-ethoxy-3-[(4-(2-pyridinyl)phenyl]carbonylamino)methyl]phenyl]methyl 1butyric (II) was prepared. The effects of II on PPAR  $\alpha$ , PPAR  $\gamma$ , PPAR  $\delta$  were demonstrated.

IT 437383-88-5P 437384-02-6P

KL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenylalkanoic acid derivs. as peroxisome proliferator activated receptor agonists)

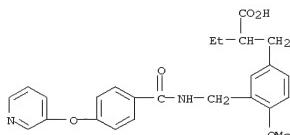
RN 437384-02-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethyl-4-methoxy-3-[[[4-(3-pyridinyl)benzoyl]amino)methyl]- (CA INDEX NAME)

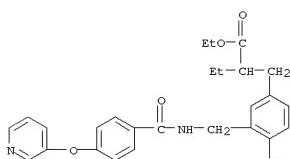
L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT



RN 437384-02-6 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -ethyl-4-methoxy-3-[[[4-(3-pyridinyl)benzoyl]amino)methyl]-, ethyl ester (CA INDEX NAME)



02/29/2008

10-566,291.trn

L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:171844 CAPLUS  
 DOCUMENT NUMBER: 136:232200  
 TITLE: Preparation of propenohydroxamic acid derivatives as TACE inhibitors for treatment of sepsis, infectious and autoimmune diseases, etc.  
 INVENTOR(S): Hirata, Terukage; Misumi, Keiji; Ito, Kenji; Inokuma, Kenichi; Katayama, Kimiko  
 PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 70 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DRTE
WO 2002018326	A1	20020307	WO 2001-JP7292	20010827

<-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NC, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, ND, NU, TZ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001080167 A5 20020313 AU 2001-80167 20010827

<-- CA 2423733 A1 20030214 CA 2001-2423733 20010827

<-- EP 1314721 A1 20030528 EP 2001-958495 20010827

<-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

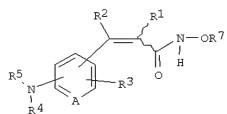
US 2004029928 A1 20040212 US 2003-344898 20030226

<-- PRIORITY APPLN. INFO.: JP 2000-263094 A 20000831

<-- WO 2001-JP7292 W 20010827

<-- OTHER SOURCE(S): MARPAT 136:232200  
 GI

L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

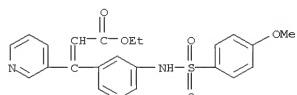


AB The title compds. I [R1 represents hydrogen, alkyl or halogen; R2 represents cycloalkyl, aryl, heteroaryl, etc.; R3 represents hydrogen, alkenyl, etc.; R4 represents H, (un)substituted alkyl, etc.; R5 represents R6CO, R6SO2, R6NHCO or R6NHCS (wherein R6 represents alkyl, cycloalkyl, cyclic amino, aryl, heteroaryl, etc.); R7 represents hydrogen or a protective group; and A represents CH, nitrogen, etc.] are prepared I

are useful as drugs for preventing and/or treating diseases such as sepsis, rheumatoid arthritis, infectious diseases, autoimmune diseases, malignant neoplasm, collagen disease, etc. E-3-[3-(4-methoxybenzenesulfonyl)-N-methylaminophenyl]-3-(3-pyridyl)propenohydroxamic acid (II) in vitro showed IC50 of > 10000 nM against MMP-1.

IT 402949-56-8 402949-57-9P 402949-58-QP  
 402949-59-1P 402949-60-4P 402949-62-6P  
 RL: RCT (Reactant or reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

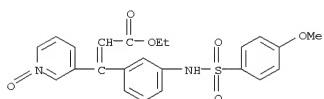
RN 402949-56-8 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



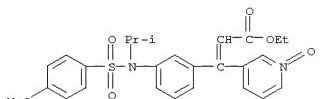
RN 402949-57-9 CAPLUS

CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

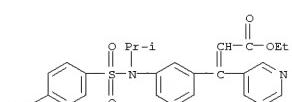
L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 402949-58-0 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

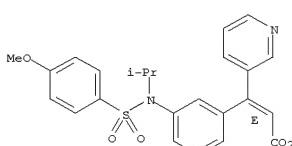


RN 402949-59-1 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 402949-60-4 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

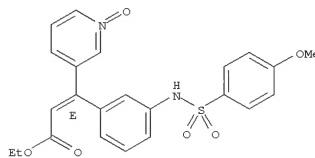


L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 402949-62-6 CAPLUS

CN 2-Propenoic acid, 3-[3-[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

02/29/2008

10-566,291.trn

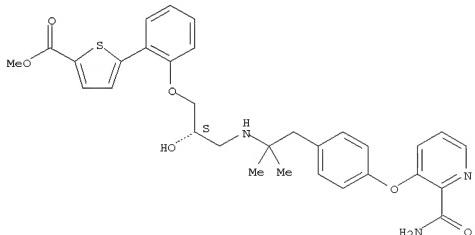
L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:72091 CAPLUS  
 DOCUMENT NUMBER: 136:134566  
 TITLE: Synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compounds as  $\beta_3$ -adrenergic agonists  
 INVENTOR(S): Evers, Britta; Jesudason, Cynthia Darshini; Karanjawala, Rushad Eruch; Remick, David Michael; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo; Siegel, Miles Goodman; Stenzel, Wolfgang; Stucky, Russell Dean; Werner, John Arnold  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 96 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2002006276	A1	20020124	WO 2001-US16519	20010709		
W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NC, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW	RW: GH, GM, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	CA 2415331	A1	20020124	CA 2001-2415331	20010709
AU 2001072917	A	20020130	AU 2001-72917	20010709		
EP 1303509	A1	20030423	EP 2001-952125	20010709		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	BR 2001012409	A	20030722	BR 2001-12409	20010709	
HU 2003001329	A2	20030828	HU 2003-1329	20010709		
JP 2004504320	T	20040212	JP 2002-512179	20010709		
IN 2002KN01338	A	20040501	IN 2002-KN1338	20021025		
ZA 2002008741	A	20040216	ZA 2002-8741	20021029		
US 2003191156	A1	20031009	US 2002-311112	20021213		
US 6730792	B2	20040504				
NO 200300098	A	20030109	NO 2003-98	20030109		

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 1  
 CRN 391924-78-0  
 CMF C31 H33 N3 O6 S

Absolute stereochemistry.



CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4



IT 391926-14-0  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug; synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compds. as  $\beta_3$ -adrenergic agonists)  
 RN 391926-14-0 CAPLUS  
 CN 391926-14-0 CAPLUS  
 2-Thiophenecarboxylic acid, 5-[2-[(2S)-3-[[2-[4-((2-(aminocarbonyl)-3-pyridinyl)oxy)phenyl]-1,1-dimethylethyl]amino]-2-hydroxypropoxy]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1  
 CRN 391926-13-9  
 CMF C30 H31 N3 O6 S

Absolute stereochemistry.

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 A 20030606 MX 2003-PA308  
 <-- HR 2003000018 A1 20030430 HR 2003-18 20030113  
 <-- US 2004242633 A1 20041202 US 2004-838904 20040504  
 <-- PRIORITY APPLN. INFO.: US 2000-217965P P 20000713  
 <-- US 2000-241614P P 20001019  
 <-- WO 2001-US16519 W 20010709  
 <-- US 2002-311112 A1 20021213  
 <-- OTHER SOURCE(S): MARPAT 136:134566  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

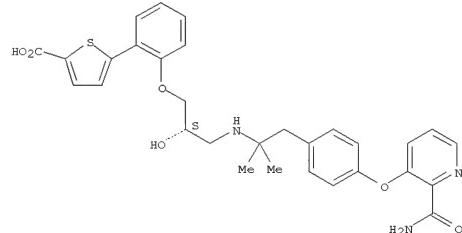
AB Title compds. I [A1-3 = C, N provided that only one of A1-3 can be nitrogen; R1 = (un)substituted, optionally benzofused 5 or 6 membered heterocyclic ring; X1, la, lb = H, halo, OH, alkyl, alkoxy, SO2-alkyl; R2 = H, alkyl; R3 = H, alkyl; or R3 and R4 combine with the carbon to which both are attached to form a C3-C6 cyclic ring; or R4 and X1 combine with the carbon to which both are attached to form a C3-C8 cyclic ring; or R4 combines with X1, the carbon to which

bond are attached, and the Ph group to which X1 is attached to form a benzofused cycloalkyl radical; X is OCH2, SCH2, bond; X1 = bond, divalent hydrocarbon moiety; X2 = O, S, NH, NHSO2, SO2NH, CH2, bond; X3 = (un)substituted Ph, 5 or 6 membered heterocyclic ring] were prepared. For instance, 2-(1-methylpyrazol-3-yl)phenol was reacted with (2S)-glycidyl 3-nitrobenzenesulfonate (THF, t-BuOK, reflux, 16 h) to give epoxide II. This was reacted with the amine derived from 4-(2-amino-2-methylpropyl)phenol and 2-chloro-3-cyanopyridine (alc. solvent, 80°C, 2-72 h) to give III. The intrinsic activity (Emax) of representative compds. of the invention was assessed relative to isoproterenol (a nonselective  $\beta_3$ -agonist); III had Emax = 55.0%. I are used in the treatment of diabetes, obesity, etc.

IT 391924-79-1  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug; synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compds. as  $\beta_3$ -adrenergic agonists)

RN 391924-79-1 CAPLUS  
 CN 2-Thiophenecarboxylic acid, 5-[2-[(2S)-3-[[2-[4-((2-(aminocarbonyl)-3-pyridinyl)oxy)phenyl]-1,1-dimethylethyl]amino]-2-hydroxypropoxy]phenyl]-, methyl ester, ethanediato (1:1) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



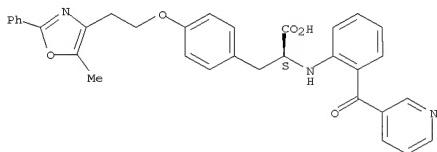
CM 2  
 CRN 76-05-1  
 CMF C2 H F3 O2



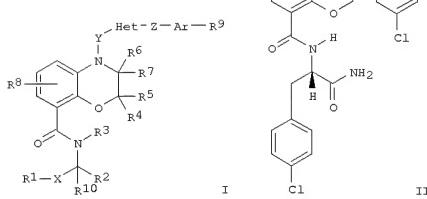
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 33 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:425733 CAPLUS  
 DOCUMENT NUMBER: 136:241064  
 TITLE: Study on 3D-QSAR of PPAR<sub>γ</sub> agonists with thiazolidinedione and arylketo-acid moieties  
 AUTHOR(S): Yi, Xiang; Guo, Zongru  
 CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China  
 SOURCE: Yaoxue Xuebao (2001), 36(4), 262-268  
 CODEN: YHHPAL; ISSN: 0513-4870  
 PUBLISHER: Yaoxue Xuebao Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 AB A model of two series of peroxidase proliferator-activated receptor-γ (PPAR<sub>γ</sub>) agonists-thiazolidinedione and arylketo-acid derivs. was established by 3D-QSAR method, and the structural features affecting the binding activity to PPAR<sub>γ</sub>, which were related to antihyperglycemic and antihyperlipidemic activities, were studied. 48 Agonists with selective activity for PPAR<sub>γ</sub> were analyzed by using CoMFA. Based upon the active conformation (BRL) extracted from its complex with PPAR<sub>γ</sub> all agonists were aligned. The model from CoMFA showed a high ability to explain and predict the activity of PPAR<sub>γ</sub> agonists with cross-validation correlation coefficient R<sub>2</sub> = 0.656, that of non-cross-validation R<sub>2</sub> = 0.982, F10.37 = 201.1, and SE = 0.115. The CoMFA contour map showed that the steric fields may affect the binding effect, preferably a bulky group in the arylketo-acid series may be more helpful for increasing affinity for PPAR<sub>γ</sub>, than thiazolidinedione.  
 IT 196808-91-0  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (study on 3D-QSAR of PPAR<sub>γ</sub> agonists with thiazolidinedione and arylketo-acid moieties)  
 RN 196808-91-0 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The invention concerns novel dihydro-8-benzoxazinecarboxamide derivs. I [R1 = alkyl, OH, alkoxy, hydroxalkyl, (un)substituted (hetero)aryl; R2 = H, CO2H or derived radicals; R3 = H, (un)substituted alkyl; R4, R5 = H, alkyl; R6, R7 = H or R6R7 = O; R8 = H, halo, alkyl, aryl, (un)substituted (hetero)aryl, cycloalkyl, etc.; R10 = H, alkyl, alkoxy; X = (CH<sub>2</sub>)<sub>0-3</sub>; Y, Z = alkylene; Het = imidazole or pyridine nucleus; Ar = benzene nucleus] and their stereoisomers and salts. The compds. are inhibitors of farnesyltransferase, and are useful against proliferative diseases, particularly in the treatment of cancer. The invention also concerns their preparation and their use as therapeutic agents. For instance,

Me 3-aminosalicylate underwent reductive alkylation with 1-(4-chlorobenzyl)-1H-imidazole-5-carboxaldehyde, followed by cyclization of the hydroxy amine with BrCH<sub>2</sub>CH<sub>2</sub>Br to form the benzoxazine ring. The ester function was hydrolyzed, the resultant acid then amidated with Me (L)-4-chlorophenylalaninate hydrochloride, and the reintroduced ester subjected to ammonolysis, to give title compound II, isolated as the oxalate. Compds. I inhibited growth of human colon carcinoma cells

HCT116

in vitro, with IC<sub>50</sub> values ranging from 0.1 nM to 100 μM.

IT 325162-21-8P, N-[1-(S)-Methoxy carbonyl-2-(4-methoxyphenyl)ethyl]-4-

[[3-(4-chlorobenzyl)-4-pyridyl]methyl]-3,4-dihydro-2H-benzo[b][1,4]oxazine-8-carboxamide 325162-30-9P, 3-[[[3-(4-Chlorobenzyl)-4-pyridyl]methylene]amino]salicylic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of benzoxazinecarboxamide derivs. as

inhibitors of farnesyltransferase for the treatment of cancer)

RN 325162-21-8 CAPLUS

CN L-Tyrosine, N-[[4-[(3-[(4-chlorophenyl)methyl]-4-pyridinyl)methyl]-3,4-

L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:101134 CAPLUS  
 DOCUMENT NUMBER: 134:163045  
 TITLE: Preparation of benzoxazinecarboxamide derivatives as inhibitors of farnesyltransferase for the treatment of cancer

of

INVENTOR(S): Achard, Daniel; Jimonet, Patrick; Mailliet, Patrick; Sabuco, Jean-Francois

PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009127	A1	20010208	WO 2000-FR2190	20000728

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SV, SL, TJ, TM, TR, TT, TZ, UR, US, UZ, VN, YE, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GN, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GE, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

FR 2796943 A1 20010202 FR 1999-9894 19990730

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PRIORITY APPLN. INFO.: FR 1999-9894 A 19990730

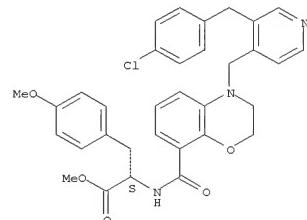
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OTHER SOURCE(S): MARPAT 134:163045

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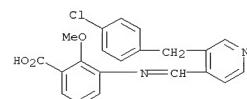
L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 dihydro-2H-1,4-benzodiazepin-8-yl]carbonyl]-O-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 325162-30-9 CAPLUS

CN Benzoic acid,  
 3-[[3-[(4-chlorophenyl)methyl]-4-pyridinyl]methylene]amino]-2-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

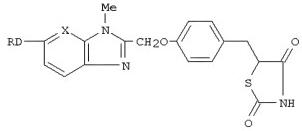
02/29/2008

10-566,291.trn

L4 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:887668 CAPLUS  
 DOCUMENT NUMBER: 134:56664  
 TITLE: Preparation and effect of imidazole derivatives as insulin resistant improvement agents  
 INVENTOR(S): Fujita, Takashi; Wada, Kunio; Fujiwara, Toshihiko  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 169 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000351769	A	20001219	JP 2000-103824	20000405

<-- PRIORITY APPLN. INFO.: JP 1999-99980 A 19990407  
 <-- OTHER SOURCE(S): MARPAT 134:56664  
 GI



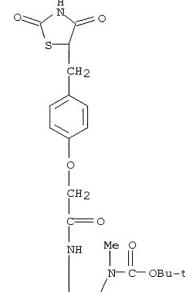
AB Title compds. [I; X = CH, N; D = S, O; R = 4-HOC<sub>6</sub>H<sub>4</sub>, 4-HO-2,3,5-(CH<sub>3</sub>)<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 4-MeOCH<sub>2</sub>-2,3,5-(CH<sub>3</sub>)<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 4-HO-3,5-(CH<sub>3</sub>)<sub>2</sub>C<sub>6</sub>H<sub>2</sub>, 4-HO-2-Cl,3,5-(CH<sub>3</sub>)<sub>2</sub>C<sub>6</sub>H<sub>2</sub>, 2-pyridyl, 3-pyridyl, 4-HO-3,5-(CH<sub>3</sub>)<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 4-HO-3,5-(CH<sub>3</sub>)<sub>2</sub>C<sub>6</sub>H<sub>2</sub>, 2-(4-morpholinyl)phenyl, etc] and salts are prepared as active insulin resistant improvement agents, 5-lipoxygenase inhibitor, and peroxidized fat formation restrainer. Thus, the title compound I (X = N; D = O; R = 4-HO-2,3,5-(CH<sub>3</sub>)<sub>3</sub>C<sub>6</sub>H<sub>4</sub>) was prepared

IT 223132-86-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and effect of imidazole derivs. as insulin resistant improvement agents)

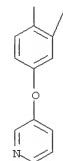
RN 223132-86-3 CAPLUS  
 CN Carbamic acid, [2-[{[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]a-mino]-5-(3-pyridinylloxy)phenyl]methyl-, 1,1-dimethylethyl ester (9CI)  
 (CA INDEX NAME)

L4 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

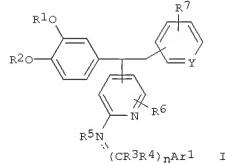


L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:814461 CAPLUS  
 DOCUMENT NUMBER: 133:362707  
 TITLE: Preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors  
 INVENTOR(S): Cote, Bernard; Friesen, Richard; Frenette, Richard; Girard, Mario; Glard, Yves; Godbout, Cedrickx; Guay, Daniel; Hamel, Pierre; Blouin, Marc; Ducharme, Yves; Prescott, Sylvie  
 PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.  
 SOURCE: PCT Int'l. Appl., 155 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068198	A2	20001116	WO 2000-CA500	20000503

<-- WO 2000068198 A3 20010405  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TZ, UA, UG, US, UZ, VN, YO, ZA, ZW  
 FW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 6200993 B1 20010313 US 2000-551040 20000417  
 --> CA 2369323 A1 20001116 CA 2000-2369323 20000503  
 --> EP 1177175 A2 20002026 EP 2000-922400 20000503  
 --> R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
 AU 764258 B2 20030814 AU 2000-42829 20000503  
 --> PRIORITY APPLN. INFO.: US 1999-132532P P 19990505  
 --> WO 2000-CA500 W 20000503  
 --> OTHER SOURCE(S): MARPAT 133:362707  
 GI

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; Y = N, NO; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, haloalkyl; R<sub>3</sub>, R<sub>4</sub> = H, alkyl; R<sub>3</sub>R<sub>4</sub> = O, atoms to form a 5-7 membered carbocyclic ring; R<sub>5</sub> = null, H, (substituted) alkyl, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxycarbonyl, O; R<sub>3</sub>R<sub>5</sub> = atoms to form a 5-6 membered heterocyclic ring; dotted line = optional double bond; R<sub>6</sub>, R<sub>7</sub> = H, halo, alkyl, haloalkyl, cyano; n = 0-6], were prepared. Thus, 4-[2-[3,4-bis(difluoromethoxy)phenyl]-2-(6-bromo-3-pyridyl)ethyl]pyridine (preparation given) was heated with PhCH<sub>2</sub>NH<sub>2</sub> and CuI to give 72% 4-[2-[3,4-bis(difluoromethoxy)phenyl]-2-(benzylamino)-3-pyridyl]ethyl]pyridine. The latter inhibited PDE 4 with IC<sub>50</sub> = 0.79 nM.

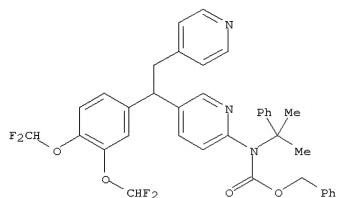
IT 306760-71-4P 306760-72-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)

RN 306760-71-4 CAPLUS

CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl]-1-(methyl-1-phenylethyl)-, phenylmethyl ester, (+)-(9CI) (CA INDEX NAME)

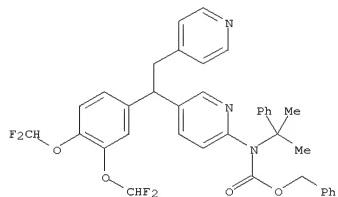
Rotation (+).

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306760-72-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-phenylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

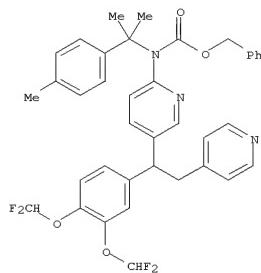


IT 306760-70-3P 306760-73-6P 306760-74-7P  
 306760-75-8P 306760-76-9P 306760-77-0P  
 306760-78-1P 306760-79-2P 306760-80-5P  
 306760-81-6P 306760-82-7P 306760-83-8P  
 306760-84-9P 306760-85-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)  
 RN 306760-70-3 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-phenylethyl]-, 1,1-dimethylethyl phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

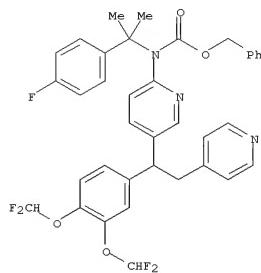
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



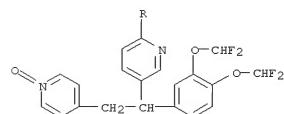
RN 306760-75-8 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



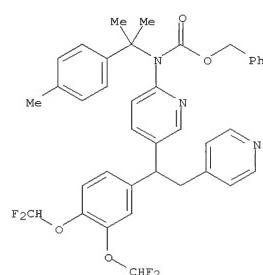
RN 306760-76-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306760-73-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

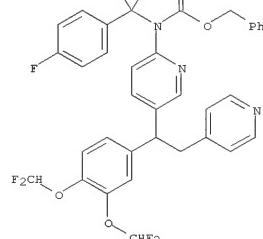
Rotation (+).



RN 306760-74-7 CAPLUS  
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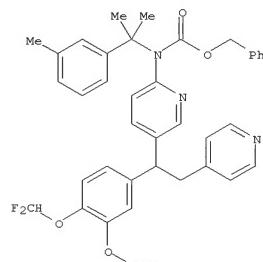
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



RN 306760-77-0 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

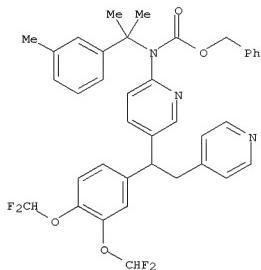
Rotation (+).



RN 306760-78-1 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

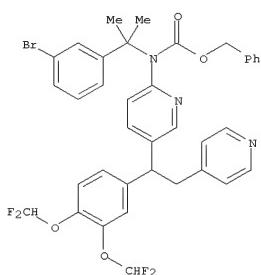
Rotation (-).

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

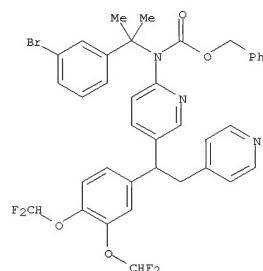


RN 306760-79-2 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

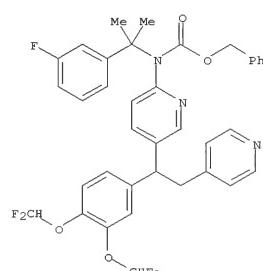


RN 306760-80-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Rotation (-).

RN 306760-81-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

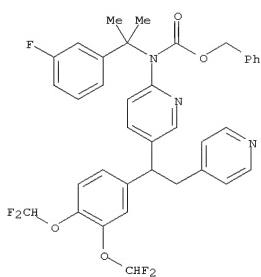
Rotation (-).



RN 306760-82-7 CAPLUS  
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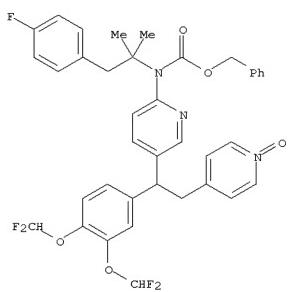
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



RN 306760-83-8 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

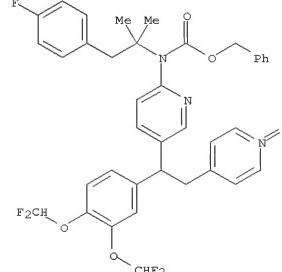
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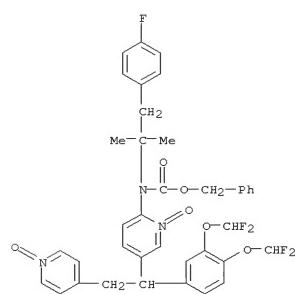
RN 306760-84-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl] [2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).

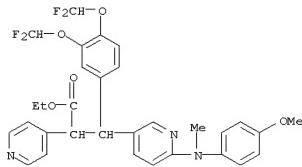


RN 306760-85-0 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-1-oxido-2-pyridinyl] [2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

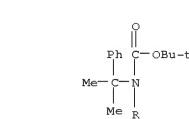
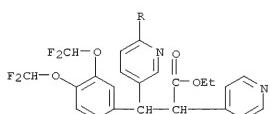


IT 306761-59-1 306761-61-5 306761-62-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)  
 RN 306761-59-1 CAPLUS

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN 3-Pyridinepropanoic acid,  $\beta$ -[3,4-bis(difluoromethoxy)phenyl]-6-[(4-methoxyphenyl)methylamino]- $\alpha$ -4-pyridinyl-, ethyl ester (CA INDEX NAME)

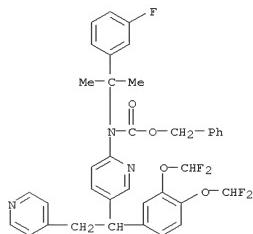


RN 306761-61-5 CAPLUS  
 CN 3-Pyridinepropanoic acid,  $\beta$ -[3,4-bis(difluoromethoxy)phenyl]-6-[(1,1-dimethylethoxy)carbonyl](1-methyl-1-phenylethyl)amino]- $\alpha$ -4-pyridinyl-, ethyl ester (CA INDEX NAME)



RN 306761-62-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-(3-fluorophenyl)-1-methylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

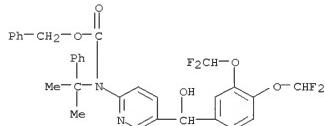


IT 306761-01-3P 306761-02-4P 306761-03-5P  
 306761-15-9P 306761-20-6P 306761-21-7P  
 306761-23-9P 306761-27-3P 306761-28-4P  
 306761-29-5P 306761-30-8P 306761-31-9P  
 306761-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

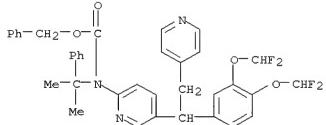
(preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)

RN 306761-01-3 CAPLUS  
 CN Carbamic acid, [5-[3,4-bis(difluoromethoxy)phenyl]hydroxymethyl]-2-pyridinyl(1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

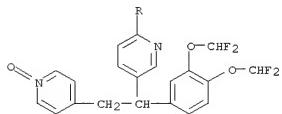


RN 306761-02-4 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

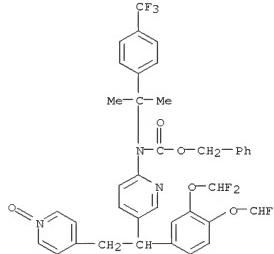


RN 306761-03-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

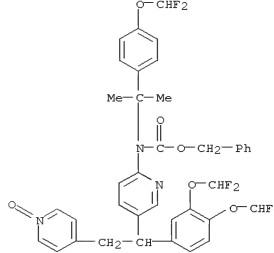


RN 306761-15-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

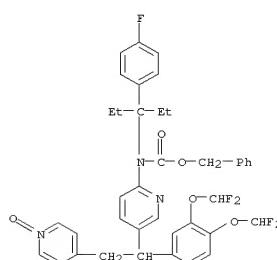


RN 306761-20-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-[4-(difluoromethoxy)phenyl]-1-methylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

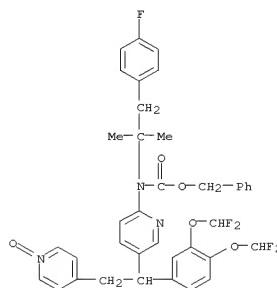


RN 306761-21-7 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-ethyl-1-(4-fluorophenyl)propyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

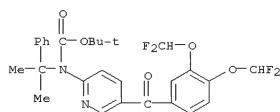


RN 306761-23-9 CAPLUS  
CN Carbamic acid, [5-[1-[3,4-bis (difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl] [2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

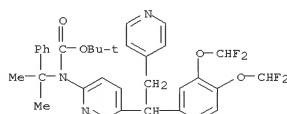


RN 306761-27-3 CAPLUS  
CN Carbamic acid, [5-[3,4-bis (difluoromethoxy)benzoyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

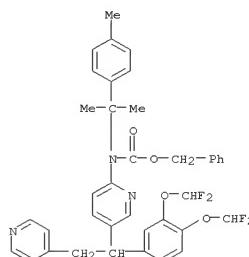
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306761-28-4 CAPLUS  
CN Carbamic acid, [5-[1-[3,4-bis (difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

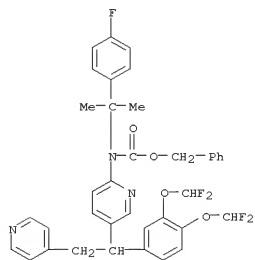


RN 306761-29-5 CAPLUS  
CN Carbamic acid, [5-[1-[3,4-bis (difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-(4-methylphenyl)ethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

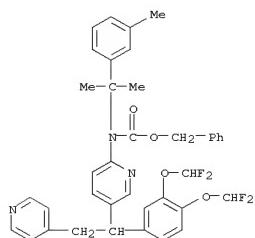


RN 306761-30-8 CAPLUS

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN Carbamic acid, [5-[1-[3,4-bis (difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-(4-fluorophenyl)-1-methylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

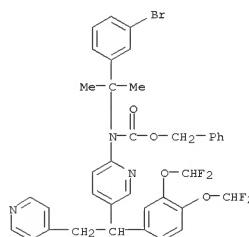


RN 306761-31-9 CAPLUS  
CN Carbamic acid, [5-[1-[3,4-bis (difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-(3-methylphenyl)ethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 306761-32-0 CAPLUS  
CN Carbamic acid, [5-[1-[3,4-bis (difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-(3-bromophenyl)-1-methylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

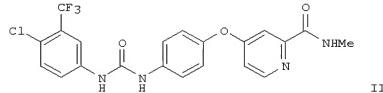
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:493376 CAPLUS  
 DOCUMENT NUMBER: 133:120155  
 TITLE: Preparation of  $\omega$ -carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors  
 INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.  
 PATENT ASSIGNEE(S): Bayer Corporation, USA  
 SOURCE: PCT Int. Appl., 148 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000041698	A1	20000720	WO 2000-US768	20000113	
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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	CA 2359244	A1	20000720	CA 2000-2359244	20000113
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EP 1158985	A1	20011205	EP 2000-905597	20000113	
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO	MX 2001PA07120	A	20011101	MX 2001-PAT7120	20010712
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US 2003139605	A1	20030724	US 2002-71248	20020211	
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US 2003105091	A1	20030605	US 2002-86417	20020304	
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AU 2004200566	A1	20040311	AU 2004-200566	20040213	
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AU 2004200722	A1	20040318	AU 2004-200722	20040224	
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AU 2004200722	B2	20080110			
US 2008027061	A1	20080131	US 2007-845597	20070827	
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PRIORITY APPLN. INFO.:		US 1999-115878P	P	19990113	
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		US 1999-257265	A2	19990225	
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		US 1999-425229	A2	19991022	
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		US 1999-115877P	P	19990113	
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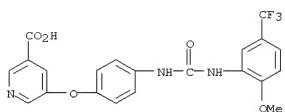
L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 (Continued)  
 ACCESSION NUMBER: 2000:493376 CAPLUS  
 DOCUMENT NUMBER: 133:120155  
 TITLE: Preparation of  $\omega$ -carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors  
 INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.  
 PATENT ASSIGNEE(S): WO 2000-US768  
 SOURCE: US 1999-425228  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:  
 OTHER SOURCE(S): MARPAT 133:120155  
 GI



II

AB The title compds. ADB [I; D = NRCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML<sub>1</sub>)q (wherein L = 5-6 membered cyclic structure; L<sub>1</sub> = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; each of L and L<sub>1</sub> contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D containing 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepared E.g., a multi-step synthesis of the ureas II which showed IC<sub>50</sub> of 1-10  $\mu$ M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration). IT 284462-90-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of  $\omega$ -carboxy aryl substituted di-Ph ureas as p38 kinase inhibitors)  
 RN 284462-90-4 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]aminophenoxy]- (CA INDEX NAME)

L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 (Continued)  
 ACCESSION NUMBER: 2000:227617 CAPLUS  
 DOCUMENT NUMBER: 132:264953  
 TITLE: Substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines useful for inhibiting cholestereryl ester transfer protein activity  
 INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke, Deborah A.; Reinhard, Emily J.; Fobian, C.; Tollefson, Michael B.; Wang, Liqian; Grapperhaus, Margaret L.; Hickory, Brian S.; Massa, Mark A.; Norton, Monica B.; Vernier, William F.; Farnas, Barry L.; Prono, Michele A.; Hamme, Ashton T.; Spangler, Dale P.; Rueppel, Melvin L.  
 PATENT ASSIGNEE(S): Monsanto Company, USA  
 SOURCE: PCT Int. Appl., 440 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 20000418721	A1	20000406	WO 1999-US22119	19990923	
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AU 9960594	A	20000417	AU 1999-60594	19990923	
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EP 1115693	A1	20010718	EP 1999-969710	19990923	
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EP 1115693	B1	20070502	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY	19990923	
JP 2002525348	T	20020813	JP 2000-572183	19990923	
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JP 3924124	B2	20070606			
EP 1589000	A2	20051026	EP 2005-11025	19990923	
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EP 1589000	A3	20060315	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY	19990923	
PT 1115695	T	20051031	PT 1999-948429	19990923	
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ES 2244216	T3	20051201	ES 1999-948429	19990923	
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AT 361273	T	20070515	AT 1999-969710	19990923	
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ES 2286909	T3	20071201	ES 1999-969710	19990923	
<--					
US 2003083331	A1	20030501	US 2002-154861	20020523	
<--					

02/29/2008

10-566,291.trn

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 US 6696435 B2 20040224  
 US 2003109528 A1 20030612 US 2002-155002 20020523  
 <-- US 6699898 B2 20040302  
 US 2003114454 A1 20030619 US 2002-155311 20020523  
 <-- US 6710089 B2 20040323  
 JP 2007112804 A 20070510 JP 2006-305077 20061110  
 <-- JP 2007126460 A 20070524 JP 2006-305973 20061110  
 PRIORITY APPLN. INFO.: US 1998-101663P P 19980925  
 <-- EP 1999-948429 A3 19990923  
 <-- JP 2000-572183 A3 19990923  
 <-- JP 2000-572186 A3 19990923  
 <-- US 1999-405524 B3 19990923  
 <-- WO 1999-US22119 W 19990923  
 <-- US 2001-991085 A1 20011114  
 <-- US 2001-991208 A1 20011114  
 <-- US 2001-991116 A1 20011115  
 OTHER SOURCE(S): MARPAT 132:264953  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. (I) [wherein R1 = haloalkyl, haloalkenyl, haloalkoxyalkyl, or haloalkyloxyalkyl; R2 = H, OH, (alkyl)amino, dialkylamino, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, (cyclo)alkoxy, or (hetero)aryl, alkylsulfinyl, arylsulfonyl, carboxy, carboxamido, phosphono, etc.; R3, R14, and R15 = independently H, OH, halo, CN, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, aryloxy, (alkyl)amino, dialkylamino, (hetero)arythio, acylamido, alkylsulfinyl, arylsulfonyl, carboxy, phosphono, etc.; or R2 and R3 taken together may form a 3- to 8-membered cycloalkyl, a 5- to 8-membered cycloalkenyl, or a 4- to 8-membered heterocyclic ring; R4-R13 = independently (un)substituted aryloxy, alkyl(oxy), acyl(oxy), carboxamido, (cyclo)alkylsulfinyl, aralkylsulfonyl, amino, phosphono, etc.; R16 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, acyl, (hetero)aroyl, trialkylsilyl, or a spacer; D1, D2, D3, D4, J1, J2,

L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:191066 CAPLUS

DOCUMENT NUMBER: 132:236989

TITLE:

Preparation of fungicidal 2-methoxyimino-2-

INVENTOR(S):

(pyridinylmethoxyimino)phenylacetamides  
 Canada, Emily Jane; Gajewski, Robert Peter; Galka, Christopher; Stanley, Kirby; Neil Vincent; Morrison, Irene Mae; Phillips, Jeannie Rachel; Pieczko, Mary Elizabeth; Rieder, Brent Jeffrey; Carson, Chrislyn Marie; Huang, Zhengyu

PATENT ASSIGNEE(S): Dow AgroSciences LLC, USA

SOURCE:

PCT Int. Appl., 61 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015616	A1	20000323	WO 1999-US21593	19990916
<-- W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9960491	A1	20000403	AU 1999-60491	19990916
<-- US 6306839 B1 20011023 US 1999-397566 19990916				
<-- US 2002035259 A1 20020321 US 2001-943253 20010830				
<-- US 6432951 B1 20020813 US 2001-943263 20010830				
<-- US 2002035257 A1 20020321 US 2001-943263 20010830				
<-- US 6436963 B2 20020820 US 1998-100601P P 19980916				
<-- PRIORITY APPLN. INFO.: US 1999-397566 A3 19990916				
<-- WO 1999-US21593 W 19990916				
<-- OTHER SOURCE(S): MARPAT 132:236989 GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; m = 0-3; L = O, CH<sub>2</sub>, SO<sub>n</sub>, etc.; n = 0-2; X, Y, Z = H, alkyl, alkoxy, etc.; W = H, halo, alkyl, etc.; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R1 and R2 form a link of 1-3 atoms which form (un)substituted heterocycl containing one or more O atoms; R2 and R3

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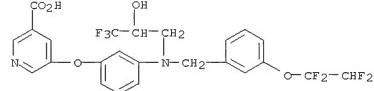
L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 J3, J4, K1, and K2 = independently C, N, O, S, or a covalent bond; X = H, F, O, S, S(O), NH, N(OH), N(alkyl), or N(alkoxy); Y and Z = independently single bond or (un)substituted (hetero)alkylene; n = 0-5] where prep'd.

for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesterol ester transfer protein (CETP; plasma lipid transfer protein-I). Examples include over 700 syntheses and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaB(OAc)<sub>3</sub>H and AcOH formed the secondary amine (96%). Addn. of 1,1,1-trifluoro-2,3-epoxyp propane in CH<sub>2</sub>Cl<sub>2</sub> and YB(OTf)<sub>3</sub> gave the alc. (99%), which was silylated with tert-butyldimethylsilyl trifluoromethanesulfonate (88%). Heating a soin. of the tertiary amine with 4-chloro-3-ethylphenol, Cs<sub>2</sub>CO<sub>3</sub>, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC<sub>50</sub> values of 0.034  $\mu$ M and 0.88  $\mu$ M, resp., in the reconstituted buffer and human plasma assays.

IT 263344-82-7 CAPLUS  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (target compound; preparation of substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines as cholesterol ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)

RN 263344-82-7 CAPLUS

CN 3-Pyridinedicarboxylic acid, 5-[3-[(3-(1,1,2,2-tetrafluoroethoxy)phenyl)methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenoxy- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

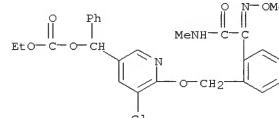
L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 together form (un)substituted cycloalkyl, heterocyclyl, useful in fungicidal compns. as the active ingredients, were prep'd. Thus, treatment

of ketone II with NaBH<sub>4</sub> in EtOH/CH<sub>2</sub>Cl<sub>2</sub> afforded 99% III which showed 75-100% control of plant diseases such as mildew of wheat, brown rust, glume blotch of wheat, and late blight of tomatoes.

IT 261720-59-6 CAPLUS  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of fungicidal 2-methoxyimino-2-(pyridinylmethoxy)phenylacetamides)

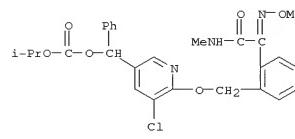
RN 261720-59-6 CAPLUS

CN Carbonic acid, [5-chloro-6-[(2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl)methoxy]-3-pyridinyl]phenylmethyl ethyl ester (CA INDEX NAME)



RN 261720-81-4 CAPLUS

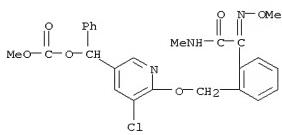
CN Carbonic acid, [5-chloro-6-[(2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl)methoxy]-3-pyridinyl]phenylmethyl 1-methylethyl ester (CA INDEX NAME)



RN 261720-95-0 CAPLUS

CN Carbonic acid, [5-chloro-6-[(2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl)methoxy]-3-pyridinyl]phenylmethyl methyl ester (CA INDEX NAME)

L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

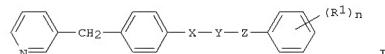


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:698077 CAPLUS  
 DOCUMENT NUMBER: 131:327524  
 TITLE: Pyridylmethylphenyl derivatives as fungicides and pharmaceutical compositions containing the fungicides  
 INVENTOR(S): Takagi, Masaë; Seibu, Tadayuki; Sano, Shinsuke  
 PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

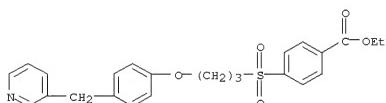
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11302172	A	19991102	JP 1998-120018	19980414

<-- PRIORITY APPLN. INFO.: JP 1998-120018 19980414  
 <-- OTHER SOURCE(S): MARPAT 131:327524  
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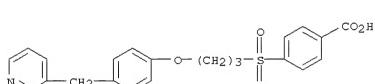


AB Pyridylmethylphenyl derivs. (I) [ X = O, C(:O), etc.; Y = 4-piperidylalkylene, 1-piperazinylalkylene, etc.; Z = O, SO2, etc.; R1 = halo, Cl-6 alkyl, Cl-6 alkoxy; n = 0-3] as fungicides and pharmaceutical compns. containing the fungicides are claimed. Tablets were formulated containing I 50, lactose 29, corn starch 10, sodium gluconate 5, PVP 3, talc 2, and magnesium stearate 1 parts. I also can be used as agrochems.  
 IT 188128-29-2 248244-44-2 248244-45-3  
 248244-73-7  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pyridylmethylphenyl derivs. as fungicides and pharmaceutical compns. containing the fungicides)  
 RN 188128-29-2 CAPLUS  
 CN Benzoic acid, 4-[(3-[4-(3-pyridinylmethyl)phenoxy]propyl)sulfonyl]-, ethyl ester (CA INDEX NAME)

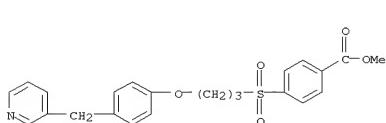
L4 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



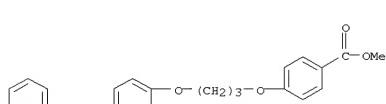
RN 248244-44-2 CAPLUS  
 CN Benzoic acid, 4-[(3-[4-(3-pyridinylmethyl)phenoxy]propyl)sulfonyl]-, (CA INDEX NAME)



RN 248244-45-3 CAPLUS  
 CN Benzoic acid, 4-[(3-[4-(3-pyridinylmethyl)phenoxy]propyl)sulfonyl]-, methyl ester (CA INDEX NAME)



RN 248244-73-7 CAPLUS  
 CN Benzoic acid, 4-[(3-[4-(3-pyridinylmethyl)phenoxy]propoxy)-, methyl ester (CA INDEX NAME)

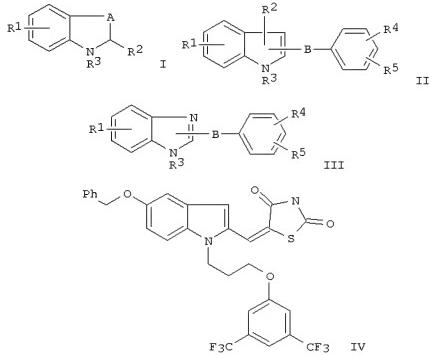


L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:566043 CAPLUS  
 DOCUMENT NUMBER: 131:199620  
 TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors  
 INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu; Chen, Lihren  
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 225 pp.  
 CODEN: PIXXD2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943672	A1	19990902	WO 1999-US3388	19990217
<-- W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW RW: GH, GN, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322163	A1	19990902	CA 1999-2322163	19990217
<-- AU 9932970 A 19990915 AU 1999-32970 19990217				
<-- BR 9909242 A 20001114 BR 1999-9242 19990217				
<-- TR 200002445 T2 20001221 TR 2000-2445 19990217				
<-- EP 1062216 A1 20001227 EP 1999-936073 19990217				
<-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI HU 2001000156 A2 20010730 HU 2001-156 19990217				
<-- JP 2002504551 T 20020212 JP 2000-533428 19990217				
<-- EE 200000522 A 20020215 EE 2000-522 19990217				
<-- HR 2000000513 A1 20011231 HR 2000-513 20000731				
<-- NO 2000004217 A 20001023 NO 2000-4217 20000823				
<-- MX 2000PA08294 A 20020327 MX 2000-PA8294 20000824				
<-- BG 104781 A 20011031 BG 2000-104781 20000919				
<-- PRIORITY APPLN. INFO.: US 1998-30102 A 19980225				
<-- WO 1999-IS3388 W 19990217				
<-- WO 1999-US3388 W 19990217				

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 OTHER SOURCE(S): MARPAT 131:199620  
 GI

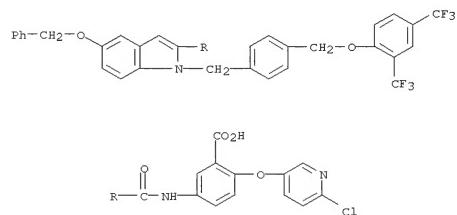


AB Indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>O)<sub>n</sub>, (CH<sub>2</sub>S)<sub>n</sub>, (OCH<sub>2</sub>)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (CH=CH)<sub>n</sub>, (C<sub>6</sub>H<sub>5</sub>)<sub>n</sub>, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO, O, S, or N(R<sub>6</sub>); R<sub>1</sub> and R<sub>5</sub> = independently H, OH, halogen, CN, NO<sub>2</sub>, Cl-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R<sub>2</sub> and R<sub>3</sub> = independently H, CO<sub>2</sub>H, COR<sub>6</sub>, CONR<sub>5</sub>R<sub>6</sub>, (CH<sub>2</sub>)<sub>n</sub>W(CH<sub>2</sub>)<sub>m</sub>R<sub>5</sub>, (CH<sub>2</sub>)<sub>n</sub>WR<sub>5</sub>, ZR<sub>5</sub>, Cl-10 alkyl, alkenyl, or substituted aryl; R<sub>4</sub> = H, OH, OR<sub>6</sub>, SR<sub>6</sub>, CN, COR<sub>6</sub>, NR<sub>6</sub>, CO<sub>2</sub>H, COR<sub>6</sub>, NO<sub>2</sub>, (un)substituted sulfamidocarbonyl, Cl-5 alkyl, alkenyl, or substituted aryl; R<sub>6</sub>, R<sub>7</sub> = H, Cl-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH<sub>2</sub>, CH=CH, C<sub>6</sub>H<sub>5</sub>, C<sub>6</sub>H<sub>5</sub>CO, or N(R<sub>6</sub>); X = O, S, N(R<sub>6</sub>); Z = CH<sub>2</sub>, O, S, N(R<sub>6</sub>), CO, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-[{[3,5-bis(trifluoromethyl)phenoxy]methyl}benzyl]-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted with

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A2 (cPLA<sub>2</sub>), for treatment of inflammatory conditions, particularly where inhibition of proin, of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081  $\mu$ M to >50  $\mu$ M for the LysoPC assay and from 2.5  $\mu$ M to >64  $\mu$ M for the Coumarine assay. Selected compds. were tested for *in vivo* activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3  $\mu$ M to 100  $\mu$ M.

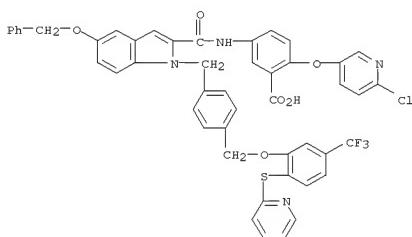
IT 204016-36-4P 204016-37-5P 241489-85-0P  
 RL: BAC (Biological activity or effector, except adverse); BU: (Biologica study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

RN 204016-36-4 CAPLUS  
 CN Benzoic acid,  
 5-[[1-[{[4-[{[3,5-bis(trifluoromethyl)phenoxy]methyl}phenyl]ethyl}-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

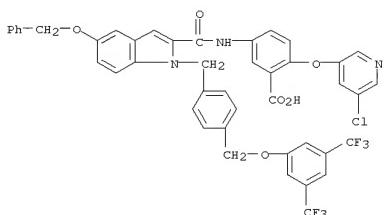


RN 204016-37-5 CAPLUS  
 CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[5-(phenylmethoxy)-1-[(4-[[2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino- (CA INDEX NAME)

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 241489-85-0 CAPLUS  
 CN Benzoic acid,  
 5-[[1-[{[4-[{[3,5-bis(trifluoromethyl)phenoxy]methyl}phenyl]ethyl}-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



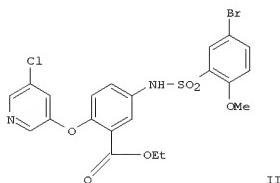
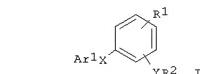
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:495273 CAPLUS  
 DOCUMENT NUMBER: 131:144406  
 TITLE: Preparation of PPAR-Gamma modulators on treatment of type II diabetes and obesity  
 INVENTOR(S): De La Brouse-Elwood, Fabienne; Jaen, Juan C.; McGee, Lawrence R.; Miao, Shi-Chang; Rubenstein, Steven Marc;  
 Chen, Jin-Long; Cushing, Timothy D.; Flygare, John A.; Houze, Jonathan B.; Kearney, Patrick C.  
 PATENT ASSIGNEE(S): Tularik Inc., USA  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9938845	A1	19990805	WO 1999-US1147	19990120	
W: AL, AM, AT, AU, AZ, BA, BB, BG, BE, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW R: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	CA 2318731	A1	19990805	CA 1999-2318731	19990120
AU 9921176	A	19990816	AU 1999-21176	19990120	
AU 759255	B2	20030410	EP 1999-901492	19990120	
EP 1053227	A1	20001122	EP 1999-901492	19990120	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI	US 6200995	B1	20010313	US 1999-234327	19990120
US 20020127200	A1	20011004	US 2000-741415	20001219	
US 6620827	B2	20030916	US 1999-234327	19990120	
US 2002169185	A1	20021114	US 2001-894980	20010627	
US 6583157	B2	20030624	US 2002-123298	20020415	
US 2003088103	A1	20030508	US 2000-214810P	20000628	
PRIORITY APPLN. INFO.:			US 1998-73042P	P 19980129	
			US 1999-234327	A1 19990120	
			WO 1999-US1147	W 19990120	
			US 2000-214810P	P 20000628	

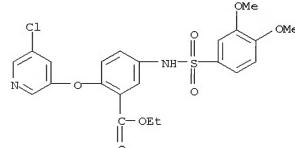
L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 US 2000-741415 A1 20001219  
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 OTHER SOURCE(S): MARPAT 131:144406  
 GI



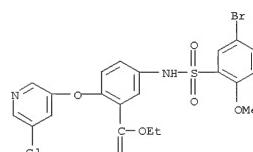
AB Title compds. [I; Ar1 is aryl; X is a divalent linkage of alkylene, alkylenoxy, -O-, -C(O)-, -NR11)-, -S(O)k- and a single bond, in which R11 is hydrogen, alkyl, heteroalkyl, and arylalkyl and the subscript k is an integer of from 0 to 2; Y is a divalent linkage selected from alkylene, -O-, -C(O)-, -NR12)-S(O)m-, -NR13)-S(O)n-, -NR12)C(O)-, -S(O)p-, a single bond, and combinations thereof in which R12 and R13 are members independently selected from the group consisting of hydrogen, alkyl, heteroalkyl and arylalkyl; and the subscripts m and n independently integers of from 0 to 2; R1 represents a member selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl, arylalkyl, -CO(R)14, -CO(R)14, -C(O)NR15R16, -S(O)p-R14, -S(O)q-NR15R16, -O-C(O)-R17, -O-C(O)-R17, -O-C(O)-NR15R16, -(NR14)-C(O)-NR15R16, -(NR14)-C(O)-R17 and -(NR14)-C(O)-OR17, in which R14 is hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, and R15 and R16 are independently of hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, or taken together with the nitrogen to which each is attached from a 5-, 6- or 7-membered ring; R17 R2 are independently of alkyl, heteroalkyl, aryl, arylalkyl; p = 0-3; q = 1-2] and pharmaceutical compns. containing the compds. described above for the treatment of conditions such as type II diabetes and obesity. Thus, the title compound II was prepared

IT 235427-89-1P

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)  
 RN 235427-89-1 CAPLUS  
 CN Benzoic acid, 2-[(5-chloro-3-pyridinyl)oxy]-5-[(3,4-dimethoxyphenyl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



IT 235426-95-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)  
 RN 235426-95-6 CAPLUS  
 CN Benzoic acid, 5-[(5-bromo-2-methoxyphenyl)sulfonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:325961 CAPLUS  
 DOCUMENT NUMBER: 130:352553  
 TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins  
 INVENTOR(S): Altmann, Eva; Betschart, Claudia; Goeha, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakai, Junichi; Takai, Michihiro; Teno, Naoki; Cowen,  
 Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH  
 SOURCE: PCT Int. Appl., 137 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103
WO 9924460	A3	19990902		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HO, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306313	A1	19990520	CA 1998-2306313	19981103
AU 9914873	A	19990531	AU 1999-14873	19981103
AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813197	A	20000829	BR 1998-13197	19981103
TR 200001189	T2	20000921	TR 2000-1189	19981103
JP 2001522862	T	20011120	JP 2000-520468	19981103
HU 2000004400	A2	20020429	HU 2000-4400	19981103
RU 2201420	C2	20030327	RU 2000-114821	19981103
ZA 9810073	A	19990505	ZA 1998-10073	19981104
TW 527362	B	20030411	TW 1998-87118553	19981105
NO 2000002320	A	20000704	NO 2000-2320	20000502

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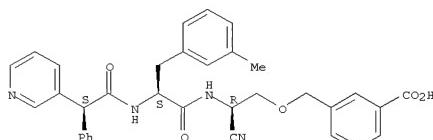
10-566,291.trn

L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 MX 2000PA04375 A 20001211 MX 2000-PA4375 20000504  
 <-- US 6353017 B1 20020305 US 2000-643639 20000822  
 <-- US 2004029814 A1 20040212 US 2003-342872 20030115  
 <-- US 2004110806 A1 20040610 US 2003-694672 20031028  
 <-- US 2006235220 A1 20061019 US 2006-374995 20060315  
 <-- US 2008027060 A1 20080131 US 2007-835134 20070807  
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 PRIORITY APPLN. INFO.: GB 1997-23407 A 19971105  
 <-- US 1997-108160P F 19971205  
 <-- US 1997-985973 A 19971205  
 <-- WO 1998-EP6937 W 19981103  
 <-- US 1998-186223 B1 19981104  
 <-- US 2000-643639 A1 20000822  
 <-- US 2002-54590 B1 20020122  
 <-- US 2003-342872 A1 20030115  
 <-- US 2003-694672 B1 20031028  
 <-- US 2006-374995 B1 20060315

OTHER SOURCE(S): MARPAT 130:352553  
 AB N-terminal substituted dipeptide nitriles R(L)x1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl;  
 R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, COZR7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(OR)6; Y = O, S; L is optionally substituted Het, Het-C(H2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-Na-(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 ≈ 5 nM for inhibition of cathepsin B.

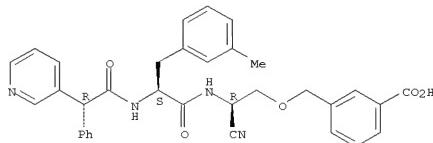
L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 225121-18-6P 225121-19-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)  
 RN 225121-18-6 CAPLUS  
 CN Benzoic acid,  
 3-[(2R)-2-cyano-2-[(2S)-3-(3-methylphenyl)-1-oxo-2-[(2R)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 225121-19-7 CAPLUS  
 CN Benzoic acid,  
 3-[(2R)-2-cyano-2-[(2S)-3-(3-methylphenyl)-1-oxo-2-[(2R)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl- (9CI) (CA INDEX NAME)

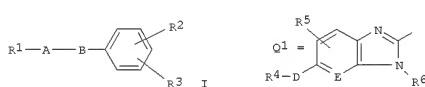
Absolute stereochemistry.



L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:244641 CAPLUS  
 DOCUMENT NUMBER: 130:296681  
 TITLE: Preparation of substituted fused heterocyclic compounds as pharmaceuticals  
 INVENTOR(S): Fujita, Takashi; Wada, Kunio; Fujiwara, Toshihiko  
 PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan  
 SOURCE: PCT Int. Appl., 398 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918081	A1	19990415	WO 1998-JP4548	19981008
US	WI: AU, BR, CA, CN, CZ, HU, ID, IL, JP, KR, MK, NO, NZ, PL, RU, TR, PT, SE			
JP 11193276	A	19990721	JP 1998-284926	19981007
JP 3488099	B2	20040119		
CA 2305807	A1	19990415	CA 1998-2305807	19981008
CA 2305807	C	20080122		
AU 9894587	A	19990427	AU 1998-94587	19981008
AU 740704	B2	20011115		
TR 200000946	T2	20000721	TR 2000-946	19981008
EP 1022272	A1	20000726	EP 1998-947789	19981008
EP 1022272	B1	20040526		
BR 9813848	A	20001003	BR 1998-13848	19981008
HU 2000003859	A2	20010828	HU 2000-3859	19981008
HU 2000003859	A3	20050928		
TW 475931	B	20020211	TW 1998-87116711	19981008
NZ 503794	A	20020927	NZ 1998-503794	19981008
RU 2196141	C2	20030110	RU 2000-109326	19981008
AT 267814	T	20040615	AT 1998-947789	19981008
PT 1022272	T	20040831	PT 1998-947789	19981008
ES 2221203	T3	20041216	ES 1998-947789	19981008
NO 2000001816	A	20000607	NO 2000-1816	20000407
NO 318070	B1	20050131		
US 6432993	B1	20020813	US 2000-543667	20000407

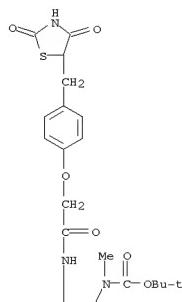
L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 MX 200003546 A 20020806 MX 2000-3546 20000411  
 <-- HK 1027354 A1 20040924 HK 2000-106421 20001010  
 <-- PRIORITY APPLN. INFO.: JP 1997-276063 A 19971008  
 <-- WO 1998-JP4548 W 19981008  
 <-- OTHER SOURCE(S): MARPAT 130:296681  
 GI



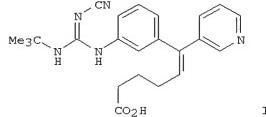
AB The title compds. I [R1 represents general formula Q1 wherein R4 represents substituted Ph or optionally substituted pyridyl; R5 represents hydrogen, etc.; R6 represents hydrogen, C1-C6 alkyl, etc.; D represents oxygen or sulfur; and E represents a group bearing CH or nitrogen; R2 represents hydrogen, etc.; R3 represents 2,4-dioxothiazolidin-5-ylmethyl, etc.; A represents C1-C6 alkylene; and B represents oxygen or sulfur] are prepared to ameliorate insulin resistance, inhibit 5-lipoxygenase, and suppress lipid peroxide formation. Mice fed feed containing 0.01% 5-[4-[6-(4-hydroxyphenoxyl)-1-methyl-1H-benzimidazol-2-ylmethoxy]benzyl]thiazolidine-2,4-dione showed 60.1% decrease in blood sugar.  
 IT 223132-86-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of substituted fused heterocyclic compds. as pharmaceuticals)  
 RN 223132-86-3 CAPLUS  
 CN Carbamic acid,  
 [2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]a  
 mino]-5-(3-pyridinyl)phenyl]methyl-, 1,1-dimethylethyl ester (9CI)  
 (CA INDEX NAME)

L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

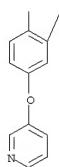
PAGE 1-A



L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:190050 CAPLUS  
 DOCUMENT NUMBER: 130:325071  
 TITLE: Guanidine Derivatives as Combined Thromboxane A2 Receptor Antagonists and Synthase Inhibitors  
 AUTHOR(S): Soyka, Rainer; Guth, Brian D.; Weisenberger, Hans M.; Luger, Peter; Mueller, Thomas H.  
 CORPORATE SOURCE: Research and Development, Boehringer Ingelheim Pharma KG, Biberach, 88397, Germany  
 SOURCE: Journal of Medicinal Chemistry (1999), 42(7), 1235-1249  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



PAGE 2-A



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

AB A new series of  $\omega$ -disubstituted alkenoic acid derivs. derived from samixogrel were designed and synthesized as combined thromboxane A2 receptor antagonists/thromboxane A2 synthase inhibitors with improved solubility and reduced protein binding. Hexenoic acid derivs. with a 3-pyridyl group and a 3-[RR1NC(:NCN)NH]C6H4 substituent ( $R = H, Me, Me_2CH, Me_2CHCH_2, Me_3C$ , cyclopropyl, cyclopentyl, cyclohexyl, PhCH<sub>2</sub>, 3-pyridylmethyl, Me2NC6H4;  $R_1 = H, Me$ ) were found to be optimal with regard to this dual mode of action. The most potent compound, E-guanidinophenylpyridylhexenoic acid I, "terbogrel" inhibits the thromboxane A2 synthase in human gel-filtered platelets with an IC<sub>50</sub> value of  $4.0 \pm 0.5$  nM ( $n = 4$ ). Radioligand binding studies with <sup>3</sup>H-SQ 29,548 revealed that I blocks the thromboxane A2/endoperoxide receptor on washed human platelets with an IC<sub>50</sub> of  $11 \pm 6$  nM ( $n = 2$ ) and with an IC<sub>50</sub> of  $38 \pm 1$  nM ( $n = 15$ ) in platelet-rich plasma. I inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an IC<sub>50</sub> of  $310 \pm 18$  nM ( $n = 8$ ) and  $52 \pm 20$  nM ( $n = 6$ ), resp. This was shown to translate into a potent antithrombotic effect in vivo as demonstrated in studies using a model of arterial thrombosis in rabbits (ED<sub>50</sub> =  $0.19 \pm 0.07$  mg/kg;  $n = 20$ ). I is the first compound with a guanidino moiety demonstrating both a potent TXA2 synthase inhibition

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

and a potent TXA2 receptor antagonism and has been selected for further clin. development

IT 149900-36-9P 149980-39-2P 149980-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation or reagent)

antithrombotics

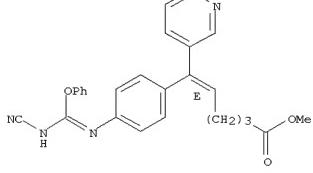
and inhibitors of TXA2 synthase and antagonists of the TXA2 receptor)

RN 149900-36-9 CAPLUS

CN 5-Hexenoic acid, 6-[4-[(cyanoamino)phenoxyethylene]amino]phenyl]-6-(3-

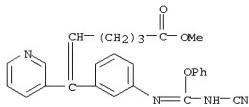
pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 149980-39-2 CAPLUS

CN 5-Hexenoic acid, 6-[3-[(cyanoamino)phenoxyethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)

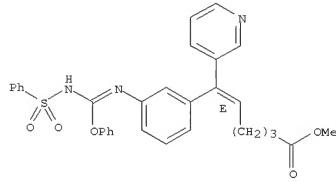


RN 149980-52-9 CAPLUS

CN 5-Hexenoic acid, 6-[3-[(phenoxy[(phenylsulfonyl)amino)methoxy]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

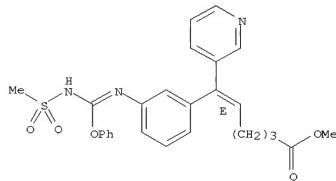
L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 150760-40-0 CAPLUS

CN 5-Hexenoic acid, 6-[3-[(methylsulfonyl)amino]phenoxyethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

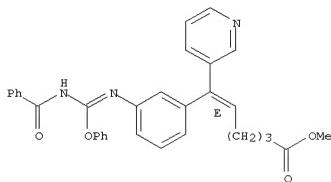


RN 150760-42-2 CAPLUS

CN 5-Hexenoic acid, 6-[3-[(benzoylamino)phenoxyethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

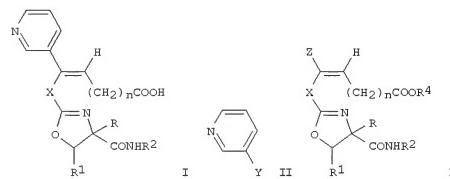
L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:3310 CAPLUS  
DOCUMENT NUMBER: 130:52408  
TITLE: Processes for the preparation of  $\omega$ -(3-pyridinyl)- $\omega$ -[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonism activity  
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: U.S., 32 PP  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849922	A	19981215	US 1997-862710	19970523
<-- US 5990308	A	19991123	US 1998-151122	19980910
<-- US 6031095	A	20000229	US 1998-150996	19980910
<-- PRIORITY APPLN. INFO.:			US 1996-18749P	P 19960531
<-- US 1997-862710				A3 19970523
<-- OTHER SOURCE(S): CASREACT 130:52408; MARPAT 130:52408 GI				



AB The title compds. I [n = 2-5; X = 1,2-C6H4, 1,3-C6H4, 1,4-C6H4; R = R1 = H, RR1 = double bond; R2 = alkyl, alkenyl, alkynyl, 2-phenylcyclopropyl, C-4 substituted Ph, C-4 substituted cyclohexyl, R3-substituted alkyl or oxoalkyl [R3 = (un)substituted cycloalkyl, Ph, tetrahydropyranyl, morpholino, piperidino, pyrrolidino, etc.]] and their salts, which possess thromboxane receptor antagonism activity, inhibited thromboxane synthase, inhibited induced blood platelet aggregation, and demonstrated an absence of TXA2 agonist activity, were prepared by Stille coupling reactions of

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

R4 = carboxy protecting group) in the presence of a Stille palladium coupling catalyst. Alternatively, I were prep'd. by Wittig olefination reactions of

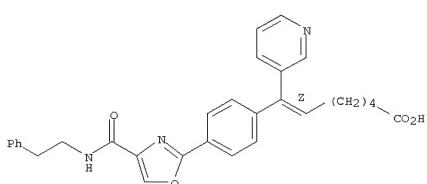
IT 200400-82-4P RL: SPP (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 200400-82-4 CAPLUS

CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



IT 200399-87-7P 200399-98-0P 200399-99-1P  
200400-00-6P 200400-02-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPP (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (pyridinyl)[(carbamoyloxazolyl)phenyl] alkenoic acids with

thromboxane receptor antagonism and thromboxane synthase inhibiting

activity)

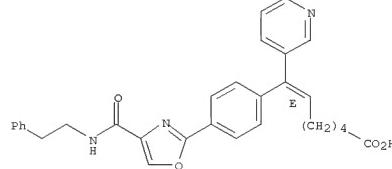
RN 200399-87-7 CAPLUS

CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-

oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

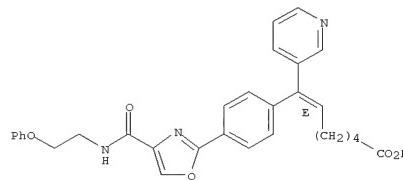
Double bond geometry as shown.

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 200399-98-0 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

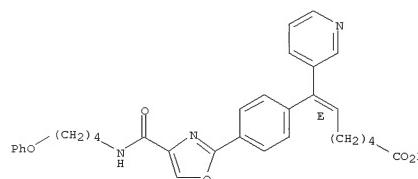
Double bond geometry as shown.



RN 200399-99-1 CAPLUS

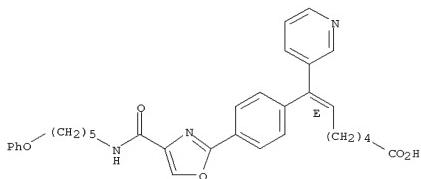
CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



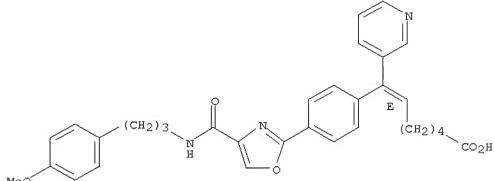
L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

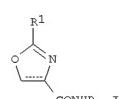
Double bond geometry as shown.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1998:816109 CAPLUS  
 DOCUMENT NUMBER: 130:66485  
 TITLE: Preparation of  $\omega$ -[(carbamoyl-2-oxazolyl)phenyl- $\omega$ -(3-pyridyl)alkenoates as thromboxane A2 antagonists  
 INVENTOR(S): Jakubowski, Joseph Anthony; Mais, Dale Eugene; Takeuchi, Kumiko  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: U.S., 28 pp  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849766	A	19981215	US 1997-862505	19970523
<-- US 6075147	A	20000613	US 1998-148288	19980904
<-- US 6114534	A	20000905	US 1998-148461	19980904
<-- PRIORITY APPLN. INFO.:			US 1996-18595P	P 19960531
<--			US 1997-862505	A3 19970523
<-- OTHER SOURCE(S):			MARPAT 130:66485	GI

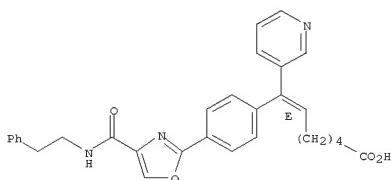


AB Title compds. [I; R = alk(en)yl, phenylalkyl, heterocyclylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridyl throughout; Z = phenylene; n = 2-5; dashed line = optional bond] were prepared as thromboxane receptor and synthase antagonists. Thus, Me (E)-7-(4-carboxyphenyl)-7-(3-pyridyl)-6-heptenoate was amidated by N-(4-cyclohexylbutyl)-O-(tert-butylidemethylsilyl)-L-serinamide (preparation each given) and the deprotected product cyclized to give, after dehydrogenation and saponification, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, dashed line = bond]. Data for biol. activity of I were given.  
 IT 200399-87-7P 200399-98-0P 200399-99-1P

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 200400-00-6P 200400-02-8P 200400-82-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prep., of  $\omega$ -[(carbamoyl-2-oxazolyl)phenyl- $\omega$ -(3-pyridyl)alkenoates as thromboxane A2 antagonists)

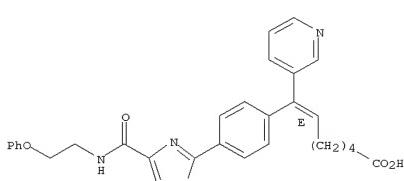
RN 200399-87-7 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

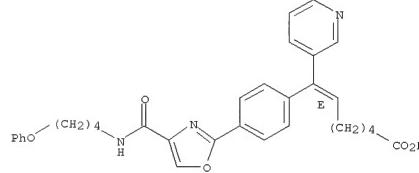
Double bond geometry as shown.



RN 200399-99-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

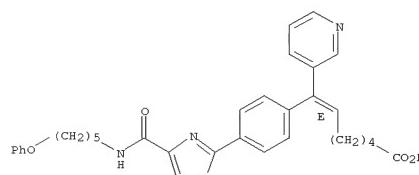
Double bond geometry as shown.

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



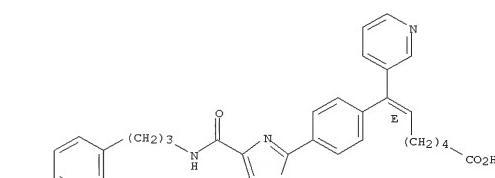
RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



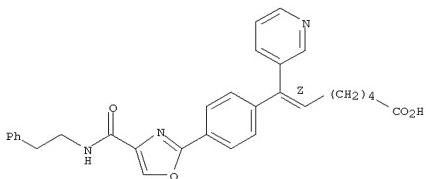
RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 200400-82-4 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:768050 CAPLUS  
 DOCUMENT NUMBER: 130:52236  
 TITLE: Preparation of dihydroxyphthalic acid diethers as squalene synthase inhibitors, their pharmaceutical uses, and their intermediates

INVENTOR(S): Ichikawa, Yuichiro; Niizuma, Setsuko; Abe, Masatoshi; Takahashi, Wataru; Ikeda, Tatsushi; Takashio,

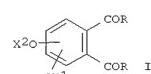
Kazutoshi PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.

CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316617	A	19981202	JP 1997-141169	19970516

<-- PRIORITY APPLN. INFO.: JP 1997-141169 19970516  
 OTHER SOURCE(S): MARPAT 130:52236  
 GI

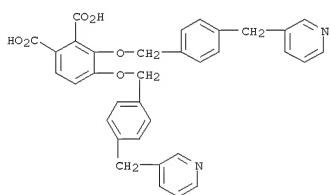


AB The title derivs. I [R = OH; X1, X2 = (un)substituted linear or branched C1-20 (un)saturated aliphatic hydrocarbyl, (un)substituted C2-8 alkyloxyalkyl, alkenyloxyalkyl, YZ [Y = (un)substituted C1-8 (hydroxy)alkyl, (un)substituted C2-8 alkyloxyalkyl, (un)substituted C2-8 alkylaminoalkyl; Z = (un)substituted aryl] (II); except the case where X1 = X2 = C1-3 alkyl, benzyl and/or their pharmaceutically acceptable salts are prepared by hydrolyzing I [R = OR1, NR2R3; R1-3 = C1-6 alkyl, (un)substituted C7-10 aralkyl; X1, X2 = same as in II]. II and their salts are useful for treatment of infection, hypercholesterolemia, hyperlipidemia, or atherosclerosis. IC50 of 3-farnesyloxy-4-[4-(3-phenoxyphenyl)butoxy]phthalic acid (preparation given) against Aspergillus fumigatus squalene synthase was 0.41 µg/mL. Antifungal activity against A. fumigatus and Candida albicans, and cholesterol formation-inhibiting action of II were also shown.

IT 217098-16-3  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1998:756609 CAPLUS  
 DOCUMENT NUMBER: 130:110182  
 TITLE: Development of Dual-Acting Agents for Thromboxane Receptor Antagonism and Thromboxane Synthase Inhibition. 3. Synthesis and Biological Activities of Oxazolecarboxamide-Substituted  $\omega$ -Phenyl- $\omega$ -(3-pyridyl)alkenoic Acid Derivatives and Related Compounds

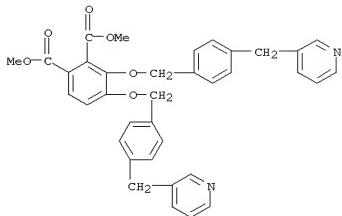
RN 217098-16-3 CAPLUS  
 CN 1,2-Benzenedicarboxylic acid, 3,4-bis[[(4-(3-pyridinylmethyl)phenyl)methoxy] (CA INDEX NAME)



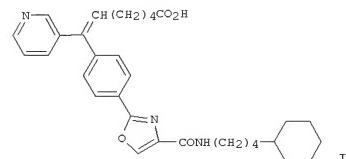
IT 217098-15-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of dihydroxyphthalic acid diethers as squalene synthase inhibitors and pharmaceutical uses and intermediates)

RN 217098-15-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 3,4-bis[[(4-(3-pyridinylmethyl)phenyl)methoxy] (CA INDEX NAME)



L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:756609 CAPLUS  
 DOCUMENT NUMBER: 130:110182  
 TITLE: Development of Dual-Acting Agents for Thromboxane Receptor Antagonism and Thromboxane Synthase Inhibition. 3. Synthesis and Biological Activities of Oxazolecarboxamide-Substituted  $\omega$ -Phenyl- $\omega$ -(3-pyridyl)alkenoic Acid Derivatives and Related Compounds  
 AUTHOR(S): Takeuchi, Kumiko; Kohn, Todd J.; True, Timothy A.; Mais, Dale E.; Wikle, James H.; Utterback, Barbara G.; Wyss, Virginia L.; Jakubowski, Joseph A.  
 CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA  
 SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5362-5374  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB A novel series of oxazolecarboxamide-substituted  $\omega$ -phenyl- $\omega$ -(3-pyridyl)alkenoic acid derivs. was discovered as potent dual-acting agents to block the TXA2 receptor and to inhibit the thromboxane synthase (TRA/TSI). Synthesis, structure-activity relationship (SAR), and in vitro

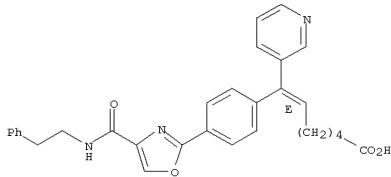
and in vivo pharmacol. of this series of compds. are described. Modification of the series revolved around the oxazole moiety to increase the hydrophilicity of the compds. and to correlate the biol. activity with

lipophilicity of the compds. The most potent in the series was (E)-7-[4-[(4-cyclohexylbutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridyl)hept-6-enonic acid (I) with  $K_d = 9.9 \pm 0.4$  nM for thromboxane receptor antagonism and  $IC_{50} = 55.0 \pm 17.9$  nM for thromboxane synthase inhibition. I was a selective TRA/TSI which exhibited desirable characteristics for oral activity, shunt effect to elevate PGII level, and absence of agonist activity.

IT 200399-87-7P 200399-98-0P 200399-99-1P  
 200400-00-6P 200400-02-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

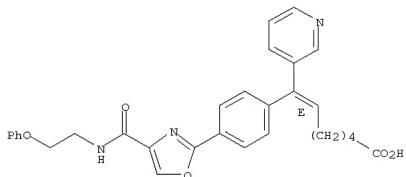
L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study; unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and thromboxane receptor antagonist and thromboxane synthase inhibitor activity of carbamoyloxazolylphenyl(pyridyl)heptenoic acids)  
 RN 200399-87-7 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



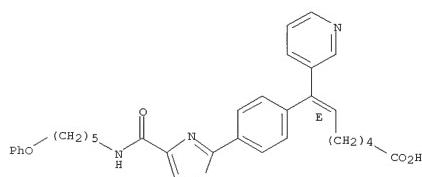
RN 200399-99-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

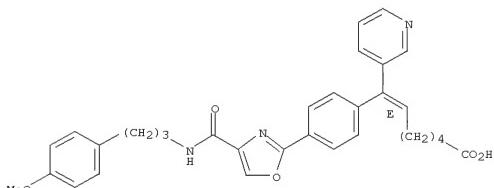
Double bond geometry as shown.



RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:713390 CAPLUS  
 DOCUMENT NUMBER: 130:104775  
 TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPAR $\gamma$  Agonists. 3. Structure-Activity Relationship and Optimization  
 OF  
 AUTHOR(S): Cobb, Jeff E.; Blanchard, Steven G.; Boswell, Evan  
 Brown, Kathleen K.; Charifson, Paul S.; Cooper, Joel P.; Collins, Jon L.; Desube, Milana; Henke, Brad R.; Hull-Ryde, Emily A.; Lake, Debra H.; Lenhard, James M.; Oliver, William Jr.; Oplinger, Jeffery; Pentti, Milla; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin  
 CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA  
 SOURCE: Journal of Medicinal Chemistry (1998), 41(25), 5055-5063  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GTI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

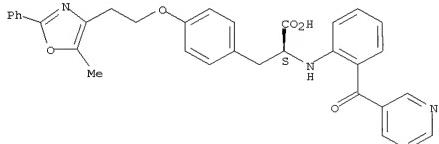
AB 3-[4-[2-(Benzoxazol-2-ylmethylamino)ethoxy]phenyl]-2S-((2-benzoylphenyl)amino)propionic acid (I) and (2S)-((2-benzoylphenyl)amino)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid (II) are peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ) agonists and have antidiabetic activity in rodent models of type 2 diabetes. As part of an effort to develop the SAR of the N-2-benzoylphenyl moiety of I and II, a series of novel carboxylic acid analogs, modified only in the N-2-benzoylphenyl moiety were synthesized from L-tyrosine and evaluated

as PPAR $\gamma$  agonists. In general, only modest changes in the N-2-benzoylphenyl moiety of I and II are tolerated. More specifically, the best changes involve biosimetic replacement of one of the two Ph rings of this moiety. Addition of substituents to this moiety generally produced compds. that are less active in the cell-based functional assays of PPAR $\gamma$  activity although binding affinity to PPAR $\gamma$  may be maintained. A particularly promising set of analogs is the anthranilic acid esters in which the Ph ring in the 2-benzoyl group of I and II has been replaced by an alkoxy group. In particular, (S)-2-(1-carboxy-2-[4-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl)anthranilic acid Me ester (III) has a pKi of 8.43 in the binding assay using human PPAR $\gamma$  ligand binding domain and a pEC50 of 9.21 in the in vitro murine lipogenesis functional assay of PPAR $\gamma$  activity. Finally, III was found to normalize glycemia when dosed at 3 mg/kg bid po in the Zucker diabetic fatty rat model of type 2 diabetes.

IT 196808-91-0P  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological

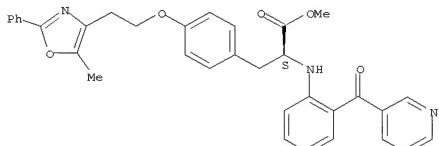
L4 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process (prep. and structure activity relations of N-(2-benzoylphenyl)-L-tyrosine PPAR agonists))  
 RN 196808-91-0 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

## Absolute stereochemistry.



IT 196810-77-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and structure activity relations of N-(2-benzoylphenyl)-L-tyrosine PPAR agonists)  
 RN 196810-77-2 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

## Absolute stereochemistry.

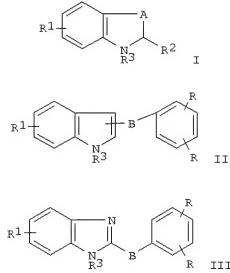


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1998:163566 CAPLUS  
 DOCUMENT NUMBER: 128:204806  
 TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors  
 INVENTOR(S): Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu  
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 115 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9808818	A1	19980305	WO 1997-US14943	19970826	
<--					
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KE, LC, LV, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ME, MR, NE, SN, TD, TG	A1	19980305	CA 1997-2264020	19970826	
CA 2264020	A1	19980305	CA 1997-2264020	19970826	
<--	AU 9740882	A	19980319	AU 1997-40882	19970826
<--	AU 717430	B2	20000323	EP 1997-938589	19970826
<--	EP 922028	A1	19990616		
<--	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI	T	20001219	JP 1998-511798	19970826
<--	JP 2000516958				
PRIORITY APPLN. INFO.:				US 1996-703115	A 19960826
<--				WO 1997-US14943	W 19970826
<--	OTHER SOURCE(S): MARPAT 128:204806				
GI					

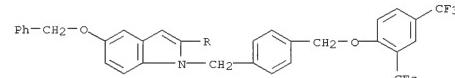
L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



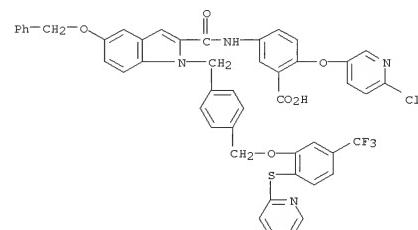
AB Title compds. I, II, III (A is independent of any other group and is selected from the group consisting of -CH<sub>2</sub>- and -CH<sub>2</sub>-CH<sub>2</sub>-; B is independent of any other group and is selected from the group consisting of -(CH<sub>2</sub>)<sub>n</sub>, -(CH<sub>2</sub>On)<sub>n</sub>, -(CH<sub>2</sub>S)<sub>n</sub>, -(SCH<sub>2</sub>)<sub>n</sub>, -(CH=CH)n-, -(C.tibond.C)n-, -CON(R<sub>6</sub>)-CO-, -O-, -S- and -N(R<sub>6</sub>)-; R<sub>2</sub> is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR<sub>5</sub>, -CONR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-(CH<sub>2</sub>)m-Z-R<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-R<sub>5</sub>, -Z-R<sub>5</sub>, C1-C10 alkyl, alkenyl and substituted aryl; R<sub>3</sub> is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-(CH<sub>2</sub>)m-Z-R<sub>5</sub>, -(CH<sub>2</sub>)<sub>n</sub>-W-R<sub>5</sub>, -Z-R<sub>5</sub>, C1-C10 alkyl, alkenyl and substituted aryl) and a pharmaceutically acceptable salt thereof; which inhibit the activity of phospholipase enzymes, particularly cytosolic phospholipase A2 were prepared. Pharmaceutical compns. comprising such compds. and methods of treatment using such compns. are also disclosed.

IT 204016-36-4P 204016-37-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indole derivs. as phospholipase enzyme inhibitors)  
 RN 204016-36-4 CAPLUS  
 CN Benzoic acid, 2-[[(4-[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl)-5-[[[2-(pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1-[4-[[2-(6-chloro-3-pyridinyl)oxy]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 204016-37-5 CAPLUS  
 CN Benzoic acid, 2-[[(4-[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl)-5-[[[2-(pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1-[4-[[2-(6-chloro-3-pyridinyl)oxy]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

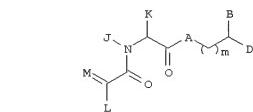


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

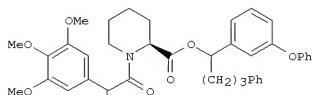
L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:157415 CAPLUS  
 DOCUMENT NUMBER: 128:205136  
 TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression.  
 INVENTOR(S): Armistead, David M.; Harding, Matthew W.; Saunders, Jeffrey O.; Boger, Joshua S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
 SOURCE: U.S., 34 pp., Cont.-in-part of U.S. 5,620,971.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DRTE
US 5723459	A	19980303	US 1995-377315	19950124
<-- US 5620971	A	19970415	US 1994-217982	19940325
<--				
PRIORITY APPLN. INFO.:			US 1991-697785	B2 19910509
<--			US 1992-881152	B2 19920511
<--			US 1992-952299	B2 19920928
<--			US 1993-127814	B2 19930928
<--			US 1994-217982	A2 19940325
OTHER SOURCE(S):	MARPAT 128:205136			
GI				

L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



III

AB The present invention relates to novel acylated amino acid esters I [A = CH<sub>2</sub>, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)yl, (hetero)azalkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH<sub>2</sub>Ph; K = alkyl, CH<sub>2</sub>Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compns. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>OH underwent oxidation to the aldehyde and reaction with Ph(CH<sub>2</sub>)<sub>3</sub>MgBr to give the racemic alc. 3-PhOC<sub>6</sub>H<sub>4</sub>CH(OH)(CH<sub>2</sub>)<sub>3</sub>Ph (II). Esterification of II with (S)-N-[3-(3,4,5-trimethoxyphenyl)glyoxyl]picolic acid (preparation given) yielded ester III as a mixture of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

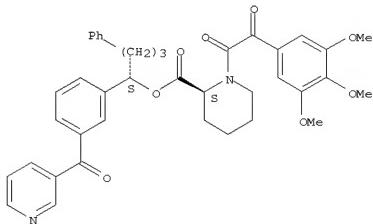
IT 159997-79-2P 159997-80-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prep. of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)

RN 159997-79-2 CAPLUS

CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

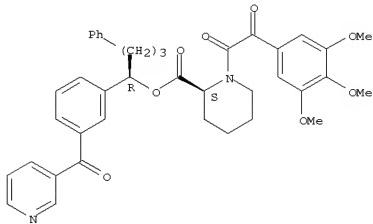
Absolute stereochemistry.



RN 159997-80-5 CAPLUS

CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

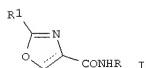
Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

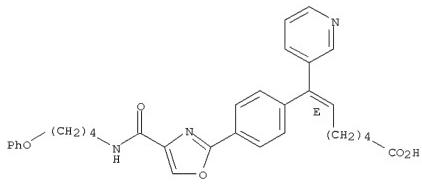
L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:116096 CAPLUS  
 DOCUMENT NUMBER: 128:140692  
 TITLE: Preparation of  $\omega$ -[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors  
 INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John  
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
 SOURCE: Eur. Pat. Appl., 52 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 816361	A2	19980107	EP 1997-303656	19970529
EP 816361	A3	19980408		
FI CA 2206469	A1	19971130	CA 1997-2206469	19970528
JP 10059966	A	19980303	JP 1997-141619	19970530
PRIORITY APPLN. INFO.:			US 1996-18749P	P 19960531
			GB 1996-13219	A 19960625
OTHER SOURCE(S):	MARPAT 128:140692			
GI				



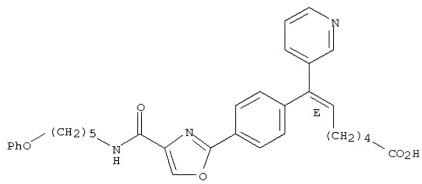
AB Title compds. [I; R = alk(en)yl, cycloalkylalkyl, phenylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridyl; Z = phenylene; n = 2-5; dashed line = optional addnl. bond] were prepared. Thus, 4-(Me3CMe2SiO)C6H4CHO was condensed with 3-bromopyridine and the oxidized product condensed with BrPh3P(CH2)5CO2H to give, in 2 addnl. steps, (E)-4-(HO2C)C6H4CR2:CH(CH2)4CO2Me (R2 = 3-pyridyl) which was condensed with (S)-Me3CMe2SiOCH2CH(NH2)CONHR (R = 4-cyclohexylbutyl) (preparation given) to give, in 3 addnl. steps, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, R2 = 3-pyridyl, dashed line = addnl. bond]. Data for biol. activity of I were given.  
 IT 200399-87-7P 200399-98-0P 200399-99-1P

L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



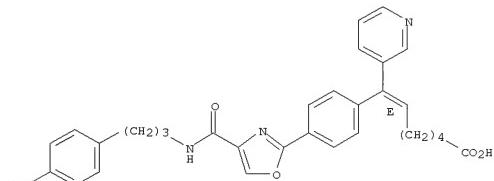
RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[5-phenoxypentyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



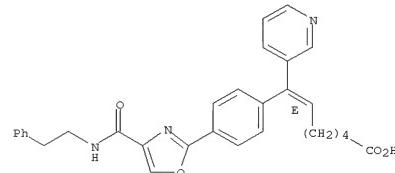
RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



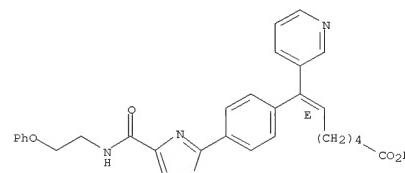
L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 200400-00-6P 200400-02-8P 201993-62-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPT (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prep. of  $\omega$ -[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors)  
 RN 200399-87-7 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

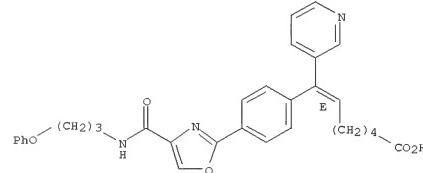


RN 200399-99-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

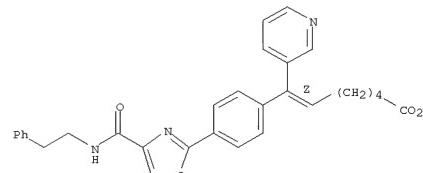
L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 201993-62-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[3-phenoxypropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (E)- (SC1) (CA INDEX NAME)

Double bond geometry as shown.



IT 200400-82-4P  
 RL: BYP (Byproduct); PREP (Preparation)  
 (preparation of  $\omega$ -[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors)  
 RN 200400-82-4 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:801923 CAPLUS  
 DOCUMENT NUMBER: 128:61507  
 TITLE: Preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists  
 INVENTOR(S): Jakubowski, Joseph Anthony; Mais, Dale Eugene; Takeuchi, Kumiko  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: Eur. Pat. Appl., 48 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

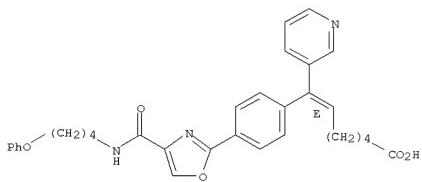
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 811621	A2	19971210	EP 1997-303662	19970529
EP 811621	A3	19980204		
FI CA 2206466	A1	19971130	CA 1997-2206466	19970528
JP 10059965	A	19980303	JP 1997-141590	19970530
PRIORITY APPLN. INFO.:			US 1996-18595P	P 19960531
			GB 1996-13222	A 19960625

<-- OTHER SOURCE(S): MARPAT 128:61507  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

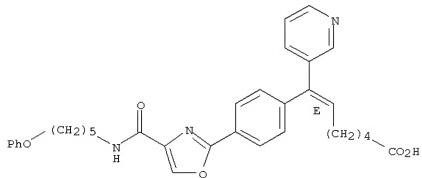
AB The title compds. [I; n = 2-5; L = ortho-, meta- or para-phenylene; Ra = H; RaRa = a bond; R = C3-12 alkyl, C3-12 alkenyl, C3-12 alkynyl, etc.] in either the E-form, the Z-form or a mixture thereof, which are  $\omega$ -phenyl- $\omega$ -(3-pyridyl)- $\omega$ -alkenoic acid derivs. bearing a carbamoyl substituted oxazolyl or oxazolinyl group on the Ph ring and which demonstrate utility for thromboxane receptor antagonism and/or thromboxane synthase inhibition, were prepared and formulated. Thus, reaction of the acid II with L-serinamide III in the presence of HOBT and DCC in THF followed by TBS-group removal, cyclization of the resulting hydroxybisamide IV in the presence of PPh3, iPr2NEt in CCl4/McCN, and hydrolysis of the ester V afforded the acid (4S)-(E)-VI, which showed IC50 of 82.1 nM against thromboxane synthase.  
 IT 200399-87-7P 200399-98-0P 200399-99-1P  
 200400-00-6P 200400-02-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



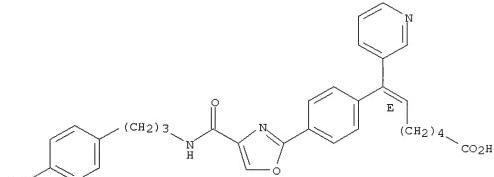
RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



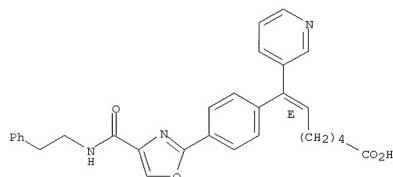
RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(3-(4-methoxyphenyl)propyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



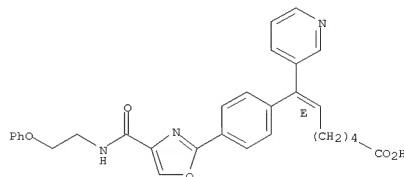
L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)  
 RN 200399-87-7 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



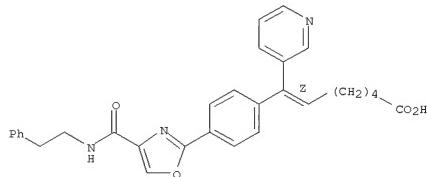
RN 200399-99-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

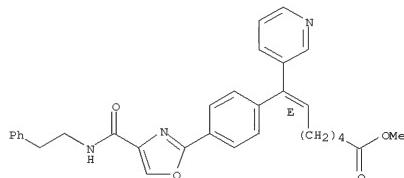
IT 200400-82-4P  
 RL: BYP (Byproduct); PREP (Preparation)  
 (preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)  
 RN 200400-82-4 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



IT 200400-60-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)  
 RN 200400-60-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

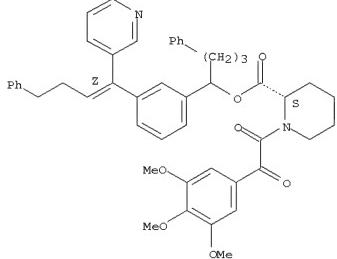
Double bond geometry as shown.



L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:679057 CAPLUS  
 DOCUMENT NUMBER: 127:346300  
 TITLE: Preparation of  
 N-(aryloxalyl)piperidine-2-carboxylates  
 and analogs as multidrug resistance inhibitors  
 INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl. 97 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

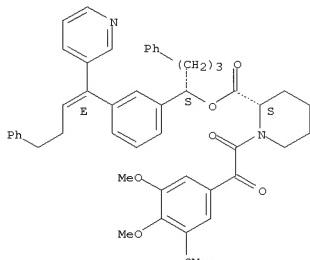
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736869	A1	19971009	WO 1997-US4916	19970324
<-- W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, US, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5717092	A	19980210	US 1996-626259	19960329
<-- CA 2249369 A1 19971009 CA 1997-2249369 19970324				
<-- AU 9723465 A 19971022 AU 1997-23465 19970324				
<-- EP 891331 A1 19990120 EP 1997-916231 19970324				
<-- EP 891331 B1 20031001 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000507578	T	20000620	JP 1997-535395	19970324
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<-- PT 891331 T 20040227 PT 1997-916231 19970324				
<-- ES 2208890 T3 20040616 ES 1997-916231 19970324				
<-- US 5935954 A 19990810 US 1997-961551 19971030				
<-- PRIORITY APPLN. INFO.: US 1996-626259 A 19960329				
<-- WO 1997-US4916 W 19970324				
<-- OTHER SOURCE(S): MARPAT 127:346300				
GI				

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-61-9 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butene]phenyl]butyl ester, [S-(R\*,R\*-E)]- (9CI) (CA INDEX NAME)

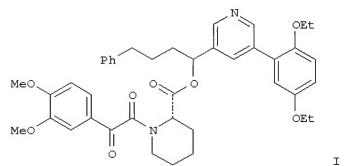
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-62-0 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butene]phenyl]butyl ester, [R-(R\*,S\*-E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds, e.g., Rz122CO23C(24R1)Z5R2 [R = 1-alkyl-4-piperidinyl, (4-alkyl)-1-piperazinyl, alk(en)yl, (hetero)aryl, etc.; R1 = 1-alkyl-4-piperidinyl, (4-alkyl)-1-piperazinyl, cycloalk(en)yl, (hetero)aryl, etc.; R2 = (hetero)aryl, halo, OH, etc.; Z1 = SO2, COCO, Z2 = pyrrolidin-1-yl, or piperedin-1,2-diy]; Z3 = O, CH2, (alkyl)imino; Z4 = (heteroatom-interrupted) alk(en)ylene, alkynylene; Z5 = (hetero)arylene) were prepared. Thus, 3-bromo-5-(4-phenoxybutryl)pyridine was condensed with

2,5-(E)-2C6H3B(OH)2 (preparation each given) and the reduced product esterified by (S)-N-(2-trimethylsilylhexoxycarbonyl)piperolinic acid and the deprotected product N-acylated by 3-(4-MeO)2C6H3COCO2H to give title compound 1. Data for biol. activity of title compds. were given.

IT 199130-60-9P 199130-61-9P 199130-62-0P

199130-65-3P 199130-72-2P 199130-73-3P

199130-82-4P 199130-83-5P 199130-84-6P

199130-85-7P 199130-86-8P 199130-87-9P

199130-90-4P 199130-91-5P 199130-92-6P

199130-93-7P 199130-94-8P 199130-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

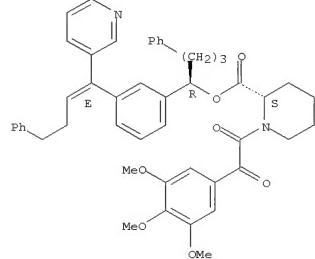
(preparation of N-(aryloxalyl)piperidine-2-carboxylates and analogs as multidrug resistance inhibitors)

RN 198130-60-8 CAPLUS

CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butene]phenyl]butyl ester, [ZS-[2R\*(Z)]- (9CI) (CA INDEX NAME)

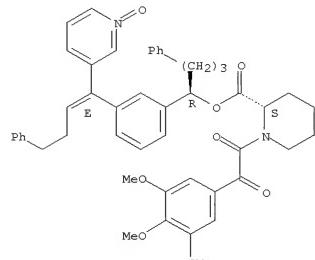
Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-65-3 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-[1-(1-oxido-3-pyridinyl)-4-phenyl-1-butene]phenyl]butyl ester, [K-(R\*,S\*-E)]- (9CI) (CA INDEX NAME)

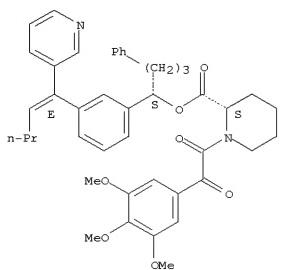
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-72-2 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]butyl ester, [S-(R\*,S\*-E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

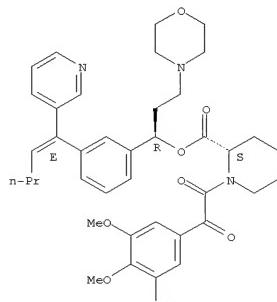


RN 198130-73-3 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]butyl ester, [R-[R\*,S\*-E]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.  
 Double bond geometry as shown.

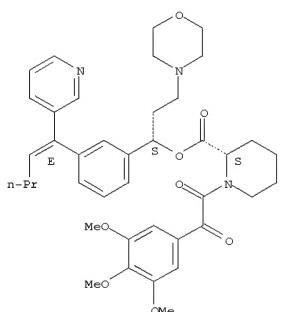


RN 198130-83-5 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [S-[R\*,R\*-E]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

RN 198130-82-4 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester,

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

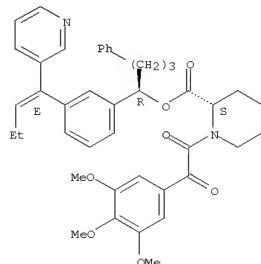


RN 198130-84-6 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [S-[R\*,R\*-E]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

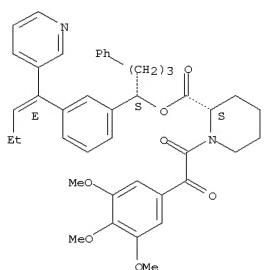
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.  
 Double bond geometry as shown.

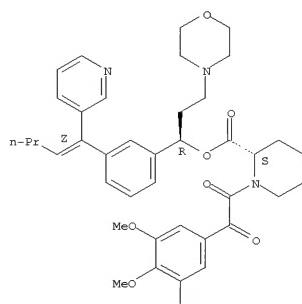


RN 198130-86-8 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [R-[R\*,S\*-E]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



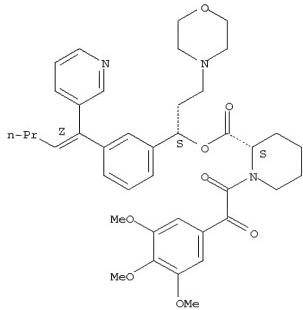
RN 198130-85-7 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-butene]phenyl]butyl ester, [R-[R\*,S\*-E]]- (9CI) (CA INDEX NAME)



RN 198130-87-9 CAPLUS

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester,  
 [S-[R\*,R\*-Z]]- (9CI) (CA INDEX NAME)

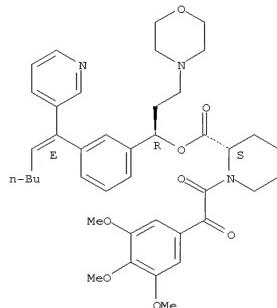
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-90-4 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester,  
 [R-[R\*,S\*-E]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-91-5 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester,  
 [S-[R\*,R\*-D]]- (9CI) (CA INDEX NAME)

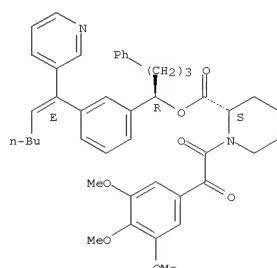
Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 198130-92-6 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]butyl ester,  
 [S-[R\*,R\*-E]]- (9CI) (CA INDEX NAME)

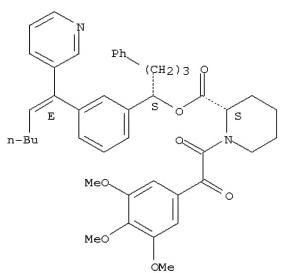
Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.  
 Double bond geometry as shown.



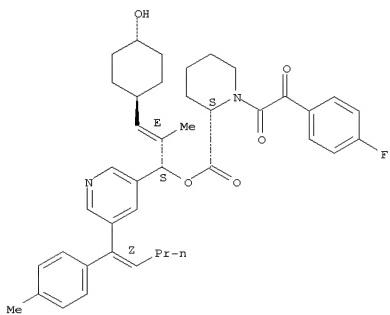
RN 198130-94-8 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[(4-fluorophenyl)oxoacetyl]-,  
 3-(4-hydroxycyclohexyl)-2-methyl-1-[5-[1-(4-methylphenyl)-1-pentenyl]-3-  
 pyridinyl]-2-propenyl ester, [1(1S)-[1a[R\*(R\*)],1(Z),2E],4β]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-93-7 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 4-phenyl-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]butyl ester,  
 [R-[R\*,S\*-E]]- (9CI) (CA INDEX NAME)

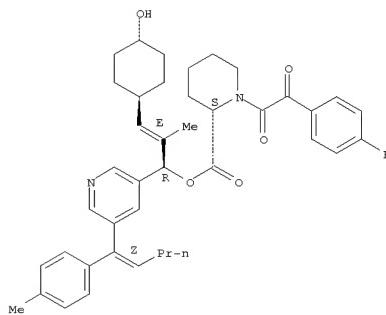
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-95-9 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[(4-fluorophenyl)oxoacetyl]-,  
 3-(4-hydroxycyclohexyl)-2-methyl-1-[5-[1-(4-methylphenyl)-1-pentenyl]-3-  
 pyridinyl]-2-propenyl ester, [1(1R)-[1a(1R\*)(S\*),1(Z),2E],4β]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:631662 CAPLUS  
 DOCUMENT NUMBER: 127:234285  
 TITLE: Thromboxane Modulating Agents. 3, 1H-Imidazol-1-ylalkyl- and 3-Pyridinylalkyl-substituted 3-[2-[(Arylsulfonyl)aminoethyl]benzenepropanoic Acid Derivatives as Dual Thromboxane Synthase Inhibitor/Thromboxane Receptor Antagonists

AUTHOR(S): Dickinson, Roger P.; Dack, Kevin N.; Long, Clive J.; Steele, John

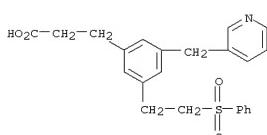
CORPORATE SOURCE: Pfizer Central Research, Sandwich/Kent, CT13 9NJ, UK  
 SOURCE: Journal of Medicinal Chemistry (1997), 40(21), 3442-3452  
 CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The design of a series of dual thromboxane synthase inhibitor/thromboxane receptor antagonists based on a 3-[2-[(arylsulfonyl)aminoethyl]benzenepropanoic acid thromboxane receptor antagonist template is described. Introduction of a 5-1H-imidazol-1-ylmethyl), a 5-(3-pyridinylmethyl), or a 5-(3-pyridinyl) substituent leads to dual agents with thromboxane synthase inhibitory activity comparable with that of dazmegrel. In addition, 3-pyridinylalkyl substituents also make a significant contribution to thromboxane receptor binding. Oral administration of compound 74 (5 mg/kg) to conscious dogs produces long-lasting thromboxane synthase inhibition and thromboxane receptor blockade as measured by inhibition of U46619-induced platelet aggregation ex vivo.

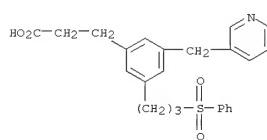
IT 145692-11-1P 145692-12-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of imidazolyl- and pyridinyl-substituted [(arylsulfonyl)aminoethyl]benzenepropanoic acids as dual thromboxane synthase inhibitor/thromboxane receptor antagonists)

RN 145692-11-1 CAPLUS  
 CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-(CA INDEX NAME)



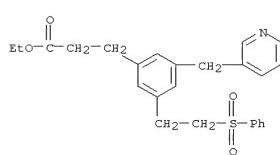
RN 145692-12-2 CAPLUS  
 CN Benzenepropanoic acid,  
 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-  
 (CA INDEX NAME)

L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

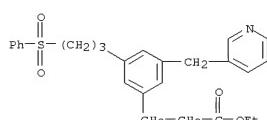


IT 145691-13-0P 145691-14-1P 145691-70-9P  
 145691-71-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant reagent)  
 (preparation of imidazolyl- and pyridinyl-substituted [(arylsulfonyl)aminoethyl]benzenepropanoic acids as dual thromboxane synthase inhibitor/thromboxane receptor antagonists)

RN 145691-13-0 CAPLUS  
 CN Benzenepropanoic acid,  
 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-, ethyl ester (CA INDEX NAME)



RN 145691-14-1 CAPLUS  
 CN Benzenepropanoic acid,  
 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-, ethyl ester (CA INDEX NAME)

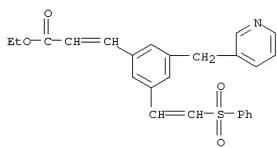


RN 145691-70-9 CAPLUS  
 CN 2-Propenoic acid, 3-[3-(phenylsulfonyl)ethenyl]-5-(3-pyridinylmethyl)phenyl-, ethyl ester (CA INDEX NAME)

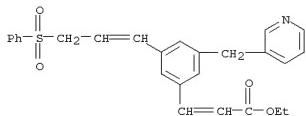
02/29/2008

10-566,291.trn

L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 145691-71-0 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[3-(phenylsulfonyl)-1-propenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:594721 CAPLUS  
 DOCUMENT NUMBER: 127:278064  
 TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma  
 INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: PCT Int. Appl., 157 pp.  
 CODEN: PIXDD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226
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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2247443	A1	19970904	CA 1997-2247443	19970226
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AU 9720935	A	19970916	AU 1997-20935	19970226
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AU 717699 ZA 9701645	B2	20000330	AU 1997-1645	19970226
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EP 888317	A1	19990107	EP 1997-906130	19970226
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EP 888317 B1	20010912 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
CN 1218460	A	19990602	CN 1997-193988	19970226
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CN 1093124 BR 9709786	B	20021023	BR 1997-7786	19970226
<--				
JP 2000507216	T	20000613	JP 1997-530586	19970226
<--				
JP 3255930 NZ 331381	B2	20020212	NZ 1997-331381	19970226
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HU 2000004845	A2	20010528	HU 2000-4845	19970226
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HU 2000004845 IL 125796	A3	20010730	IL 1997-125796	19970226
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L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AT 205485 T 20010915 AT 1997-906130 19970226

<-- ES 2163125 T3 20020116 ES 1997-906130 19970226  
 <-- PT 888317 T 20020328 PT 1997-906130 19970226  
 <-- SK 282753 B6 20021203 SK 1998-1163 19970226  
 <-- HR 970110 B1 20030630 HR 1997-110 19970226  
 <-- IN 1997DE00491 A 20050311 IN 1997-DE491 19970226  
 <-- CZ 295383 B6 20050713 CZ 1998-2750 19970226  
 <-- PL 191118 B1 20060331 PL 1997-328871 19970226  
 <-- TW 391958 B 20000601 TW 1997-86102826 19970307  
 <-- US 6294580 B1 20010925 US 1998-125750 19980825  
 <-- NO 9803940 A 19981027 NO 1998-3940 19980827  
 <-- NO 311516 B1 20011203 HK 1999-100498 19990205  
 <-- HK 1015369 AI 20020215 HK 1999-100498 19990205  
 <-- PRIORITY APPLN. INFO.: GB 1996-4242 A 19960228  
 <-- WO 1997-EP916 W 19970226  
 <--

OTHER SOURCE(S): MARPAT 127:278064

AB Compds. 4-(A-B-O)C6H4-Q-CH2CO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTRS, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined. E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give

3-(4-benzyloxophenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

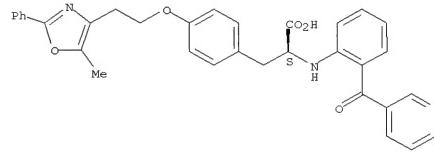
IT 196803-91-0P 196814-71-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196803-91-0 CAPLUS

CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

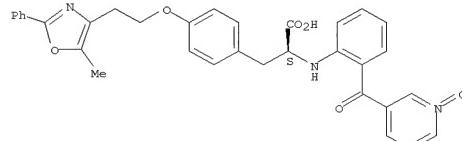
Absolute stereochemistry.

L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 196814-71-8 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

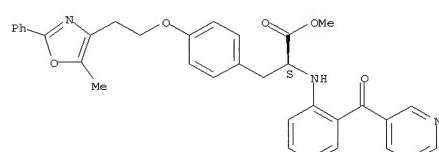
Absolute stereochemistry.



IT 196810-77-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196810-77-2 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



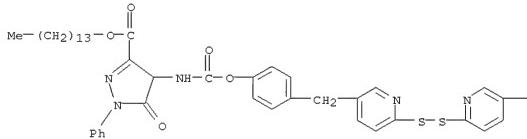
L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 58 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:480406 CAPLUS  
 DOCUMENT NUMBER: 127:101723  
 TITLE: Developing agent for silver halide photographic material and processing method using it  
 INVENTOR(S): Komatsu, Hideki; Nishio, Shoji  
 PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 73 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09152687	A	19970610	JP 1995-312247	19951130

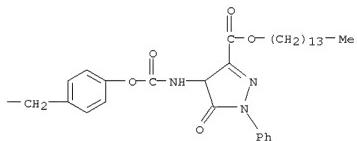
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 PRIORITY APPLN. INFO.: JP 1995-312247 19951130  
 <--  
 OTHER SOURCE(S): MARPAT 127:101723  
 AB The agent contains an ascorbic acid derivative R1C(OM1):C(OM2)XkR2 [R1 = (un)substituted alkyl, amino, alkoxy, alkylthio; R1 and R2 may form ring; k = 0, 1; X = CO, CS if k = 1; M1-2 = H, alkali metal] and a redox compound releasing a development inhibitor by oxidation and does not contain hydroquinones. The material is processed by using the agent. The agent shows improved dot reproduction quality.  
 IT 191655-14-8 RL: TEM (Technical or engineered material use); USES (Uses) (ascorbic acid developer containing redox compound for silver halide photog. material)  
 RN 191655-14-8 CAPLUS  
 CN 1H-Pyrazole-3-carboxylic acid, 4,4'-(dithiobis(2,5-pyridinediylmethylene-4,1-phenyleneoxy carbonylimino)]bis[4,5-dihydro-5-oxo-1-phenyl-, ditetradecyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:307496 CAPLUS  
 DOCUMENT NUMBER: 126:272378  
 TITLE: Methods and compositions for stimulating neurite growth using compounds with affinity for FKBP12 in combination with neurotrophic factors  
 INVENTOR(S): Armistead, David M.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: S. African, 54 pp.  
 CODEN: SFXXAB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 9604852	A	19960729	ZA 1996-4852	19960607

<-- US 6037370 A 20000314 US 1995-486004 19950608  
 <-- CA 2222430 A1 19961227 CA 1996-2222430 19960606  
 <-- WO 9641609 A2 19961227 WO 1996-US10123 19960606  
 <-- AU 9661119 A 19970109 AU 1996-61119 19960606  
 <-- EP 831812 A2 19980401 EP 1996-918469 19960606  
 <-- EP 831812 B1 20051207 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI  
 <-- CN 1202104 A 19981216 CN 1996-195690 19960606  
 <-- BR 9609333 A 19991013 BR 1996-9333 19960606  
 <-- NZ 310339 A 20000327 NZ 1996-310339 19960606  
 <-- NZ 501709 A 20001027 NZ 1996-501709 19960606  
 <-- JP 2002502355 T 20020122 JP 1997-503275 19960606  
 <-- IL 122346 A 20020523 IL 1996-122346 19960606  
 <-- IL 136118 A 20021201 IL 1996-136118 19960606  
 <-- RU 2197240 C2 20030127 RU 1998-100456 19960606  
 <-- PL 185798 B1 20030731 PL 1996-328723 19960606  
 <-- AT 311975 T 20051215 AT 1996-918469 19960606  
 <-- EP 1666037 A2 20060607 EP 2005-26521 19960606

L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 EP 1666037 A3 20060621  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 ES 2255077 T3 20060616 ES 1996-918469 19960606  
 <-- US 6124328 A 20000926 US 1997-795956 19970228  
 <-- AU 2000043801 A 20000907 AU 2000-43801 20000703  
 <-- AU 757406 B2 20030220 US 2000-616539 20000714  
 <-- US 6326387 B1 20011204  
 <-- JP 2007308517 A 20071129 JP 2007-227995 20070903  
 <-- PRIORITY APPLN. INFO.: US 1995-486004 A 19950608  
 <-- AU 1996-61119 A3 19960606  
 <-- EP 1996-918469 A3 19960606  
 <-- IL 1996-122346 A3 19960606  
 <-- JP 1997-503275 A3 19960606  
 <-- NZ 1996-310339 A1 19960606  
 <-- WO 1996-US10123 W 19960606  
 <-- US 1997-795956 A3 19970228

<-- OTHER SOURCE(S): MARPAT 126:272378

AB A pharmaceutically acceptable composition is disclosed which comprises (a) a neurotrophic amount of a compound with affinity for FK-506-binding protein

FKBP12 e.g. having the formula  $BAC(:O)CH(R)N(J)C(:O)C(:E)D$  [A = O, NH, N(C1-4 alkyl); B = H, C1-6 (branched) alkyl, C2-6 (branched) alkenyl,

C5-7 cycloalkyl, etc.; D = U; E = O, CHU (if D = H, then E = CH-U; if E = O, then D is not H); U = H, O-(C1-4)-straight or branched alkyl, O-(C2-4)-straight or branched alkenyl, C1-6 (branched) alkyl, C2-6 (branched) alkenyl, (substituted) C5-7 cycloalkyl, (substituted) C5-7 cycloalkenyl, etc.; J = H, C1-2 alkyl; K = C1-4 (branched) alkyl, benzyl, cyclohexylmethyl, or J and K taken together form 5-7 membered heterocyclic

ring which may contain O, S, SO<sub>2</sub>, and the stereochem. at carbon to which K is bonded = R or S] and pharmaceutically acceptable derivs. thereof; (b) a neurotrophic factor; and (c) a pharmaceutically carrier. The neurotrophic factor may be e.g. nerve growth factor. The methodol.

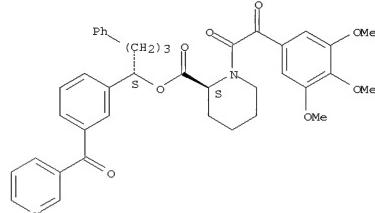
of the invention can be used to promote repair of neuronal damage caused by disease or phys. trauma.

IT 159997-79-2 159997-80-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compds. with affinity for FKBP12 in combination with neurotrophic factors for stimulating neurite growth)  
 RN 159997-79-2 CAPLUS  
 CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

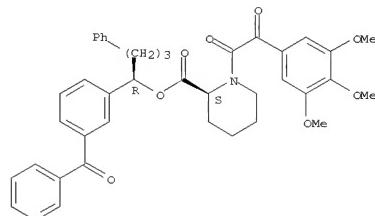
Absolute stereochemistry.



RN 159997-80-5 CAPLUS

CN 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [K-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

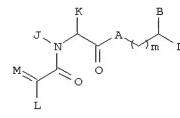


L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

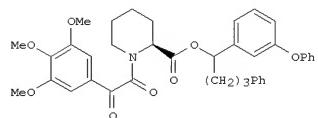
L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:276774 CAPLUS  
 DOCUMENT NUMBER: 126:343875  
 TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression  
 INVENTOR(S): Armitstead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 881,152, abandoned  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620971	A	19970415	US 1994-217982	19940325
US 5723459	A	19980303	US 1995-377315	19950124
PRIORITY APPLN. INFO.:			US 1991-697785	B2 19910509
<--			US 1992-881152	B2 19920511
<--			US 1992-952299	B2 19920928
<--			US 1993-127814	B2 19930928
<--			US 1994-217982	A2 19940325

OTHER SOURCE(S): MARPAT 126:343875  
 GI



I



III

L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

**AB** The present invention relates to novel acylated amino acid esters I [A = CH<sub>2</sub>, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(ynyl), cycloalk(en)ylalk(en)(ynyl), (hetero)aralk(en)yl, (hetero)aralkyl, cis-C(=O)CH<sub>2</sub>; Q = H, alk(en)(ynyl); T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH<sub>2</sub>Ph; K = alkyl, CH<sub>2</sub>Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns, comprising them, which possess a broad range of useful biol. activities. These compns. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns., comprising these compns. The compns. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>OH under went oxidation to the aldehyde and reaction with Ph(CH<sub>2</sub>)<sub>3</sub>MgBr to give

the racemic alc. 3-PhOC<sub>6</sub>H<sub>4</sub>CH(OH)(CH<sub>2</sub>)<sub>3</sub>Ph (II). Esterification of II with (S)-N-[{(3,4,5-trimethoxyphenyl)glyoxyl]piperolic acid (preparation given) yielded ester III as a mixture of diastereomers. In a test for reversal

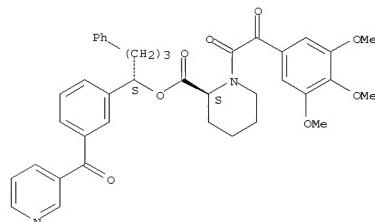
of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

**IT** 159997-79-2P 159997-80-5P  
**RL:** BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)

**RN** 159997-79-2 CAPLUS  
**CN** 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

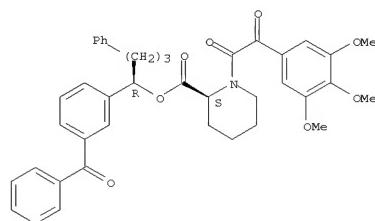
Absolute stereochemistry.

L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



**RN** 159997-80-5 CAPLUS  
**CN** 2-Piperidinocarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997234340 CAPLUS

DOCUMENT NUMBER: 126:225224

TITLE: Preparation of pyridylmethylphenyl derivatives as antihyperlipemics

INVENTOR(S): Mochizuki, Nobuo; Ueda, Akiyoshi; Suzuki, Tatsumi; Hatano, Masami; Uchida, Seiichi; Umeda, Nobuhiko; Yamada, Hirokazu

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 24 pp.

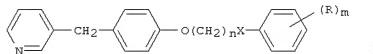
DOCUMENT TYPE: CODEN: PIXKD2

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706142	A1	19970220	WO 1996-JP2245	19960808
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W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FW: KE, LS, MW, SD, SZ, UC, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PI, SE, BF, CF, CG, CI, CM, GA, GN				
AU 9666692	A	19970305	AU 1996-66692	19960808
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PRIORITY APPLN. INFO.:		JP 1995-225790	A	19950810
<--				
		JP 1996-197064	A	19960708
<--				
OTHER SOURCE(S):	MARPAT 126:225224	WO 1996-JP2245	W	19960808
GI				



I

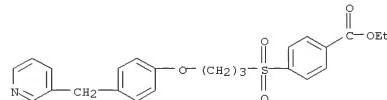
**AB** The title 3-(4-alkoxybenzyl)pyridine derivs. represented by general formula I; X = NR1 (R1 = H or C1-6 alkyl), O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHMe or NH<sub>2</sub>O<sub>2</sub>; n = 0 or an integer of 1 to 9; R = C1-6 alkyl, halo, C1-6 alkoxy, HO, CO<sub>2</sub>R2 (R2 = H or C1-6 alkyl); m = 0, 1, 2, 3) or medicinally acceptable salts thereof, which inhibit the biosynthesis of cholesterol owing to the inhibitory activity on squalene-2,3-oxide cyclase and show low toxicity, are prepared. Thus, 0.7 g 4-(3-pyridylmethyl)phenol and 0.66 g

K<sub>2</sub>CO<sub>3</sub> were added to 30 mL DMF, followed by adding 1.1 g 3-chloro-1-(4-chlorophenylsulfonyl)propane, and the resulting mixture was stirred at 100° for 16 h to give [(benzenesulfonylpropoxy)benzyl]py

L4 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 pyridine I [n = 3, X = SO<sub>2</sub>, (R)m = p-Cl]. This compd. in vitro showed IC<sub>50</sub> of 0.38 μM for inhibiting the biosynthesis of cholesterol (Biophysica Acta, 486, 70-81, 1977) and in vivo at 10 mg/kg p.o. inhibited 80% the biosynthesis of cholesterol in mice.

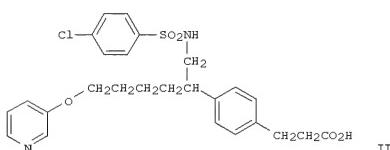
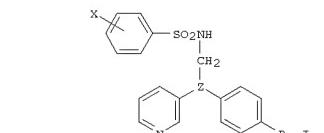
**IT** 188128-29-2P  
**RL:** BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridylmethylphenyl derivs. as antihyperlipemics)

**RN** 188128-29-2 CAPLUS  
**CN** Benzoic acid, 4-[(3-[4-(3-pyridinylmethyl)phenoxy]propyl)sulfonyl]-, ethyl ester (CA INDEX NAME)



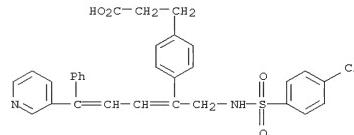
L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:2234 CAPLUS  
 DOCUMENT NUMBER: 126:31271  
 TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals  
 INVENTOR(S): Tatsugami, Shinichi; Onishi, Hiroyuki; Morimoto, Katsumi  
 PATENT ASSIGNEE(S): Terumo Corp., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309
<< PRIORITY APPLN. INFO.:			JP 1995-49789	19950309
<< OTHER SOURCE(S):		MARPAT	126:31271	
GI				



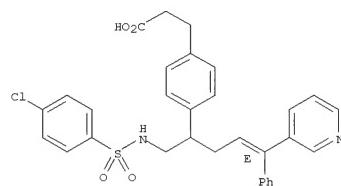
AB The title compds. I [X = H, halo, etc.; Z = O(CH<sub>2</sub>)mCH, etc.; R = (CH<sub>2</sub>)nCO<sub>2</sub>R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared. The title compound II in vitro showed IC<sub>50</sub> of 0.039 x 10<sup>-6</sup> M against U-46619-induced platelet aggregation.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 184419-26-3P 184419-28-1P 184419-30-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-26-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl- (9CI) (CA INDEX NAME)



RN 184419-28-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl-, (E)- (9CI) (CA INDEX NAME)

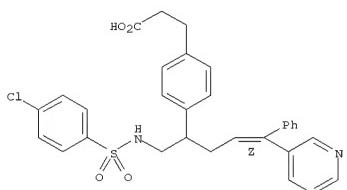
Double bond geometry as shown.



RN 184419-30-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl-, (Z)- (9CI) (CA INDEX NAME)

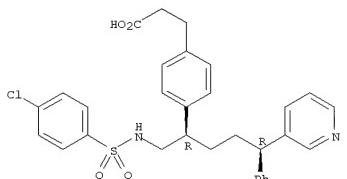
Double bond geometry as shown.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



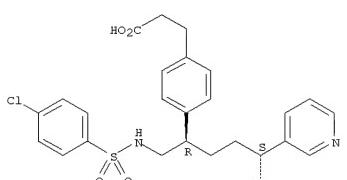
RN 184419-32-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



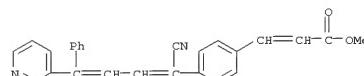
RN 184653-31-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

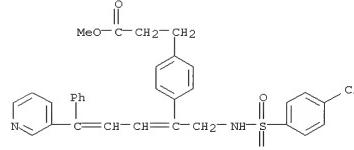


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

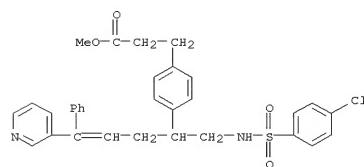
IT 184419-57-6P 184419-58-7P 184419-59-8P  
 184419-60-1P 184419-61-2P 184419-62-3P  
 184419-63-4P 184653-33-6P 184653-34-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-57-6 CAPLUS  
 CN 2-Propenoic acid, 3-[4-[(1-cyano-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 184419-58-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl-, methyl ester (9CI) (CA INDEX NAME)

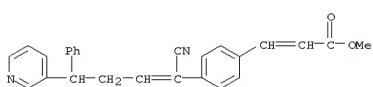


RN 184419-59-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl-, methyl ester (9CI) (CA INDEX NAME)

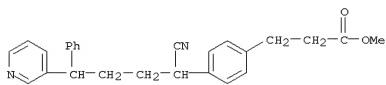


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 184419-60-1 CAPLUS  
 CN 2-Propenoic acid,  
 3-[4-[1-cyano-4-phenyl-4-(3-pyridinyl)-1-butenyl]phenyl]-  
 , methyl ester (9CI) (CA INDEX NAME)

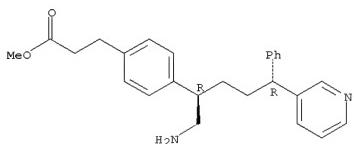


RN 184419-61-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
 methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

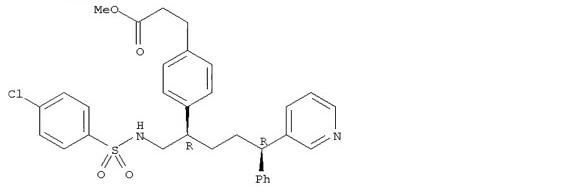
Relative stereochemistry.



RN 184419-63-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

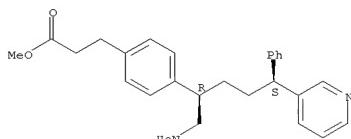
Relative stereochemistry.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184653-33-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

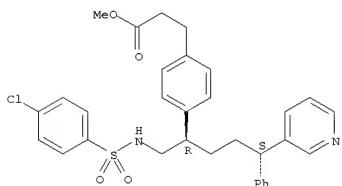
Relative stereochemistry.



RN 184653-34-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1996:629551 CAPLUS  
 DOCUMENT NUMBER: 126:25565  
 TITLE: Ferroelectric and antiferroelectric liquid

crystalline  
 AUTHOR(S): Kasthuriah, N.; Sadashiva, B. K.; Krishnaprasad, S.; Nair, Geetha G.  
 CORPORATE SOURCE: Raman Research Institute, Bangalore, 560 080, India  
 SOURCE: Journal of Materials Chemistry (1996), 6(10), 1619-1625

PUBLISHER: Royal Society of Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The synthesis and mesomorphic properties of two series of compds. viz. (S)-(-)-4-(1-methylheptyloxy)phenyl 4'-(6'-alkoxypyridine-3-carboxyloxy)benzoates and (S)-(-)-1-methylheptyl 4-[4-(6'-alkoxypyridine-3-carboxyloxy)benzoyloxy]-benzoates are reported. The homologs of the former series exhibit smectic A and smectic C\* phases while the derivs. of

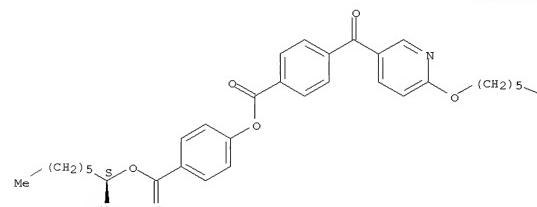
the latter series show rich polymesomorphism including the antiferroelectric phase. The mesophases were characterized by using optical polarizing microscopy and differential scanning calorimetric methods. Some phys. properties such as the spontaneous polarization, helical pitch, tilt angle and relative permittivity of two derivs. also were studied.

IT 184343-53-1P 184343-55-3P 184343-58-6P  
 184343-59-7P 184343-60-0P 184343-61-1P  
 184343-62-2P  
 RL: PFP (Properties); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (in pyridine carboxylic acid derivative liquid crystal preparation)

RN 184343-53-1 CAPLUS  
 CN Benzoic acid, 4-[6-(hexyloxy)-3-pyridinyl]carbonyl-, 4-[[(1-methylheptyloxy)carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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10-566,291.trn

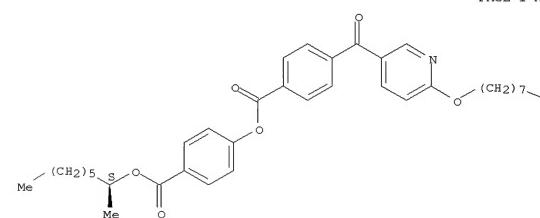
L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN Benzoic acid, 4-[(6-(octyloxy)-3-pyridinyl)carbonyl]-,  
4-[(1-methylheptyl)oxy]carbonylphenyl ester, (S)- (9CI) (CA INDEX  
NAME)

PAGE 1-B

Absolute stereochemistry.

PAGE 1-A

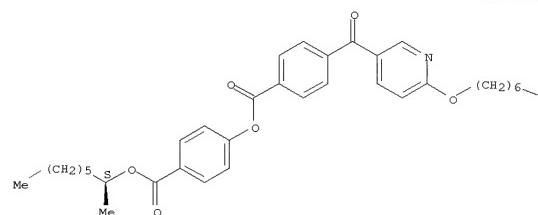


Me

RN 184343-55-3 CAPLUS  
CN Benzoic acid, 4-[(6-(heptyloxy)-3-pyridinyl)carbonyl]-,  
4-[(1-methylheptyl)oxy]carbonylphenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

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RN 184343-59-7 CAPLUS  
CN Benzoic acid, 4-[(6-(nonyloxy)-3-pyridinyl)carbonyl]-,  
4-[(1-methylheptyl)oxy]carbonylphenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

Me

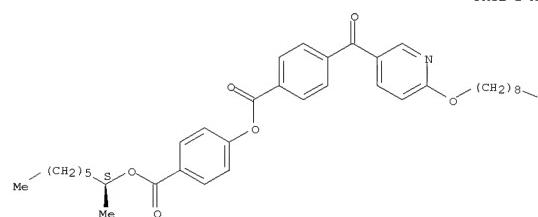
RN 184343-58-6 CAPLUS

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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PAGE 1-B



RN 184343-61-1 CAPLUS  
CN Benzoic acid, 4-[(6-(undecyloxy)-3-pyridinyl)carbonyl]-,  
4-[(1-methylheptyl)oxy]carbonylphenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

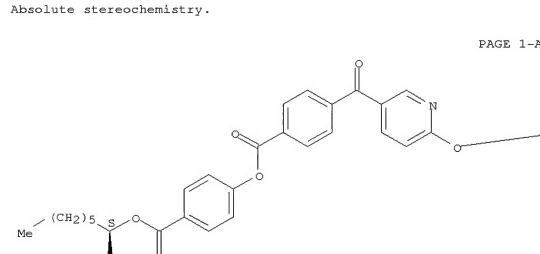
PAGE 1-A

Me

RN 184343-60-0 CAPLUS  
CN Benzoic acid, 4-[(6-(decyloxy)-3-pyridinyl)carbonyl]-,  
4-[(1-methylheptyl)oxy]carbonylphenyl ester, (S)- (9CI) (CA INDEX  
NAME)

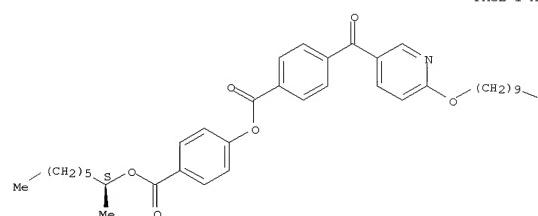
Absolute stereochemistry.

PAGE 1-B



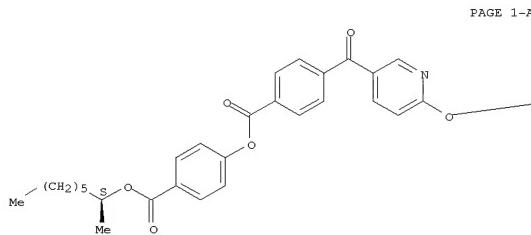
PAGE 1-B

PAGE 1-A



RN 184343-62-2 CAPLUS  
CN Benzoic acid, 4-[(6-(dodecyloxy)-3-pyridinyl)carbonyl]-,  
4-[(1-methylheptyl)oxy]carbonylphenyl ester, (S)- (9CI) (CA INDEX  
NAME)

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
Absolute stereochemistry.



PAGE 1-A

$-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{Me}$

PAGE 1-B

L4 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1996:542084 CAPLUS  
DOCUMENT NUMBER: 125:237586  
TITLE: Synthesis of [(benzenesulfonamido)alkyl]phenylalkanoic acid derivatives containing pyridyl or imidazolyl groups and their thromboxane A2 receptor antagonistic and thromboxane A2 synthase inhibitory activities

AUTHOR(S): Sakurai, Shunichiro; Ogawa, Nobuo; Suzuki, Tomio; Kato, Ken-ichi; Ohashi, Tetsuo; Yasuda, Shingo; Kato, Hideki

CORPORATE SOURCE: Res. Dev. Div., Hokuriku Seiyaku Co., Ltd., Fukui, 911, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(8), 1510-1520

CODEN: CPTBAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

AB As part of our search for a dual inhibitor possessing both thromboxane A2 (TXA2) receptor antagonistic and TXA2 synthase inhibitory activities, some

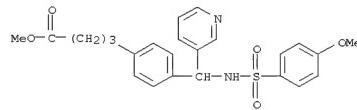
[(benzenesulfonamido)alkyl]phenylalkanoic acid derivs. possessing a pyridyl or imidazolyl group were synthesized. Their TXA2 receptor antagonistic and TXA2 synthase inhibitory activities were evaluated in terms of the inhibitory effects on U-46619-induced guinea-pig platelet aggregation and on thromboxane A2 (TXB2) production in human platelets, resp.

It was found that 3-[4-(2-(1-imidazolyl)-1-(4-chlorobenzenesulfonamido)ethyl)phenyl]propionic acid, containing an imidazolyl group, is a well-balanced dual inhibitor having both TXA2 receptor antagonistic activity ( $\text{IC}_{50} = 0.31 \mu\text{M}$ ) and TXA2 synthase inhibitory activity ( $\text{IC}_{50} = 0.39 \mu\text{M}$ ).

IT 181763-01-9  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate) synthesis of pyridyl and imidazolyl benzenesulfonamidoalkanoic acid derivs. and their thromboxane A2 receptor antagonistic and thromboxane A2 synthase inhibitory activities

RN 181763-01-9 CAPLUS

CN Benzenesbutanoic acid, 4-[[[4-methoxyphenyl)sulfonyl]amino]-3-pyridinylmethyl-, methyl ester (CA INDEX NAME)

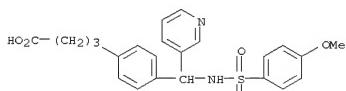


L4 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 181762-33-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis of pyridyl and imidazolyl benzenesulfonamidoalkanoic acid derivs. and their thromboxane A2 receptor antagonistic and thromboxane A2 synthase inhibitory activities)

RN 181762-33-4 CAPLUS

CN Benzenesbutanoic acid, 4-[[[4-methoxyphenyl)sulfonyl]amino]-3-pyridinylmethyl- (CA INDEX NAME)



L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1996:509477 CAPLUS

DOCUMENT NUMBER: 125:141807  
TITLE: Preparation of aryl- and heteraryl sulfonamide derivatives and their use as endothelin antagonists

INVENTOR(S): Breu, Volker; Burri, Kaspar; Cassal, Jean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl. 95 pp.

DOCUMENT TYPE: Patent

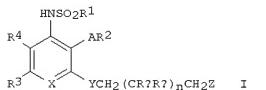
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

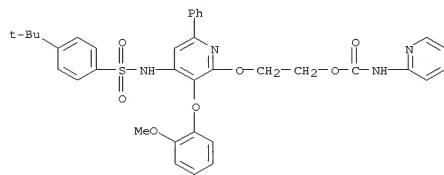
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619455	A1	19960627	WO 1995-EP4762	19951204
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9643016	A	19960710	AU 1996-43016	19951204
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AU 695255	B2	19980813		
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CN 1170405	A	19980114	CN 1995-196959	19951204
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CN 1136191	B	20040128		
JP 10500997	T	19980127	JP 1995-519459	19951204
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JP 2930731	B2	19990803		
HU 77307	A2	19980330	HU 1997-1811	19951204
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BR 9510533	A	19980714	BR 1995-10533	19951204
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RU 2163598	C2	20010227	RU 1997-112144	19951204
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CZ 289090	B6	20011017	CZ 1997-1873	19951204
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AT 223899	T	20020915	AT 1995-941660	19951204
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PT 799206	T	20030131	PT 1995-941660	19951204
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ES 2180664	T3	20030216	ES 1995-941660	19951204
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TW 474920	B	20020201	TW 1995-84113009	19951204
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L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IN 1995MA01619 A 20050225 IN 1995-MA1619 19951208  
 <-- ZA 9510614 A 19960620 ZA 1995-10614 19951213  
 <-- IL 116410 A 20000813 IL 1995-116410 19951215  
 <-- FI 9702629 A 19970618 FI 1997-2629 19970618  
 <-- FI 116622 B1 20060113 NO 1997-2841 19970619  
 <-- NO 9702841 A 19970818 NO 1997-2841 19970619  
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 <-- US 5962682 A 19991005 US 1997-860985 19970818  
 <-- US 6133442 A 20001017 US 1999-263034 19990305  
 <-- PRIORITY APPLN. INFO.: CH 1994-3938 A 19941220  
 <-- CH 1995-3079 A 19951031  
 <-- WO 1995-EP4762 W 19951204  
 <-- OTHER SOURCE(S): MARPAT 125:141807  
 GI



AB I [R1 = Ph, substituted Ph or heterocycl; R2 = Ph or substituted phenyl;  
 R3 = H, lower alkyl, cyano, carboxy, esterified carboxy, Ph, substituted Ph, heterocycl, CONR5R6, NR5COR7; R4 = H, lower alkyl; R5 = H, R7; R6 = (CH2)mR7; NR5R6 = heterocyclic residue; R7 = Ph, substituted Ph, cycloalkyl, heterocycl, lower alkyl, cyanoalkyl, hydroxyalkyl, dialkylaminoalkyl, carboxylalkyl, alkoxycarboxylalkyl, alkoxycarboxylalkyl, phenylalkoxycarboxyl; R8 = H, lower alkyl, hydroxy; R9 = H, lower alkyl; Z = hydroxy, amino, OR8, OC(O)NR8, -OC(O)OR8, NHCO(NH)R8, NHC(O)OR8; R8 = heterocycl, Ph, substituted Ph, lower alkyl; A and Y each independently signify O, S; X = N, CH; m = 0, 1 or 2; n = 0, 1 or 2; and pharmaceutically usable salts thereof] are prepared as inhibitors of endothelin receptors. E.g., reaction of Et 4-[3-(2-hydroxyethoxy)-5-(5-isopropylpyridine-2-sulfonylamino)-4-(2-methoxyphenoxy)benzoyl]piperazine-1-carboxylate and 2-pyridylcarboxylic acid azide gave Et 4-[3-(5-isopropylpyridine-2-sulfonylamino)-4-(2-methoxyphenoxy)-5-[2-(pyridin-2-ylcarbamoyloxy)ethoxy]benzoyl]piperazine-1-

L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 carboxylate. Some examples of I exhibited selective inhibitory action on endothelin receptors A and B (ETA and ETB).  
 IT 180030-72-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of aryl- and hetaryl sulfonamide derivs. and their use as endothelin antagonists)  
 RN 180030-72-2 CAPLUS  
 CN Carbamic acid, 2-pyridinyl-, 2-[[4-((14-(1,1-dimethylethyl)phenyl)sulfonyl)jaminol]-3-(2-methoxyphenoxy)-6-phenyl-2-pyridinyl]oxyethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1996-457812 CAPLUS  
 DOCUMENT NUMBER: 125:114577  
 TITLE: Preparation of bisarylcarbinol cinnamic acids as inhibitors of leukotriene biosynthesis  
 INVENTOR(S): Delorme, Daniel; Ducharme, Yves; Friesen, Richard; Grimm, Erich L.; Lepine, Carole  
 PATENT ASSIGNEE(S): Merck Frost Canada Inc., Can.  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXX02

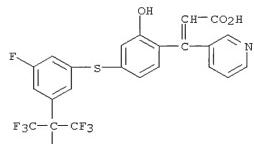
DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613491	A1	19960509	WO 1995-CA607	19951025
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FW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5527827	A	19960618	US 1994-329815	19941027
CA 2203412	A1	19960509	CA 1995-2203412	19951025
CA 2203412	C	20070417		
AU 9536956	A	19960523	AU 1995-36956	19951025
AU 695376	B2	19980813		
EP 784840	A1	19970813	EP 1995-944790	19951025
EP 78490	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10508587	T	19980825	JP 1995-514208	19951025
AT 194337	T	20000715	AT 1995-944790	19951025
ES 2147624	T3	20000916	ES 1995-944790	19951025

<-- PRIORITY APPLN. INFO.: US 1994-329815 A1 19941027  
 <-- WO 1995-CA607 W 19951025  
 <-- OTHER SOURCE(S): CASREACT 125:114577; MARPAT 125:114577  
 AB Title compds. R1R2C(OR3)-Ar1-X-Ar2-C(Ar3):CHCO2H [Ar1 = 6-membered aromatic ring containing 0-3 N, substituted with 1-2 same or different R4; Ar2 = Ph(OH), substituted with 1-2 same or different R5; Ar3, Ar4 = 5-membered aromatic ring containing 1 O or S and 0-3 N, 5-membered aromatic ring containing 1-4 N, or 6-membered aromatic ring containing 0-3 N substituted with 1-2 same or different R6; X = OCH2, CH2O, O, S, SO, SO2; R1 = H, lower alkyl, lower perfluoroalkyl, Ar4; R2 = H, lower alkyl, lower perfluoroalkyl; R3 = H, lower alkyl; R4, R5 = H, lower alkyl, lower alkoxy, lower alkylthio, CN, CF3, NO2, CF3O, halo; R6 = R4, lower alkyl sulfinyl, lower alkylsulfonyl,

L4 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CO2R7; R7 = H, lower alkyl] or their pharmaceutically acceptable salts, useful as inhibitors of leukotriene biosynthesis (no data), are claimed. These compds. are useful as anti-asthmatic, anti-allergic, antiinflammatory, and cytoprotective agents (no data).

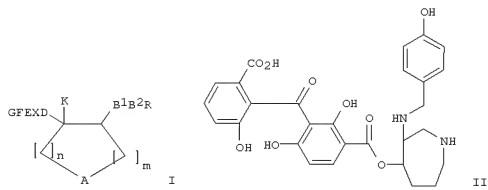
IT 179113-16-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of bisarylcarbinol cinnamic acids as inhibitors of leukotriene biosynthesis and intermediate coumarins, phenols, thiophenols, and hexopyridines)  
 RN 179113-16-7 CAPLUS  
 CN 2-Propenoic acid, 3-[4-([3-fluoro-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]thio)-2-hydroxyphenyl]-3-(3-pyridinyl)-, disodium salt (9CI) (CA INDEX NAME)



L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:794873 CAPLUS  
 DOCUMENT NUMBER: 123:198645  
 TITLE: Preparation of balanoids as protein kinase C inhibitors  
 INVENTOR(S): Hall, Steven Edward; Ballas, Lawrence M.; Kulanthaivel, Palaniappan; Boros, Christie; Jiang, Jack B.; Jagdmann, Gunnar Erik, Jr.; Lai, Yen-Shi; Biggers, Christopher K.; Hu, Hong; et al.  
 PATENT ASSIGNEE(S): Nichols, Gina M., USA; Sphinx Pharmaceuticals Corporation  
 SOURCE: PCT Int. Appl., 559 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420062	A2	19940915	WO 1994-US2283	19940302
WO 9420062	A3	19960815		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KB, KR, KZ, LK, LU, LV, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VE, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2157412	A1	19940915	CA 1994-2157412	19940302
AU 9462527	A	19940926	AU 1994-62527	19940302
EP 687249	A1	19951220	EP 1994-909847	19940302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE JP 09503994	T	19970422	JP 1994-520148	19940302
ZA 9401478	A	19950905	ZA 1994-1478	19940303
PRIORITY APPLN. INFO.:		US 1993-25846	A 19930303	
OTHER SOURCE(S): MARPAT 123:198645 GI		WO 1994-US2283	W 19940302	

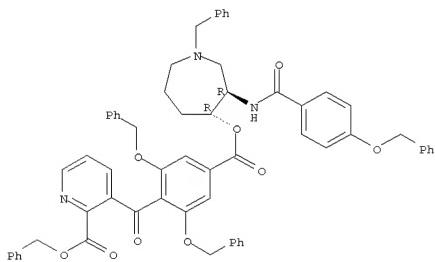
L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; A = CH<sub>2</sub>, NR<sub>1</sub>, O, S, SO<sub>2</sub>; B1 = NR<sub>2</sub>, CH<sub>2</sub>, O; B2 = CO, CS, SO<sub>2</sub>; D = NR<sub>3</sub> = O, CH<sub>2</sub>; E = R<sub>5</sub>, (un)substituted (hetero)arylene; F = CO or CH<sub>2</sub>; G = R<sub>7</sub>, cycloalkyl, (un)substituted (hetero)aryl; K = H, alkyl; R = R<sub>4</sub>, (un)substituted Ph, (hetero)aryl; R<sub>1</sub>-R<sub>4</sub>, R<sub>7</sub> = H, alkyl, aryl, etc.];  
 R5 = alkyl, aryl; X = CO, CS, CH<sub>2</sub>, etc.; m,n = 1-4] were prepared. Thus, title compound (-)-trans-II (preparation given) gave 100% inhibition of protein kinase C  $\beta$  at 0.5 $\mu$ M.  
 IT 167831-44-9P  
 RL: KCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of balanoids as protein kinase C inhibitors)  
 RN 167831-44-9 CAPLUS  
 CN 2-Pyridinemcarboxylic acid, 3-[4-[[[hexahydro-3-[[4-(phenylmethoxy)benzoyl]amino]-1H-azepin-4-yl]oxyl]carbonyl]-2,6-bis(phenylmethoxy)benzoyl-, phenylmethyl ester, trans- (SCI) (CA INDEX NAME)

Relative stereochemistry.

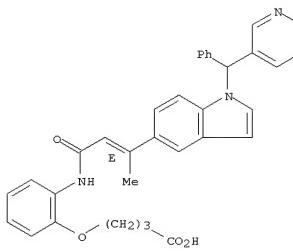
L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



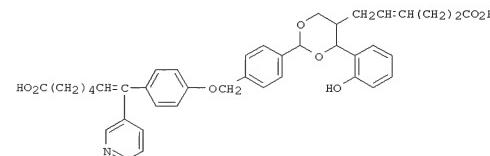
L4 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:665406 CAPLUS  
 DOCUMENT NUMBER: 123:102017  
 TITLE: (E)-4-(2-[[3-(Indol-5-yl)-1-oxo-2-butenyl]amino]phenoxy)butyric Acid Derivatives: A New Class of Steroid 5 $\alpha$ -Reductase Inhibitors in the Rat Prostate, 1  
 AUTHOR(S): Kumazawa, Toshiaki; Takami, Hitoshi; Kishibayashi, Nobuyuki; Ishii, Akio; Nagahara, Yoshitomo; Hirayama, Noriaki; Obase, Hiroyuki  
 CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., Shizuka, 411, Japan  
 SOURCE: Journal of Medicinal Chemistry (1995), 38(15), 2887-92  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of (E)-4-(2-[[3-(indol-5-yl)-1-oxo-2-but enyl]amino]phenoxy)butyric acid derivs. was prepared, and the derivs. were demonstrated to be potent inhibitors of steroid 5 $\alpha$ -reductase in the rat prostate. The structure-activity relationships were as follows. An  $\alpha$ -branched alkyl or benzyl substituent of proper size at position 1 of the indole is crucial for optimal enzyme inhibitory activity. N-Methylation of the amide NH resulted in complete loss of activity. Thus, coplanarity of the benzene ring and amide moiety is essential for such activity. Among the compds. prepared, (E)-4-(2-[[3-1-[bis(4-fluorophenyl)methyl]indol-5-yl]-1-oxo-2-but enyl]amino]phenoxy)butyric acid (KF18678) was one of the most potent compds. (rat prostate 5 $\alpha$ -reductase IC<sub>50</sub> = 3.3 nM).  
 IT 146327-09-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and structure steroid reductase-inhibitory activity relations of {{[(indolyl)oxobutenyl]amino}phenoxy}butyric acid derivs.)  
 RN 146327-09-5 CAPLUS  
 CN Butanoic acid,  
 4-[2-[[1-oxo-3-[[1-(phenyl-3-pyridinyl)methyl]-1H-indol-5-yl]-2-but enyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:538881 CAPLUS  
 DOCUMENT NUMBER: 123:275234  
 TITLE: A Novel Approach to Dual-Acting Thromboxane Receptor Antagonist/Synthase Inhibitors Based on the Link of 1,3-Dioxane-Thromboxane Receptor Antagonists and -Thromboxane Synthase Inhibitors  
 AUTHOR(S): Ackerley, Norman; Brewster, Andrew G.; Brown, George R.; Clarke, David S.; Foubister, Alan J.; Griffin, Stephen J.; Hudson, Julian A.; Smithers, Michael J.; Whittamore, Paul R. O.  
 CORPORATE SOURCE: Cardiovascular and Metabolism Department, ZENECA Pharmaceuticals, Macclesfield /Cheshire, SK10 4TG, UK  
 SOURCE: Journal of Medicinal Chemistry (1995), 38(10), 1608-28  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 123:275234  
 GI



I

AB A new class of dual-acting racemic thromboxane receptor antagonist/thromboxane synthase inhibitors is reported, based on the novel approach of linking the known thromboxane synthase inhibitors (TXSI) dazobiben or isborgrel (sep.) to thromboxane receptor antagonists (TXRA) from the 1,3-dioxane series, such as ICI 192605. Dual activity was observed *in vitro* with inhibition of human microsomal thromboxane synthase in the range IC<sub>50</sub> = 0.01-1.0 μM and receptor antagonist activity by inhibition of U46619-induced human platelet aggregation in the range pA<sub>2</sub> = 5.5-7.0. The *in vitro* results also showed that very large groups could be tolerated at the selected substitution positions of the TXRA and TXSI components. Oral activity was observed in ex vivo tests in both rats and dogs at a dose of 10 mg/kg. Thus, I was both an antagonist (pA<sub>2</sub> = 6.7) and a synthase inhibitor (IC<sub>50</sub> = 0.02 μM). On oral dosing (10 mg/kg) to rats and

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 dogs, I showed significant TXRA activity [concn. ratio >64 (rat, 3 h) and >59 ± 11.3 (dog, 2 h) vs ex vivo U46619-induced platelet aggregation]. Inhibition of thromboxane synthase at the resp. time points in these expts. was 81 ± 4.4% (rat) and 69 ± 4.8% (dog).

IT 163164-35-0P 163164-36-1P 163164-37-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPP (Synthetic preparation); BIOL (Biological study); PREP (Preparation); (dual-acting thromboxane receptor antagonist/synthase inhibitors based on a dioxane linkage)

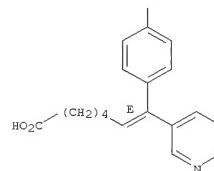
RN 163164-35-0 CAPLUS

CN 6-Heptenoic acid,  
 7-[4-[2-[2-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-,[2a(E),4a,5a(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry as shown.

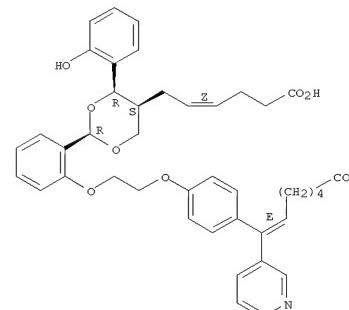
L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 2-A



RN 163164-36-1 CAPLUS  
 CN 6-Heptenoic acid,  
 7-[4-[2-[2-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-,[2a(E),4a,5a(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry as shown.



RN 163164-37-2 CAPLUS  
 CN 6-Heptenoic acid,  
 7-[4-[2-[4-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-,[2a(E),4a,5a(Z)]- (9CI) (CA INDEX NAME)

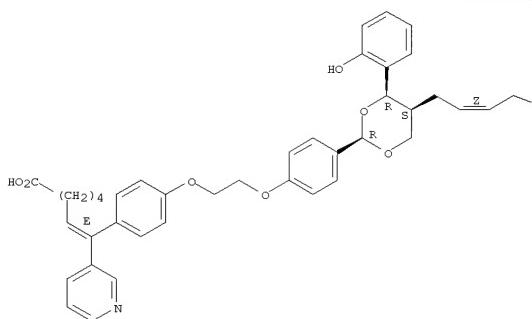
Relative stereochemistry.  
 Double bond geometry as shown.

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10-566,291.trn

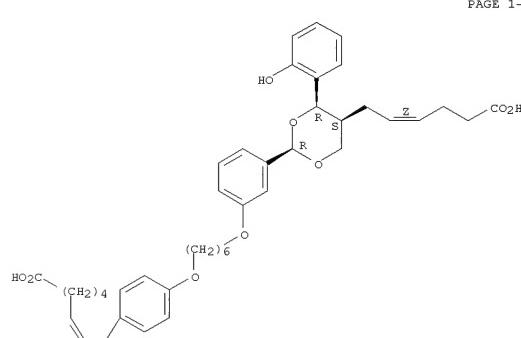
L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



RN 163164-38-3 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[(6-[3-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]hexyl]oxy]phenyl]-7-(3-pyridinyl)-, [2a(E),4a,5a(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry as shown.

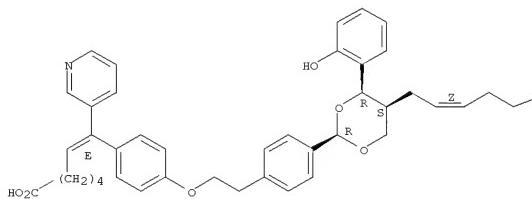
RN 163164-41-8 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[(6-[3-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]hexyl]oxy]phenyl]-7-(3-pyridinyl)-, [2a(E),4a,5a(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

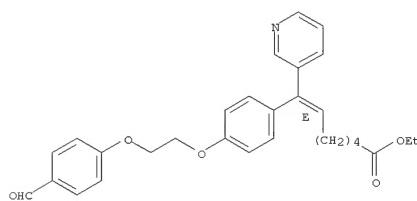
PAGE 1-A



L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



IT 163164-06-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(dual-acting thromboxane receptor antagonist/synthase inhibitors based on a dioxane linkage)

RN 163164-06-5 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[(4-Formylphenoxy)ethoxy]phenyl]-7-(3-pyridinyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:274880 CAPLUS  
 DOCUMENT NUMBER: 122:55896  
 TITLE: 1-(2-oxoacetyl)piperidine-2-carboxylic acid derivatives as multi-drug-resistant cancer cell sensitizers  
 INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 111 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

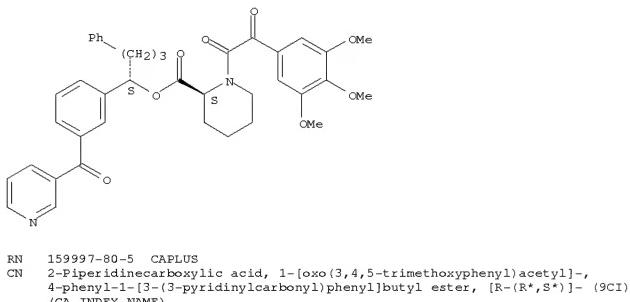
PATENT NO.	KIND	DATE	APPLICATION NO.	DRTE
WO 9407858	A1	19940414	WO 1993-US9145	19930927
<-- W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
NZ 314207	A	20001222	NZ 1993-314207	19930727
IL 107109	A	19990312	IL 1993-107109	19930926
AU 9351648	A	19940426	AU 1993-51648	19930927
AU 690082	B2	19980423		
EP 662958	A1	19950719	EP 1993-922748	19930927
EP 662958	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE JP 08502256	T	19960312	JP 1994-509216	19930927
JP 3635493	B2	20050406		
HU 72046	A2	19960328	HU 1995-890	19930927
RU 2158258	C2	20001027	RU 1995-110938	19930927
CZ 287396	B6	20001115	CZ 1995-769	19930927
RO 117791	B1	20020730	RO 1995-599	19930927
AT 229506	T	20021215	AT 1993-922748	19930927
PT 662958	T	20030430	PT 1993-922748	19930927
CA 2144962	C	20030520	CA 1993-2144962	19930927
ES 2188595	T3	20030701	ES 1993-922748	19930927
<--				

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 including both single and mixed diastereomers. For example, 3-PhOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>OH underwent oxidn. to the aldehyde and reaction with Ph(CH<sub>2</sub>)<sub>3</sub>MgBr to give the racemic alc. 3-PhOC<sub>6</sub>H<sub>4</sub>CH(OH)(CH<sub>2</sub>)<sub>3</sub>Ph. Esterification of this with (S)-N-((3,4,5-trimethoxyphenyl)glyoxyl)pipecolic acid (prepn. given) yielded the ester II as a mixt. of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT 159997-79-2P 159997-80-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as sensitizer for multi-drug-resistant cancer cells)

RN 159997-79-2 CAPLUS  
 CN 2-Piperidinedicarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

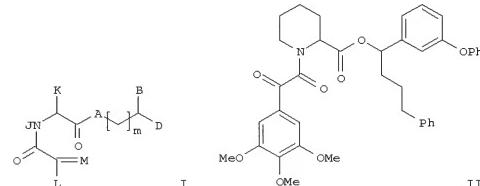
Absolute stereochemistry.



Absolute stereochemistry.

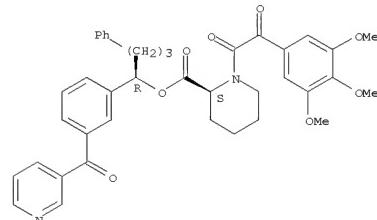
L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 B6 20040908 SK 1995-389 19930927  
 <-- CN 1088577 A 19940629 CN 1993-118201 19930928  
 <-- CN 1086386 B 20020619 CN 2002-2002108738 19930928  
 <-- CN 1494906 A 20040512 HK 1998-115242 19981223  
 <-- FI 9501454 A 19950327 FI 1995-1454 19950327  
 <-- NO 9501162 A 19950529 NO 1995-1162 19950327  
 <-- NO 305596 Bl 19990628 HK 1998-115242 19981223  
 <-- HK 1013992 Al 20030815 US 1992-952299 A 19920928  
 <-- WO 1993-US9145 W 19930927

<-- OTHER SOURCE(S): MARPAT 122:55896  
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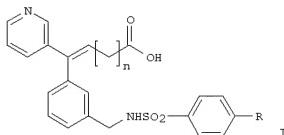


AB The invention relates to compds. I [A = CH<sub>2</sub>, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)ynyl, cycloalk(en)ylalk(en)ynyl, (hetero)aralkyl, cis-C(=O):CH<sub>2</sub>; Q = H, alk(en)ynyl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHO; U = H, alk(en)ynyl, cycloalk(en)ylalk(en)ynyl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH<sub>2</sub>Ph; K = alkyl, CH<sub>2</sub>Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them. The compds. maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents, and are particularly well-suited for treatment or prevention of multi-drug resistant cancer cells. Over 100 I are reported,

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



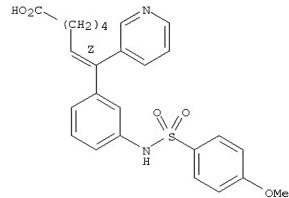
L4 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:270973 CAPLUS  
 DOCUMENT NUMBER: 122:187336  
 TITLE: Development of dual-acting agents for thromboxane receptor antagonism and thromboxane synthase inhibition I. Synthesis, structure-activity relationship, and evaluation of substituted  $\omega$ -phenyl- $\omega$ -(3-pyridyl)alkenoic acids  
 AUTHOR(S): Takeuchi, Kumiko; Happ, Anne M.; Mais, Dale E.; Layman, Nicki; Utterback, Barbara G.; Wyss, Virginia L.; Jakubowski, Joseph A.  
 CORPORATE SOURCE: A Division of Eli Lilly, Lilly Research Laboratories, Indianapolis, IN, 46285, USA  
 SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(8), 743-55  
 CODEN: BMECEP; ISSN: 0968-0896  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB A series of arylsulfonamido-substituted  $\omega$ -phenyl- $\omega$ -(3-pyridyl)alkenoic acids were synthesized and evaluated in vitro for their ability to act as both a thromboxane A<sub>2</sub> receptor antagonist (TRA) and thromboxane synthase inhibitor (TSI). Variations of alkenoic acid chain length, olefin geometry, substituent effect on the benzenesulfonamido group, and conformational flexibility of the substituted arylsulfonamido group were examined. Among the various substituents, iodo-substitution gave the most potent compound. Conformational flexibility between the arylsulfonamido group and the Ph ring attached to the alkenoic acid side chain significantly enhanced the dual activities. The compound I ( $n =$  integer; R = H, halo, etc.) were identified as potent TRA/TSI.  
 IT 161607-62-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of thromboxane antagonist (pyridinyl)(sulfonamino)phenyl)alkenoate)

L4 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 161607-62-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[3-[(4-methoxyphenyl)sulfonyl]amino]phenyl]-7-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

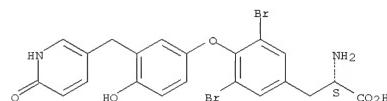
Double bond geometry as shown.



L4 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:450249 CAPLUS  
 DOCUMENT NUMBER: 121:50249  
 TITLE: Computer-assisted molecular modeling of benzodiazepine and thyromimetic inhibitors of the HepG2 iodothyronine membrane transporter  
 AUTHOR(S): Kragie, Laura; Forrester, Maureen L.; Cody, Vivian; McCourt, Mary  
 CORPORATE SOURCE: Fac. Natl. Sci. Math., State Univ. New York, Buffalo, Amherst, NY, 14260, USA  
 SOURCE: Molecular Endocrinology (1994), 8(3), 382-91  
 CODEN: MOENEN; ISSN: 0888-8809  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB T3 cellular uptake is inhibited in the presence of benzodiazepines (BZs). The structure-activity relationship of BZ inhibition correlates strongly with halogen substitution of the nonfused Ph ring and indicates that this ring is required for activity. A structure-activity series of thyromimetic (TH) inhibitors of the HepG2 iodothyronine transporter further point out the critical importance of the amino group of the alanine side chain, its L-stereo configuration, and the size of the substituents of the inner and outer Ph rings. A third series of compds., reported to interact at related sites, were inactive as HepG2 iodothyronine transport inhibitors, and therefore the potent inhibitors were restricted to the BZ and TH compds. Using both of these BZ and TH structure-activity series along with computer-assisted mol. modeling techniques, the authors determined which chemical structural components were important at the transporter interaction site. By superimposing structures from active chems., excluding residues from poor inhibitors, and incorporating mol. electropotential data, the authors developed a five-point model of BZ conformational similarity to the endogenous transporter ligand, L-T3: the alkyl substitution at the N1 of the BZ ring seems to stimulate the alanine side chain of T3, and the electroneg. halogen and oxygen atoms of substituents at R3/R7/R2'/R4' of BZ form a pyrimidyl pharmacophore that seems to correspond with the 3-1/5-1/3'-1/4'-OH substituents of T3, resp. These points, suggesting a tilted crossbow formation, may be sites for ligand interaction with the iodothyronine transporter.  
 IT 105189-37-5, SKF-L 94424  
 RL: BIOL (Biological study)  
 (triliodothyronine binding by iodothyronine transporter inhibition by, structure in relation to)  
 RN 105189-37-5 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-0-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

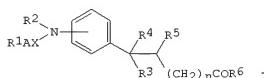
Absolute stereochemistry.

L4 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:298479 CAPLUS  
 DOCUMENT NUMBER: 120:298479  
 TITLE: Pyridyl-derivative thromboxane antagonists  
 INVENTOR(S): Soyka, Rainer; Elsert, Wolfgang; Mueller, Thomas;  
 Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany  
 SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 796,525,  
 abandoned.  
 CODEN: USXKAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

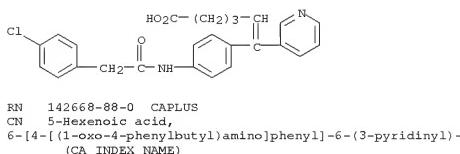
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5286736	A	19940215	US 1993-5725	19930119
<-- DE 4037112	A1	19920527	DE 1990-4037112	19901122
<-- PRIORITY APPLN. INFO.:			DE 1990-4037112	A 19901122
<-- OTHER SOURCE(S):	MARPAT	120:298479	US 1991-796525	B2 19911122
GI				



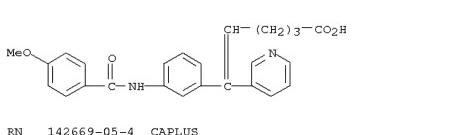
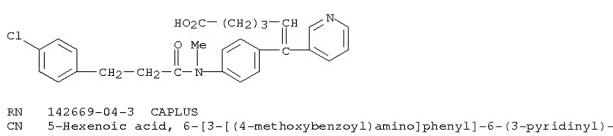
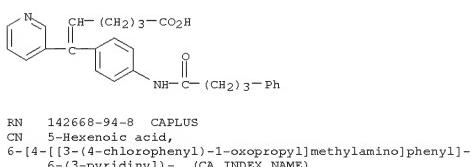
AB The title compds. (I; A = direct bond, C3-4 cycloalkylene, C3-4 cycloalkylidene, (un)substituted C2-3 alkylene, OCH<sub>2</sub>CH<sub>2</sub>, etc.; R1 = (un)substituted Cl-4 alkyl, C5-7 cycloalkyl, Ph; R2 = H, Cl-4 alkyl; R3 = pyridyl; R4, R5 = H, or together may represent a C-C bond; R6 = HO, Cl-3 alkoxyl; X = CO, CS; n = 2-4), useful as thromboxane antagonists, antiallergic agents (no data), etc., are prepared and I-containing formulations presented. Thus, 6-[4-(4-methylbenzenesulfonylamino)phenyl]-6-(3-pyridyl)-5-hexenoic acid was prepared in 53% yield by the condensation of 4-methylbenzenesulfonyl chloride with Me 6-(4-aminophenyl)-6-(3-pyridyl)-5-hexenoate followed by saponification.

IT 142669-27-0  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of pyridine-derivative thromboxane

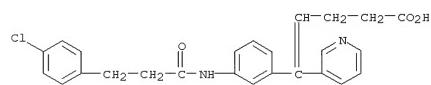
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 pyridinyl)-(9CI) (CA INDEX NAME)



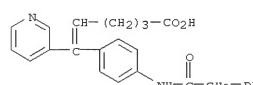
RN 142668-88-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



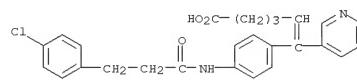
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 antagonists)  
 RN 142669-27-0 CAPLUS  
 CN 5-Pentenoic acid,  
 5-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-5-(3-pyridinyl)- (CA INDEX NAME)



IT 142668-82-4 CAPLUS  
 RN 142668-82-4 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[(phenylacetyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

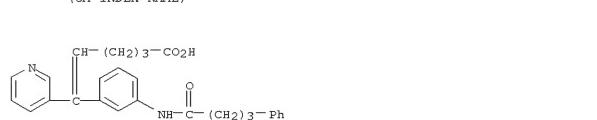
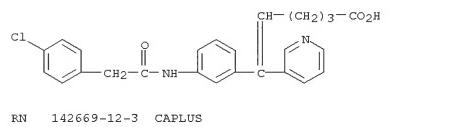
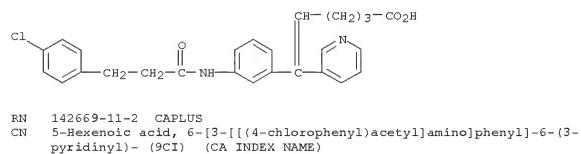
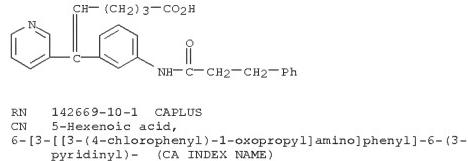


RN 142668-86-8 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

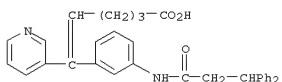


RN 142668-87-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-

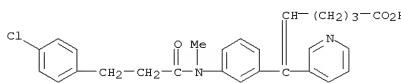
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



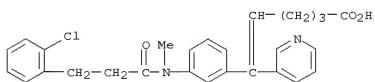
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



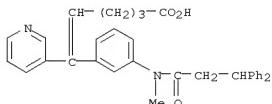
RN 142669-19-0 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-  
6-(3-pyridinyl)- (CA INDEX NAME)



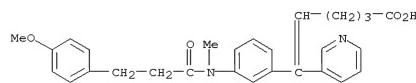
RN 142669-20-3 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-  
6-(3-pyridinyl)- (CA INDEX NAME)



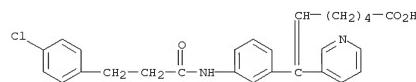
RN 142669-21-4 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[methyl(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-  
pyridinyl)- (CA INDEX NAME)



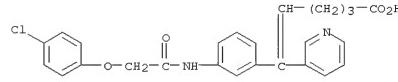
RN 142669-22-5 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(3-(4-methoxyphenyl)-1-

L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

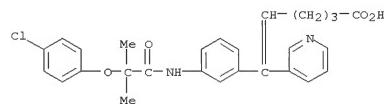
RN 142669-25-8 CAPLUS  
CN 6-Heptenoic acid,  
7-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-7-(3-  
pyridinyl)- (CA INDEX NAME)



RN 142669-28-1 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(4-chlorophenoxy)acetyl]amino]phenyl]-6-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)

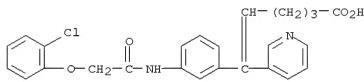


RN 142669-29-2 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(2-(4-chlorophenoxy)-2-methyl-1-  
oxopropyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

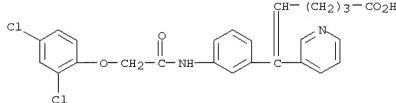


RN 142669-30-5 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(2-chlorophenoxy)acetyl]amino]phenyl]-6-(3-

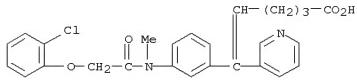
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



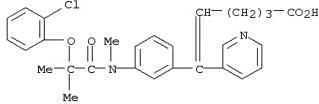
RN 142669-31-6 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(2,4-dichlorophenoxy)acetyl]amino]phenyl]-6-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-32-7 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(2-chlorophenoxy)acetyl)methylamino]phenyl]-6-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)

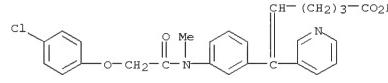


RN 142669-33-8 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(2-(2-chlorophenoxy)-2-methyl-1-  
oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

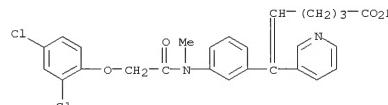


RN 142669-34-9 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(4-chlorophenoxy)acetyl)methylamino]phenyl]-6-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)

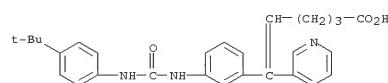
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



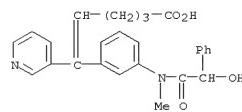
RN 142669-35-0 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(2,4-dichlorophenoxy)acetyl)methylamino]phenyl]-6-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-48-5 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(4-(1,1-dimethylethyl)phenyl)amino]carbonyl]amino  
phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

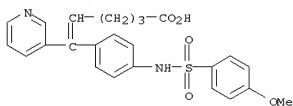


RN 142669-72-5 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(hydroxyphenylacetyl)methylamino]phenyl]-6-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)



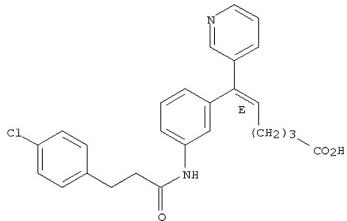
RN 142752-96-3 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[(4-methoxyphenyl)sulfonyl]amino]phenyl]-6-(3-  
pyridinyl)- (CA INDEX NAME)

L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 142669-74-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(thromboxane antagonist)  
RN 142669-74-7 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

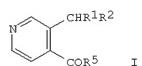


L4 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1994:244689 CAPLUS  
DOCUMENT NUMBER: 120:244689  
TITLE: Preparation of pyridine-4-carboxamides as bone resorption inhibitors  
INVENTOR(S): Kinoshita, Iwao; Onoda, Yasuo; Takai, Haruki; Kosaka, Nobuo; Ishii, Akio; Nakamura, Joji; Ishida, Hiroyuki; Gomi, Katsushige

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 16 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 578419	A1	19940112	EP 1993-305032	19930628
<-- EP 578419	B1	19960904		
R: DE, FR, GB, IT JP 06073010	A	19940315	JP 1993-162256	19930630
<-- US 5374634	A	19941220	US 1993-84480	19930701
<-- PRIORITY APPLN. INFO.:			JP 1992-180116	A 19920707
OTHER SOURCE(S):	MARPAT 120:244689 GI			

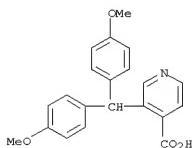


AB Title compds. [I; R1,R2 = 4-hydroxy- or -alkoxyphenyl; R5 = NR3R4; R3,R4 = H, (cyclo)alkyl, aryl, heterocycl; NR3R4 = heterocycl] were prepared Thus, N,N-diisopropylnicotinamide was treated with [4-(MeO)C6H4]2CO to give, after hydrogenolysis,

I [R1 = R2 = 4-(RO)C6H4] (II; R = Me, R5 = OH) which was treated with SOCl2 and the product condensed with 3-aminotricyclo[3.3.1.03.7]nonane to give

III [R = Me, R5 = tricyclo[3.3.1.03.7]non-3-ylamino]. II [R = H, R5 = 4-(2-chlorophenyl)piperidino] had IC50 of 6.0  $\mu$ M against parathyroid

hormone-induced Ca release from mouse clavaria in vitro.  
IT 154117-10-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of bone resorption inhibitor)

L4 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RN 154117-10-9 CAPLUS  
CN 4-Pyridinecarboxylic acid, 3-[bis(4-methoxyphenyl)methyl]- (CA INDEX NAME)

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1994:217212 CAPLUS  
DOCUMENT NUMBER: 120:217212

TITLE: 6,6-Disubstituted hex-5-enoic acid derivatives as combined thromboxane A2 receptor antagonists and synthetase inhibitors

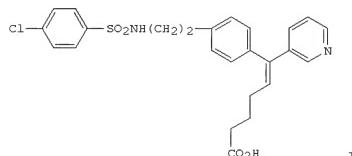
AUTHOR(S): Soya, Rainer; Heckel, Armin; Nickl, Josef; Eisert, Wolfgang; Mueller, Thomas H.; Weisenberger, Hans

CORPORATE SOURCE: Res. Dep., Dr. Karl Thomae GmbH, Biberach, 88397, Germany

SOURCE: Journal of Medicinal Chemistry (1994),

37(1), 26-39  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB A series of  $\omega$ -disubstituted alkenoic acid derivs. were design and synthesized as antithrombotic inhibitors of thromboxane A2 synthetase and thromboxane A2 receptor antagonists. Hexenoic acid derivs. with a 3-pyridyl group and a 4-(2-benzenesulfonamidoethyl)phenyl substituent

were found to be optimal with regard to the dual mode of action. The most potent compound,

(E)-6-(4-(2-((4-chlorophenyl)sulfonyl)amino)ethyl)phenyl)-6-(3-pyridyl)hex-5-enoic acid (I), inhibits thromboxane A2 synthetase in gel-filtered human platelets with an IC50 value of 4.5  $\pm$  0.5 nM, whereas an inhibitory effect on cyclooxygenase is seen only at a much higher concentration (IC50; 240  $\mu$ M). Radioligand-binding studies with

[3H]SQ29,548 in washed human platelets revealed that I blocks the prostaglandin H2/thromboxane A2 receptor with an IC50 fo 19  $\pm$  5 nM (n = 5) and is therefore 85-fold more potent than another combined thromboxane A2 synthetase inhibitor/receptor antagonist, Ridogrel. I inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an EC50 of 1  $\mu$ M (Ridogrel: 16  $\mu$ M) and 100 nM, resp., and was selected for further development.

IT 153731-84-1P 153731-89-6P 153731-90-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and thromboxane A2 receptor antagonist and synthetase inhibitor)

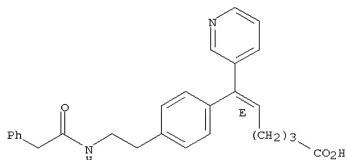
activity of)  
RN 153731-84-1 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[2-[(2-phenylacetyl)amino]ethyl]phenyl]-6-(3-

02/29/2008

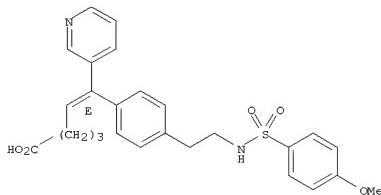
10-566,291.trn

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl)-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

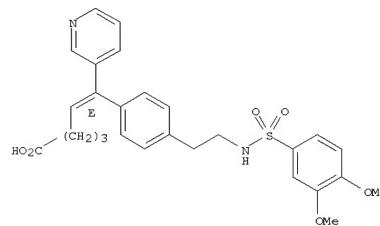
RN 153731-89-6 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-[(4-methoxyphenyl)sulfonyl]amino]ethylphenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 153731-90-9 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-[(3,4-dimethoxyphenyl)sulfonyl]amino]ethylphenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:134462 CAPLUS

DOCUMENT NUMBER: 120:134462

TITLE: Heterocyclic phenoxyacetic acid derivative antithrombotic and antihypertensive agents

INVENTOR(S): Hamanaka, Nobuyuki; Takahashi, Kanji; Tokumoto, Hidekado

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 112 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

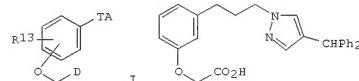
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 558062	A2	19930901	EP 1993-103113	19930226
<-- EP 558062	A3	19940112		
<-- EP 558062	B1	19970507		
SE R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
CA 2090283	A1	19930829	CA 1993-2090283	19930224
<-- JP 06056744	A	19940301	JP 1993-59418	19930225
<-- JP 3162532	B2	20010508		
<-- JP 2000086635	A	20000328	JP 1999-215279	19930225
<-- JP 3487415	B2	20040119		
AT 152712	T	19970515	AT 1993-103113	19930226
<-- ES 2103989	T3	19971001	ES 1993-103113	19930226
<-- KR 187325	B1	19990515	KR 1993-2879	19930227
<-- US 5378716	A	19950103	US 1993-24306	19930301
<-- US 5536736	A	19960716	US 1994-293218	19940819
<-- US 5703099	A	19971230	US 1996-642598	19960503
<-- US 5935985	A	19990810	US 1997-925587	19970908
<-- PRIORITY APPLN. INFO.:			JP 1992-78330	A 19920228
<--			JP 1993-59418	A3 19930225
<--			US 1993-24306	A3 19930301
<--			US 1994-293218	A3 19940819
<--			US 1996-642598	A3 19960503
<-- OTHER SOURCE(S):	CASREACT 120:134462; MARPAT 120:134462			
GI				

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB The title compds. I [ $\text{A} = \text{heterocyclyl, carboxylate, (un)substituted CH}_2\text{NH}_2$ , etc.; D =  $\text{CO}_2\text{R}_{10}$ ,  $\text{CONHR}_{11}\text{R}_{12}$ ; R10 = H, Cl-12 alkyl; R11, R12 = H, Cl-4 alkyl; R13 = H, Cl-4 alkoxyl, Cl-4 alkoxy, NO2; T = direct bond, Cl-6 alkylene, C2-6 alkenylene, O(CH2)s; s = 2-4], useful in the treatment of thrombosis, arteriosclerosis, ischemic heart disease, gastric ulcer, or hypertension, are prepared and I-containing formulations are presented.

Thus,  $\text{Me 3-}[\text{3-(4-diphenylmethylpyrazol-1-yl)propyl}]\text{phenoxyacetate}$  was hydrolyzed, producing pyrazole derivative II which demonstrated a 50% human blood platelet aggregation inhibitory concentration of 0.42  $\mu\text{M}$ .

IT 152381-45-8 152391-53-8 152381-54-9  
152381-55-0 152381-56-1 152381-57-2

152381-60-7 153183-71-2 153183-76-7  
153183-77-3 153183-80-3 153183-81-4

153183-95-6 153183-96-1 153184-00-0  
RL: RCT (Reactant); RACT (Reactant or reagent)

RN 152381-45-8 CAPLUS  
CN Acetic acid, [3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-yl]-1-propenyl]phenoxy]- (9CI) (CA INDEX NAME)

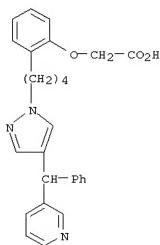
Chemical structure of a complex organic molecule containing a pyridinyl group, a phenyl ring, and a carboxylic acid group ( $\text{O}-\text{CH}_2-\text{CO}_2\text{H}$ ).

RN 152381-53-8 CAPLUS  
CN Acetic acid,  
[3-[2-[(phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy]-

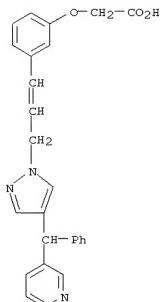
Page 161



L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

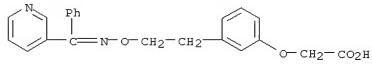


RN 152381-45-8 CAPLUS  
CN Acetic acid, [3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-yl]-1-propenyl]phenoxy] - (9CI) (CA INDEX NAME)

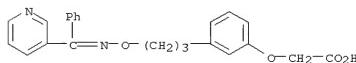


RN 152381-53-8 CAPLUS  
CN Acetic acid, [3-[2-[(phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy] - (9CI) (CA INDEX NAME)

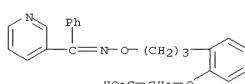
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



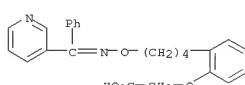
RN 152381-54-9 CAPLUS  
CN Acetic acid, [3-[(phenyl-3-pyridinylmethylene)amino]oxy]propylphenoxy] - (9CI) (CA INDEX NAME)



RN 152381-55-0 CAPLUS  
CN Acetic acid, [2-[(phenyl-3-pyridinylmethylene)amino]oxy]propylphenoxy] - (9CI) (CA INDEX NAME)

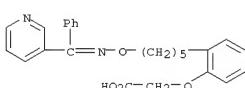


RN 152381-56-1 CAPLUS  
CN Acetic acid, [2-[(phenyl-3-pyridinylmethylene)amino]oxy]butylphenoxy] - (9CI) (CA INDEX NAME)

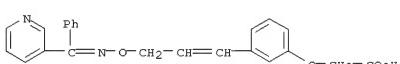


RN 152381-57-2 CAPLUS  
CN Acetic acid, [2-[(phenyl-3-pyridinylmethylene)amino]oxy]pentylphenoxy] - (9CI) (CA INDEX NAME)

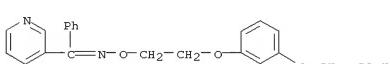
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 152381-59-3 CAPLUS  
CN Acetic acid, [3-[3-[(phenyl-3-pyridinylmethylene)amino]oxy]-1-propenyl]phenoxy] - (9CI) (CA INDEX NAME)



RN 152381-60-7 CAPLUS  
CN Acetic acid, [3-[2-[(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]phenoxy] - (9CI) (CA INDEX NAME)



L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:649845 CAPLUS

DOCUMENT NUMBER: 119:249845

TITLE: Pyridyl derivatives, pharmaceuticals containing these compounds and processes for their preparation

INVENTOR(S): Soysa, Rainer; Mueller, Thomas; Weissenberger,

Johannes

PATENT ASSIGNEE(S): Thomas, Dr. Karl, G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 57 pp.

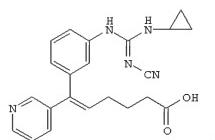
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 547517	A1	19930623	EP 1992-121126	19921211
<-- EP 547517	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4141377	A1	19930617	DE 1991-4141377	19911214
<-- DE 4216364	A1	19931125	DE 1992-4216364	19920518
<-- DE 4216829	A1	19931125	DE 1992-4216829	19920521
<-- PRIORITY APPLN. INFO.:			DE 1991-4141377	A 19911214
<-- DE 1992-4216364			DE 1992-4216364	A 19920518
<-- DE 1992-4216829			DE 1992-4216829	A 19920521
<-- OTHER SOURCE(S): CASREACT 119:249845; MARPAT 119:249845				
GI				

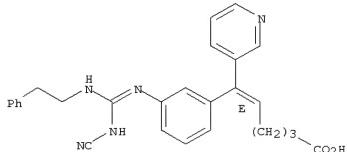


AB The title compds. are claimed. Examples are (E)-6-[3-(3-cyclopropyl-2-cyanoquinuclidino)phenyl]-6-(3-pyridyl)-5-hexenoic acid [(E)-6-[3-((cyclopropylamino)(cyanoimino)methyl)amino]phenyl]-6-(3-pyridyl)-5-hexenoic acid (I) and analogs and derivs. of I. The title compds. are antithrombotics; they are thromboxane A2 antagonists and thromboxane synthetase inhibitors and blood platelet aggregation inhibitors. The title compds. may further inhibit prostaglandins (PGD2 receptors, PGF2 receptors, and PGF2α receptors) (no data).

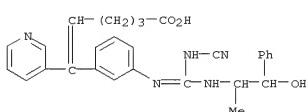
IT 149979-67-9P 149979-83-9P 149979-84-0P

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prep., of, as antithrombotic)  
 RN 149979-67-9 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(cyanoamino)[(2-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



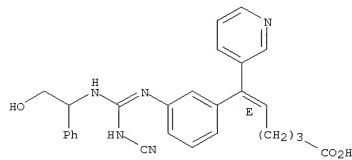
RN 149979-83-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(cyanoamino)[(2-hydroxy-1-methyl-2-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 149979-84-0 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(cyanoamino)[(2-hydroxy-1-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

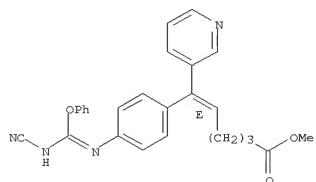
L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 149980-36-9P 149980-39-2P 149980-47-2P  
 149980-52-9P 149980-58-5P 150760-37-5P  
 150760-39-6P 150760-39-7P 150760-40-0P  
 150760-41-1P 150760-42-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of, as intermediate for  
 [[(aminoiminomethyl)amino]phenyl](pyridinyl)alkenoate (antithrombotic) derivative)

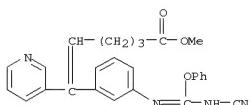
RN 149980-36-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[(cyanoamino)phenoxy]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

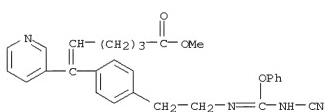


RN 149980-39-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(cyanoamino)phenoxy]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



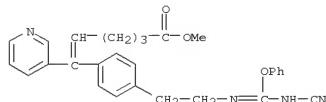
RN 149980-47-2 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[(cyanoamino)phenoxy]methylene]amino]ethylphenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)



RN 149980-52-9 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(phenoxy[(phenylsulfonyl)amino)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

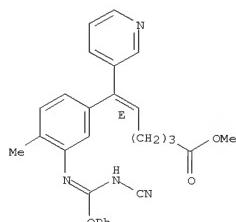
Double bond geometry as shown.

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



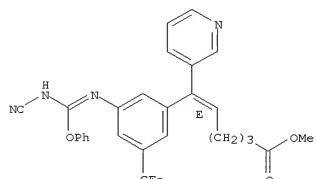
RN 150760-37-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(cyanoamino)phenoxy]methylene]amino]-4-methylphenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 150760-38-6 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(cyanoamino)phenoxy]methylene]amino]-5-(trifluoromethyl)phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

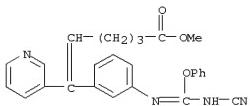


RN 150760-39-7 CAPLUS

02/29/2008

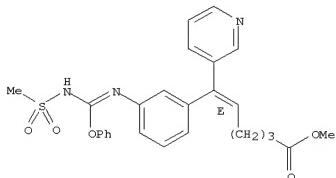
10-566,291.trn

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN 5-Hexenoic acid, 6-[3-[(cyanoamino)phenoxyethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester (CA INDEX NAME)



RN 150760-40-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(methylsulfonyl)amino]phenoxyethylene]amino]phenyl-  
 yl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

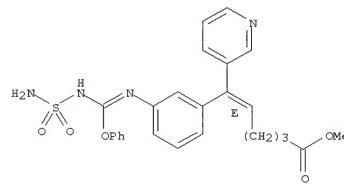
Double bond geometry as shown.



RN 150760-41-1 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(aminosulfonyl)amino]phenoxyethylene]amino]phenyl-  
 l]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

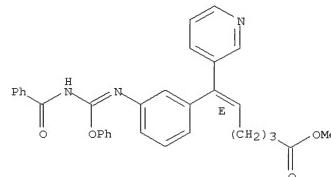
Double bond geometry as shown.

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 150760-42-2 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(benzoylamino)phenoxyethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

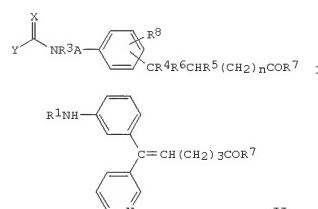
Double bond geometry as shown.



L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:580666 CAPLUS  
 DOCUMENT NUMBER: 119:180666  
 TITLE: Preparation and formulation of 6-guanidinophenyl-6-pyridyl-5-hexenoates and analogs as thromboxane antagonists  
 INVENTOR(S): Soyka, Rainer; Mueller, Thomas; Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Thomas, Dr. Karl, G.m.b.H., Germany  
 SOURCE: Ger. Offen., 34 pp.  
 DOCUMENT TYPE: Patent  
 CODEN: GWXXBX  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4141377	A1	19930617	DE 1991-4141377	19911214
<-- PL 171512	B1	19970530	PL 1992-296896	19921209
<-- PL 171500	B1	19970530	PL 1992-312868	19921209
<-- PL 171463	B1	19970530	PL 1992-312869	19921209
<-- CA 2085201	A1	19930615	CA 1992-2085201	19921211
<-- CA 2085201 NO 92404800	C A	20040706 19930615	NO 1992-4800	19921211
<-- NO 179173 NO 179173 AU 9230058	C B A	19960513 19960513 19930617	AU 1992-30058	19921211
<-- AU 653455 EP 547517	B2 A1	19940929 19930623	EP 1992-121126	19921211
<-- EP 547517 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE ZA 9209613	B1 A	19950517 19940613	ZA 1992-9613	19921211
<-- JP 06199793	A	19940719	JP 1992-331452	19921211
<-- JP 2965425 HU 68032	B2 A2	19991018 19950529	HU 1992-3949	19921211
<-- ES 2074323	T3	19950901	ES 1992-121126	19921211
<-- IL 104066	A	19960723	IL 1992-104066	19921211
<-- KR 227441	B1	19991101	KR 1992-24089	19921212
<-- FI 100882	B	19980313	FI 1992-5665	19921214
<-- FI 100882 RU 2119915	B1 C1	19980313 19981010	RU 1992-4567	19921214
<-- US 5482948	A	19960109	US 1994-270615	19940705

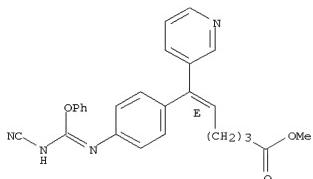
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 PRIORITY APPLN. INFO.: DE 1991-4141377 A 19911214  
 <-- DE 1992-4216364 A 19920518  
 <-- DE 1992-4216829 A 19920521  
 <-- US 1992-989681 B1 19921214  
 <-- OTHER SOURCE(S): MARPAT 119:180666  
 GI



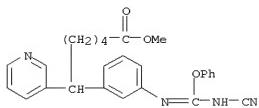
AB Title compds. [I; A = bond, alkylene; R3 = H, alkyl; R4, R5 = H; or R4R5 = bond; R6 = (3- or 4-alkyl)pyridyl; R7 = OH, alkoxy, NH2; R8 = halo, alkyl, CF3, alkoxy; X = CHNO2, CHCN, NR9; R9 = cyano, PhSO2, SO2NH2, CONH2, etc.; Y = alkoxy, OPh, NR1R2; R1 = H, (cyclo)alkyl, alkoxy, etc.; R2 = H, alkyl; n = 2-5] were prepared Thus, aminophenylhexenoate (E)-II (R = H, R7 = OMe) were condensed with (PhO)2C:N CN and the product was condensed with cyclohexylamine to give, after saponification, (E)-II [R = C(:NCN)NR1, R7 = OH]  
 (III; R1 = cyclohexyl). III (R1 = cyclopentyl) had IC50 of 0.003  $\mu$ M against thromboxane synthetase in vitro.  
 IT 149980-36-9P 149980-42-7P 149980-47-2P  
 149980-52-9P  
 RL: RCT (Reactant); SPF (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of thromboxane antagonist)  
 RN 149980-36-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[(cyanoamino)phenoxyethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

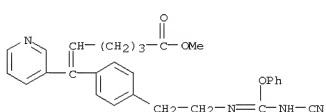
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 149980-42-7 CAPLUS  
CN 3-Pyridinehexanoic acid,  $\epsilon$ -[3-[(cynoamino)phenoxy)methylene]amino]phenyl]-, methyl ester (CA INDEX NAME)



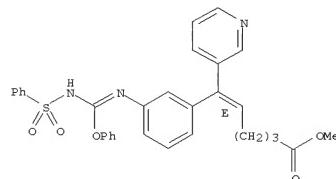
RN 149980-47-2 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-[(cynoamino)phenoxy)methylene]amino]ethyl]phenyl-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)



RN 149980-52-9 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(phenylsulfonyl)amino)methylene]amino]phenyl-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

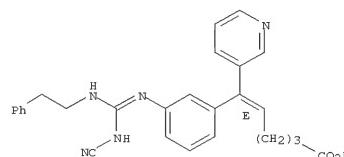
Double bond geometry as shown.

L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

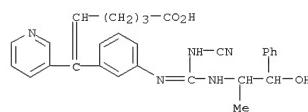


IT 149979-67-9 P 149979-83-9 P 149979-84-0 P  
149980-39-2 P 149980-58-5 P  
RL: SPM (Synthetic preparation); PREP (Preparation)  
(preparation of, as thromboxane antagonist)  
RN 149979-67-9 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(cynoamino)methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



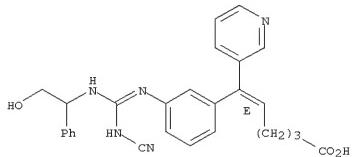
RN 149979-83-9 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(cynoamino)methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)



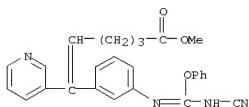
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 149979-84-0 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(cynoamino)methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

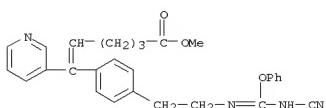
Double bond geometry as shown.



RN 149980-39-2 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(cynoamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)

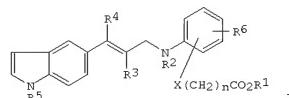


RN 149980-58-5 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-[(cynoamino)phenoxy)methylene]amino]ethyl]phenyl-6-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)



L4 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1993:124392 CAPLUS  
DOCUMENT NUMBER: 118:124392  
TITLE: Preparation of indole derivatives as steroid 5 $\alpha$ -reductase inhibitors  
INVENTOR(S): Kumazawa, Yoshiaki; Takami, Hitoshi; Obase, Hiroyuki; Kishibayashi, Nobuyuki; Ishii, Akio  
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 59 pg.  
CODEN: EPXXDW

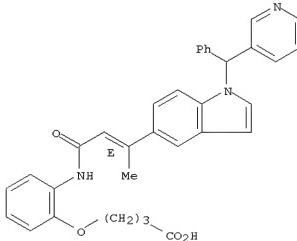
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:  
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PATENT NO. KIND DATE APPLICATION NO. DATE  
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EP 511477 A1 19921104 EP 1992-104088 19920310  
<-- EP 511477 B1 19960710 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE  
JP 05078315 A 19930330 JP 1992-50671 19920309  
<-- CA 2062587 A1 19920912 CA 1992-2062587 19920310  
<-- US 5239083 A 19930824 US 1992-850334 19920310  
<-- PRIORITY APPLN. INFO.: JP 1991-44941 A 19910311  
<-- OTHER SOURCE(S): CASREACT 118:124392; MARPAT 118:124392 GI



AB A process for the preparation of indole derivs. I (R1, R2, R3 = H or lower alkyl, R4 = H, lower alkyl or cycloalkyl, R5 = H, cycloalkyl, cycloalkenyl, R6 = H, lower alkyl, alkoxy or halo, X = O or S, SO or SO2, n = 1-6) comprises the condensation of Et 4-(2-aminophenoxy)butyrate (II) with (indolyl)isocrotonic acid derivs. E.g., 0.46 g of II, 2.25 mL Bu3N, 1.20 g of 2-chloro-1-methylpyridinium iodide and 1.04 g of 3-(1-methylindol-5-yl)isocrotonic acid in 10 mL of CH2Cl2 were refluxed to give 4-{(3-(1-methylindol-5-yl)isocrotonyl)phenoxy}butyric acid. I showed 66%-97% inhibition of steroid 5 $\alpha$ -reductase activity at 10-7 M and are useful in treating benign prostatic hypertrophy, prostate cancer, baldness and acne.  
IT 146327-09-5 RL: RCT (Reactant); RACT (Reactant or reagent)

L4 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (prepn. and inhibition by, on steroid 5 $\alpha$ -reductase activity)  
 RN 146327-09-5 CAPLUS  
 CN Butanoic acid,  
 4-[2-[(1-oxo-3-[1-(phenyl-3-pyridinylmethyl)-1H-indol-5-yl]-  
 2-butenyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:101812 CAPLUS  
 DOCUMENT NUMBER: 118:101812  
 TITLE: 5-alkyl-3-[(pyridyl)alkyl]benzenepropanoates and  
 5-alkyl-3-[(imidazolyl)alkyl]benzenepropanoates, a  
 method for their preparation and their use as  
 thromboxane A2 antagonists

INVENTOR(S): Dickinson, Roger Peter; Dack, Kevin Neil; Steele,

John Pfizer Ltd., UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

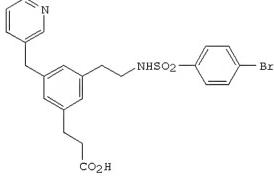
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217451	A1	19921015	WO 1992-EF591	19920317
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W: CA, FI, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2104456	A1	19921005	CA 1992-2104456	19920317
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EP 579618	C	19961210	EP 1992-906439	19920317
<--				
EP 579618	B1	19991013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06506200	T	19940714	JP 1992-505819	19920317
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JP 3088015	B2	20000918	AT 1992-906439	19920317
AT 185560	T	19991015		
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ES 2138594	T3	20000116	ES 1992-906439	19920317
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FI 104070	B	19991115	FI 1993-4045	19930915
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US 5457118	A	19951010	US 1994-133155	19940420
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US 5705523	A	19980106	US 1995-502748	19950714
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FI 9900179	A	19990201	FI 1999-179	19990201
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GR 3032308	T3	20000427	GR 2000-400008	20000104
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PRIORITY APPLN. INFO.:			GB 1991-7043	A 19910404
<--			WO 1992-EF591	W 19920317
<--			US 1994-133155	A3 19940420
<--			CASREACT 118:101812; MARPAT 118:101812	

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

GI



I

AB Some 5-alkyl-3-[(heteroaryl)alkyl]benzenepropanoic acids and 5-alkyl-3-[(heteroaryl)oxy]benzenepropanoic acids are claimed. A process for the preparation of said compds. is claimed. These compds. are thromboxane

A2 antagonists or thromboxane A2 synthetase inhibitors. Thus, (pyridinylmethyl)benzenepropanoic acid I was prepared in several steps.

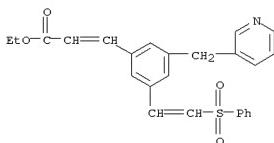
The in vitro thromboxane A2 antagonist and thromboxane A2 synthetase-inhibiting activity was tested.

IT 145691-70-9 145691-71-0

RL: SPP (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate in preparation of heteroaryl(sulfonylalkyl)benzene propanoate thromboxane A2 antagonists)

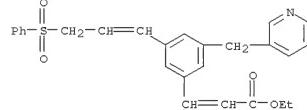
RN 145691-70-9 CAPLUS

CN 2-Propenoic acid, 3-[3-[(phenylsulfonyl)ethenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 145691-71-0 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[(phenylsulfonyl)-1-propenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



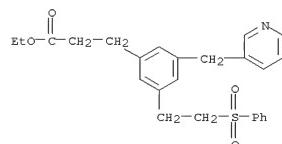
IT 145691-13-0P 145691-14-1P 145692-11-1P

145692-12-2P

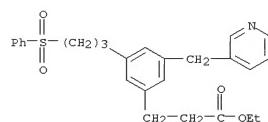
RL: SPP (Synthetic preparation); PREP (Preparation)  
 (preparation of, as thromboxane A2 antagonist and thromboxane A2 synthetase inhibitor)

RN 145691-13-0 CAPLUS

CN Benzenepropanoic acid,  
 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-, ethyl ester (CA INDEX NAME)

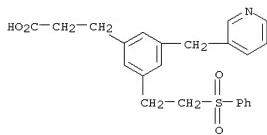


RN 145691-14-1 CAPLUS  
 CN Benzenepropanoic acid,  
 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-, ethyl ester (CA INDEX NAME)

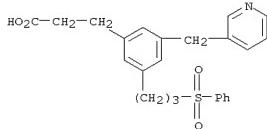


RN 145692-11-1 CAPLUS  
 CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)- (CA INDEX NAME)

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



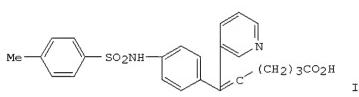
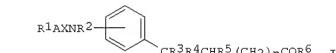
RN 145692-12-2 CAPLUS  
CN Benzenepropanoic acid,  
3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-  
(CA INDEX NAME)



L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:633858 CAPLUS  
DOCUMENT NUMBER: 117:233858  
TITLE: Preparation of  $\omega$ -pyridyl- $\omega$ -[(acylamino)phenyl]alkenoates as thromboxane antagonists and biosynthesis inhibitors  
INVENTOR(S): Soyka, Rainer; Elsert, Wolfgang; Mueller, Thomas; Weisenberger, Johannes  
PATENT ASSIGNEE(S): Thomas, Dr. Karl, G.m.b.H., Germany  
SOURCE: Eur. Pat. Appl., 46 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 487095	A1	19920527	EP 1991-119889	19911121
EP 487095	B1	19960228		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE DE 4037112	A1	19920527	DE 1990-4037112	19901122
AU 9187964	A	19920528	AU 1991-87964	19911119
AU 640063 IL 100097	B2	19930812		
AU 640063 IL 100097	A	19950731	IL 1991-100097	19911120
CA 2055950	A1	19920523	CA 1991-2055950	19911121
FI 9105484	A	19920523	FI 1991-5484	19911121
NO 9104567	A	19920525	NO 1991-4567	19911121
NO 175634 NO 175634 HU 60472	B	19940801		
NO 175634 NO 175634 HU 60472	C	19941109		
HU 213676 JP 04275273	A2	19920928	HU 1991-3644	19911121
HU 213676 JP 04275273	B	19970929		
JP 04275273	A	19920930	JP 1991-305990	19911121
ZA 9109205	A	19930521	ZA 1991-9205	19911121
RU 2028292	C1	19950209	RU 1991-5010111	19911121
AT 134619	T	19960315	AT 1991-119889	19911121
ES 2084756	T3	19960516	ES 1991-119889	19911121
PRIORITY APPLN. INFO.:			DE 1990-4037112	A 19901122
GI				

L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; n = 2-4; X = CO, CS, SO<sub>2</sub>; R<sub>1</sub> = (phenyl)alkyl, cycloalkyl, naphthyl, biphenyl, indolyl, thieryl, (substituted) Ph, etc., R<sub>2</sub> = H, alkyl; R<sub>3</sub> = pyridyl; R<sub>4</sub>, R<sub>5</sub> = H; R<sub>6</sub> = OH, alkoxy; A = bond, alkylene, cycloalkylene, cycloalkylidene, oxyalkylene, etc.], were prepared Thus, Me 6-(4-aminophenyl)-6-(3-pyridyl)hex-5-enoate (preparation starting from nicotinoyl chloride hydrochloride and N-acetylaniline given) was stirred with 4-MeC<sub>6</sub>H<sub>4</sub>COCl and Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> to give the sulfonamide, which was heated with 10 N NaOH in EtOH at 50° to give title compound II. I inhibited human thromboxane synthetase with IC<sub>50</sub> = 0.004-0.090  $\mu$ M. Various dosage forms were prepared containing (-)-58-6-[4-(Z)-2-(4-chlorophenyl)cyclopentyl-1-carboxamido]phenyl]-6-(3-pyridyl)hex-5-enoic acid.

IT 142668-82-4P 142668-86-8P 142668-87-9P

142668-88-0P 142668-94-8P 142669-04-3P

142669-05-4P 142669-10-1P 142669-11-2P

142669-12-3P 142669-16-7P 142669-19-0P

142669-20-3P 142669-21-4P 142669-22-5P

142669-25-8P 142669-27-0P 142669-28-1P

142669-29-2P 142669-30-5P 142669-31-6P

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142669-35-0P 142669-48-5P 142669-72-5P

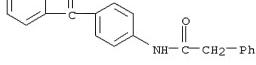
142669-74-7P 142752-96-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as thromboxane antagonist and synthesis inhibitor)

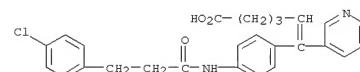
RN 142668-82-4 CAPLUS

CN 5-Hexenoic acid, 6-[4-[(phenylacetyl)amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

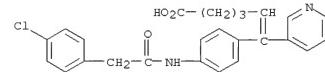


RN 142668-86-8 CAPLUS

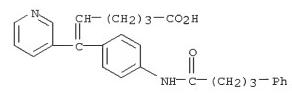
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN 5-Hexenoic acid, 6-[4-[(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



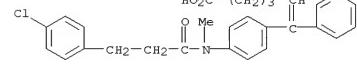
RN 142668-87-9 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 142668-88-0 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

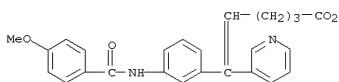


RN 142668-94-8 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[(3-(4-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

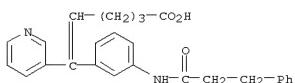


RN 142669-04-3 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(4-methoxybenzoyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

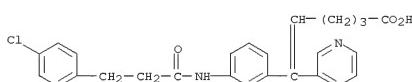
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



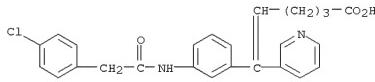
RN 142669-05-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(1-oxo-3-phenylpropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-10-1 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

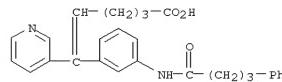


RN 142669-11-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)-  
 (9CI) (CA INDEX NAME)

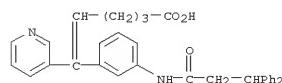


RN 142669-12-3 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

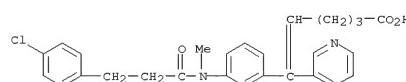
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



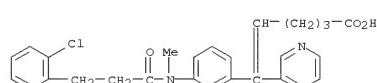
RN 142669-16-7 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(1-oxo-3-diphenylpropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-19-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

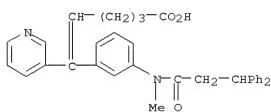


RN 142669-20-3 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

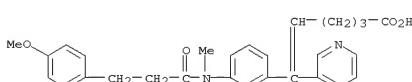


RN 142669-21-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(methyl(1-oxo-3-diphenylpropyl)amino)phenyl]-6-(3-

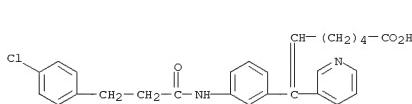
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



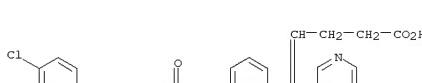
RN 142669-22-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(3-(4-methoxyphenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-25-8 CAPLUS  
 CN 6-Heptenoic acid,  
 7-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-7-(3-pyridinyl)- (CA INDEX NAME)

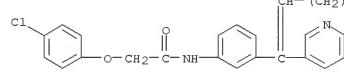


RN 142669-27-0 CAPLUS  
 CN 4-Pentenoic acid,  
 5-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-5-(3-pyridinyl)- (CA INDEX NAME)

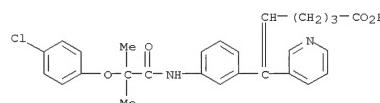


RN 142669-28-1 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(4-chlorophenoxy)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

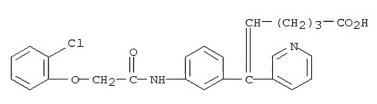
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



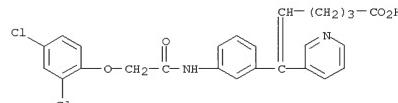
RN 142669-29-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(2-(4-chlorophenoxy)-2-methyl-1-oxopropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-30-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(2-(4-chlorophenoxy)acetyl)amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

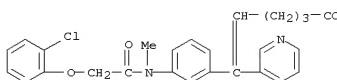


RN 142669-31-6 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(2,4-dichlorophenoxy)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

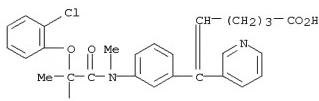


RN 142669-32-7 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(2-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

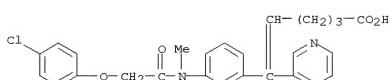
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



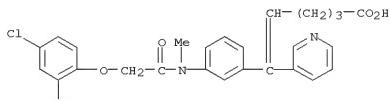
RN 142669-33-8 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(2-(2-chlorophenoxy)-2-methyl-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl) - (CA INDEX NAME)



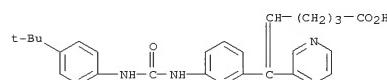
RN 142669-34-9 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(4-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-pyridinyl) - (9CI) (CA INDEX NAME)



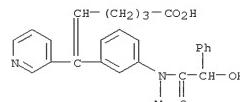
RN 142669-35-0 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(2,4-dichlorophenoxy)acetyl]methylamino]phenyl]-6-(3-pyridinyl) - (9CI) (CA INDEX NAME)



RN 142669-48-5 CAPLUS

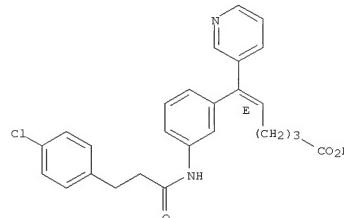
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN 5-Hexenoic acid,  
6-[3-[(4-(1,1-dimethylethyl)phenyl)amino]carbonyl]amino  
[phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

RN 142669-72-5 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(hydroxyphenylacetyl)methylamino]phenyl]-6-(3-pyridinyl) - (9CI) (CA INDEX NAME)



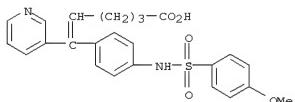
RN 142669-74-7 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-6-(3-pyridinyl) - (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



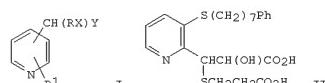
RN 142752-96-3 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[(4-methoxyphenoxy)sulfonyl]amino]phenyl]-6-(3-

L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



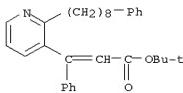
L4 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:550898 CAPLUS  
DOCUMENT NUMBER: 117:150898  
TITLE: Preparation of pyridylthio- or pyridyloxalkanoic acids  
INVENTOR(S): Frazer, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd; Kinzig, Charles Michael; Uzinskas, Irene Nijole  
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA  
SOURCE: PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205156	A1	19920402	WO 1991-US6494	19910910
<-- W: AU, CA, JP, KR, US RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE CA 2089728	A1	19920314	CA 1991-2089728	19910910
<-- AU 9189003	A	19920415	AU 1991-89003	19910910
<-- EP 548291	A1	19930630	EP 1991-919733	19910910
<-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE JP 06501019	T	19940127	JP 1991-518250	19910910
<-- ZA 9107261	A	19920930	ZA 1991-7261	19910912
<-- PRIORITY APPLN. INFO.: US 1990-581958			A2 19900913	
<-- WO 1991-US6494			A 19910910	
<-- OTHER SOURCE(S): MARPAT 117:150898 GI				



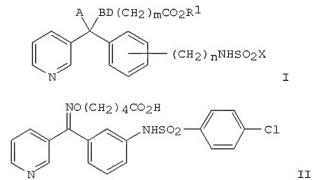
AB Title compds. I (X = O, S(O)<sub>q</sub> wherein q = 0-2; R = (CH<sub>2</sub>)<sub>n</sub>D, (CH<sub>2</sub>)<sub>n</sub>ArD, wherein n = 0-6, Ar = (substituted) Ph, thiienyl, pyridyl, imidazolyl, tetrazol-5-yl, thiazolyl, D = (CH<sub>2</sub>)<sub>LR</sub> where R = 0-3, tetrazol-5-yl; and R<sub>2</sub> = R4CO where R<sub>4</sub> = HO, EO where EO = cation, etc.; R<sub>1</sub> = C8-13 alkyl, C7-12 alkoxy, C7-12 alkylthio, C10-12 alkylnyl, etc.; Y = R<sub>2</sub>, R<sub>2</sub>(CH<sub>2</sub>)<sub>m</sub>CHR<sub>3</sub> wherein m = 0-2, R<sub>3</sub> = H, Me, C1-4 alkoxy, F, HO) or a salt thereof, useful as leukotriene antagonists (no data), are prepared 3-(Phenylheptylthio)-2-

L4 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (carbomethoxy)pyridine (prepn. given) was converted in 5 steps to the title compd. II. Suppository and tablet formulations comprising I are given.  
 IT 142920-32-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of leukotriene antagonist)  
 RN 142920-32-9 CAPLUS  
 CN 2-Propenoic acid, 3-phenyl-3-[2-(8-phenyloctyl)-3-pyridinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

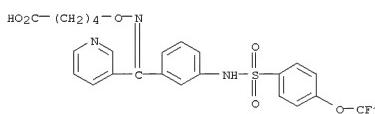


L4 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:214360 CAPLUS  
 DOCUMENT NUMBER: 116:214360  
 TITLE: Phenylsulfonamide-substituted pyridylalkenoic and aminoxyalkanoic acid derivatives  
 INVENTOR(S): Niewoehner, Ulrich; Mueller, Ulrich E.; Perzborn, Elisabeth; Bischoff, Erwin; Dellweg, Hans Georg  
 PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 25 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

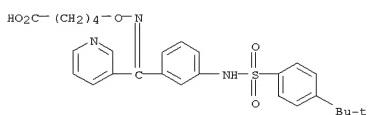
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 471259	A1	19920219	EP 1991-113089	19910803
EP 471259	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE	A1	19920220	DE 1990-4025818	19900816
DE 4025818				
US 5155121	A	19921013	US 1991-739747	19910802
ES 2072492	T3	19950716	ES 1991-113089	19910803
JP 04244063	A	19920901	JP 1991-223620	19910809
US 5185348	A	19930209	US 1992-887208	19920521
PRIORITY APPLN. INFO.:			DE 1990-4025818	A 19900816
OTHER SOURCE(S): CASREACT 116:214360; MARPAT 116:214360			US 1991-739747	A3 19910802
GI				



L4 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 AB Title compds. I [A = H, B = CH<sub>2</sub>; AB = -CN-, N; D = CH<sub>2</sub>; ABD = -NO-; R1 = H, alkyl, Ph; X = aryl, heteroaryl; m = 1-10; n = 0-4] were prepared Thus, 3-aminophenyl 3-pyridyl ketone was treated with 4-ClC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl followed by H<sub>2</sub>NO(CH<sub>2</sub>)<sub>4</sub>CO<sub>2</sub>H to give imine II as an EZ mixture. II inhibited blood platelet aggregation at 0.3-1.0 µg/mL.  
 IT 140182-50-9P 140182-54-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 140182-50-9 CAPLUS  
 CN Pentanoic acid,  
 5-[[[3-pyridinyl[3-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]phenyl]methylene]oxy]- (CA INDEX NAME)

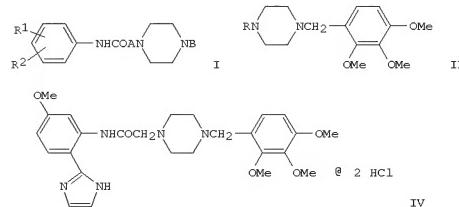


RN 140182-54-3 CAPLUS  
 CN Pentanoic acid,  
 5-[[[3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]phenyl]methylene]oxy]- (CA INDEX NAME)



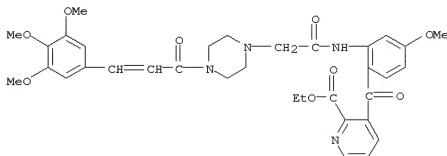
L4 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1991:656226 CAPLUS  
 DOCUMENT NUMBER: 115:256226  
 TITLE: Preparation of piperazine derivatives as antiarrhythmics  
 INVENTOR(S): Shibuya, Masayuki; Takahashi, Yoshio; Sato, Seiichi; Shigyo, Hironichi; Ota, Tomio; Uchida, Yasuyoshi  
 PATENT ASSIGNEE(S): Kowa K. K., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03141258	A	19910617	JP 1989-276086	19891025
PRIORITY APPLN. INFO.:			JP 1989-276086	19891025
OTHER SOURCE(S): MARPAT 115:256226				
GI				



AB Piperazine derivs. [I; R1 = H, alkyl, alkoxy, CF<sub>3</sub>, pyrrolidinoethoxy; R2 = alkyl, (substituted) imidazolyl, pyridyl, (alkoxycarbonyl-substituted) pyridinecarbonyl; a linear or branched alkyne; B = (hydroxy-, nitroxy-, carboxy-, or alkoxy carbonyl-substituted) alkyl, PhOCO, etc.] and their acid adducts are prepared. BrCH<sub>3</sub>CO<sub>2</sub>Et was added to a solution of trimetazidine (II; R = H) and Et<sub>2</sub>N in THF with stirring at room temperature to give 94.2% II' (R = CH<sub>2</sub>CO<sub>2</sub>Et), which was saponified and ion-exchanged with NH<sub>4</sub>OH to give 81.8% II (R = CH<sub>2</sub>CO<sub>2</sub>NH<sub>4</sub>) (III). 1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide HCl was added to a solution of III and 2-(2-imidazolyl)-5-methoxyaniline in THF with stirring at room temperature to give 41.5% IV, which showed min. ED of 2.0-3.0 mg/kg i.v. as antiarrhythmic agent in dogs and increased coronary blood flow by 57% at 1

L4 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ng/kg i.v. in dogs.  
 IT 137405-71-1  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as antiarrhythmic agent)  
 RN 137405-71-1 CAPLUS  
 CN 2-Pyridinecarboxylic acid, 3-[4-methoxy-2-[[[4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]-1-piperazinyl]acetyl]amino]benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1991:207035 CAPLUS  
 DOCUMENT NUMBER: 114:207035  
 TITLE: Preparation and formulation of  $\omega$ -[(arylsulfonamidoalkyl)aryl]- $\omega$ -pyridylalkenoates and analogs as drugs  
 INVENTOR(S): Heckel, Armin; Nickl, Josef; Soyka, Rainier; Eisert, Wolfgang; Mueller, Thomas; Weisenberger, Johannes; Meade, Christopher; Macevic, Gojko  
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany  
 SOURCE: Eur. Pat. Appl., 48 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 397044	A2	19901114	EP 1990-108433	19900504
<-- EP 397044	A3	19911016		
<-- EP 397044	B1	19951227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3915506	A1	19901115	DE 1989-3915506	19890512
<-- DE 3932403	A1	19910411	DE 1989-3932403	19890928
<-- AT 132138	T	19960115	AT 1990-108433	19900504
<-- ES 2083394	T3	19960416	ES 1990-108433	19900504
<-- DD 298390	A5	19920220	DD 1990-340542	19900510
<-- KR 153527	B1	19981116	KR 1990-6587	19900510
<-- CA 2016646	A1	19901112	CA 1990-2016646	19900511
<-- CA 2016646	C	19990907		
NO 9002099	A	19901113	NO 1990-2099	19900511
<-- NO 176176	B	19941107		
NO 176176	C	19950215		
AU 9054924	A	19901115	AU 1990-54924	19900511
<-- AU 627024	B2	19920813		
JP 03005457	A	19910111	JP 1990-122825	19900511
<-- JP 2868283	B2	19990310		
HU 54649	A2	19910328	HU 1990-3013	19900511
<-- HU 214586	B	19980428		
ZA 9003603	A	19920129	ZA 1990-3603	19900511
<-- FI 95372	B	19951013	FI 1990-2358	19900511
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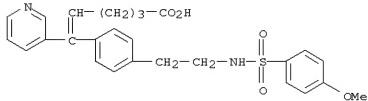
L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 FI 95372 C 19960125  
 US 5294626 A 19940315 US 1990-523167 19900514  
 <-- RU 2096405 C1 19971120 RU 1992-5010477 19921008  
 <-- US 5426119 A 19950620 US 1993-154647 19931118  
 <-- US 5681961 A 19971028 US 1995-407180 19950321  
 <-- PRIORITY APPLN. INFO.: DE 1989-3915506 A 19890512  
 <-- DE 1989-3932403 A 19890928  
 <-- US 1990-523167 A3 19900514  
 <-- US 1993-154647 A3 19931118  
 <-- OTHER SOURCE(S): MARPAT 114:207035  
 AB K1S02NR2ACR3R4CHR5BCORE [A = CH(R)CH2; B = bond, (un)substituted alkylene;  
 R1 = phenylalkyl, (un)substituted Ph, thiienyl; R2,R4,R5,R7 = H, alkyl;  
 R4R5 bond; R3 = (alkyl)pyridyl; R6 = OH, alkoxy, (mono- or dialkyl)amino; Z = phenylenediy, naphthylenediy, heterocyclyenediy, etc.] were prepared as arachidonic acid cascade substance inhibitors.  
 Thus, 4-C1C6H4SO2Cl was condensed with PhCH2CH2NH2 and the product acylated with

nicotinoyl chloride to give 4-(4-(C1C6H4SO2CH2CH2)C6H4C(:X)R (R = 3-pyridyl)(I; X = O) which was condensed with Ph3P(CH2)4CO2H to give I [X = CH(CH2)3CO2H] which had ED50 of 29  $\mu$ g/kg i.v. for inhibition of U-46619-induced bronchospasms in guinea pigs.

IT 133277-32-4P 133277-33-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as arachidonate cascade substance inhibitor)

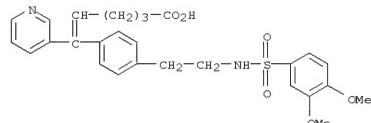
RN 133277-32-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[2-[(3,4-dimethoxyphenyl)sulfonyl]amino]ethyl]phenyl]-6-

(3-pyridinyl)- (CA INDEX NAME)



RN 133277-33-5 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[2-[(3,4-dimethoxyphenyl)sulfonyl]amino]ethyl]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



02/29/2008

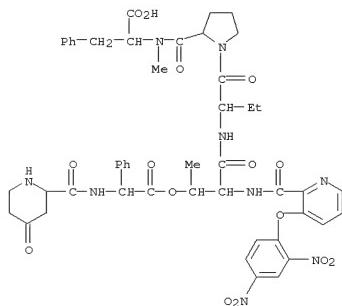
10-566,291.trn

L4 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1990:217519 CAPLUS  
 DOCUMENT NUMBER: 112:217519  
 TITLE: A search for phenolic protection in virginiamycin S  
 AUTHOR(S): Sharma, N. K.; Anteunis, M. J. O.  
 CORPORATE SOURCE: Lab. Org. Chem., Rijksuniv.-Gent, Ghent, B-9000,  
 Belg.  
 SOURCE: Bulletin des Societes Chimiques Belges (1989 ), 98(7), 463-79  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 112:217519  
 AB The masking of the hydroxyl group of the piperolic acid residue in virginiamycin S during alkaline (NaOH) and acidic (CF<sub>3</sub>CO<sub>2</sub>H) degradation procedures was investigated for the use peptide fragments coming thereof for further reconstitution by classical peptide synthesis procedures. Thus, phenacyl, allyloxycarbonyl (Alloc), 2,2,2-trichloroethoxycarbonyl (Troc), and 2,4-dinitrophenyl (Dnp) derivs. have been prepared. None of the prepared derivs. were stable in aqueous basic conditions. The Alloc, Troc, and Dnp derivs. may have some applications under acidic conditions.

IT 127092-17-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 127092-17-5 CAPLUS  
 CN L-Phenylalanine, N-[(3-(2,4-dinitrophenoxy)-2-pyridinyl]carbonyl]-O-[N-[(4-oxo-2-piperidinyl)carbonyl]-L-2-phenylglycyl]-L-threonyl-D-2-aminobutanoyl-L-prolyl-N-methyl-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1  
 CRN 127092-16-4  
 CMF C49 H53 N9 O15

L4 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

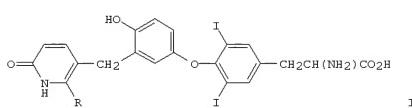


CM 2

CRN 76-05-1  
 CMF C2 H F3 O2

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1989:173720 CAPLUS  
 DOCUMENT NUMBER: 110:173720  
 TITLE: Synthesis of thyroid hormone analogs. Part 1. Preparation of 3'-heteroaryl methyl-3,5-diido-L-thyronines via phenol-dinitrophenol condensation and relationships between structure and selective thyromimetic activity

AUTHOR(S): Leeson, Paul D.; Emmett, John C.  
 CORPORATE SOURCE: Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AB, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (12), 3085-96  
 DOCUMENT TYPE: CODEN: JCPRB4; ISSN: 0300-922X  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:173720  
 GI

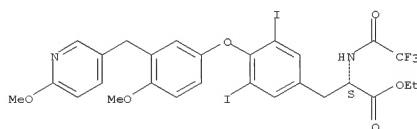


AB 3'-Heteroaryl methyl analogs, e.g. I (R = H, F), of the natural thyroid hormone 3',5-triiodo-L-thyronine (T3) were synthesized as potential selective (cardiac-sparing) thyromimetics. The di-Ph ether moiety was constructed by condensation of 3-substituted 4-methoxyphenols with a 3,5-dinitro-L-tyrosine derivative. Synthesis of the key phenols required the *in situ* preparation, at low temps., of novel metalated species, e.g. 2-lithio-5-methoxypyridine, and 2,6-difluoro-3-lithiopyridine, followed by reaction with 2,4-MeO(PhCH<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>CHO. Structure-activity relationships indicate that selective thyromimetic activity is associated with 2-oxoheteroaren-5-ylmethyl 3'-substitution, as found in the pyridone I (R = H). The location of the oxy substituent in the heterocycle is critical for both hormonal activity and for binding to the T3 receptor.

IT 105189-55-7 120130-21-4P 120130-23-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deblocking of, (heteroaryl methyl)diiodothyronine from)  
 RN 105189-55-7 CAPLUS  
 CN L-Tyrosine, 3,5-diido-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

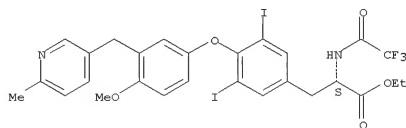
Absolute stereochemistry.

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



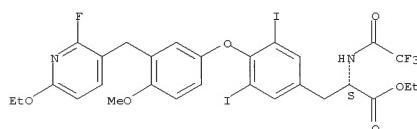
RN 120130-21-4 CAPLUS  
 CN L-Tyrosine, 3,5-diido-O-[4-methoxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 120130-23-6 CAPLUS  
 CN L-Tyrosine, O-[3-[(6-ethoxy-2-fluoro-3-pyridinyl)methyl]-4-methoxyphenyl]-3,5-diido-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

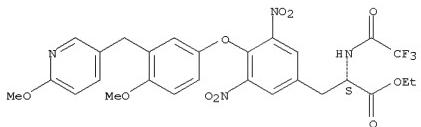
Absolute stereochemistry.



IT 105189-51-3P 120130-16-7P 120130-18-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and sequential reduction, diazotization, and iodination of)  
 RN 105189-51-3 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

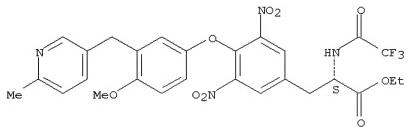
Absolute stereochemistry.

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



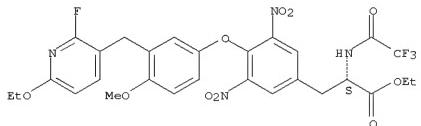
RN 120130-16-7 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



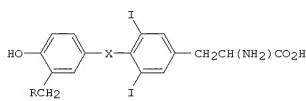
RN 120130-18-9 CAPLUS  
 CN L-Tyrosine, O-[3-[(6-ethoxy-2-fluoro-3-pyridinyl)methyl]-4-methoxyphenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 105189-53-5P 120129-99-9P 120130-00-9P  
 RL: SfN (Synthetic preparation); PREP (Preparation)  
 (preparation and thyromimetic activity of)  
 RN 105189-53-5 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1989:115292 CAPLUS  
 DOCUMENT NUMBER: 110:115292  
 TITLE: Selective thyromimetics. Cardiac-sparing thyroid hormone analogs containing 3'-arylmethyl substituents  
 AUTHOR(S): Leeson, Paul D.; Emmett, John C.; Shah, Virendra P.; Showell, Graham A.; Novelli, Ricardo; Prain, H. Douglas; Benson, Martin G.; Ellis, David; Pearce, Nigel J.; Underwood, Anthony H.  
 CORPORATE SOURCE: Smith Kline French Res. Ltd., Frythe/Welwyn, AL6 9AR, UK  
 SOURCE: Journal of Medicinal Chemistry (1989), 32(2), 320-36  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:115292  
 GI

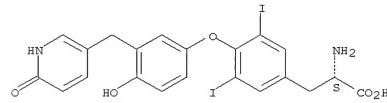


AB Introduction of specific arylmethyl groups at the 3'-position of the thyroid hormone 3,3',5'-triiodo-L-thyronine (T3), and its known hormonally active derivs., gives liver-selective, cardiac-sparing thyromimetics (e.g., I, X = O, S; R = aryl group), with potential utility as plasma cholesterol lowering agents. Correlations between *in vivo* and *in vitro* receptor binding affinities show that liver/heart selectivity does not depend on receptor recognition but on penetration or access to receptors *in vivo*. QSAR studies of the binding data of a series of 20

3'-arylmethyl  
 T3 analogs show that electroneg. groups at the para position increase both receptor binding and selectivity *in vivo*. However, increasing 3'-arylmethyl hydrophobicity increases receptor binding but reduces selectivity. Substitution at ortho and meta positions reduces both binding and selectivity. Replacement of the 3,5-iodo groups by halogen or Me maintains selectivity, with 3,5-dibromo analogs in particular having increased potency combined with oral bioavailability. Di-Ph thioether derivs. also have improved potency but are less orally active. At the 1-position, the D enantiomer retains selectivity, but removal of the α-amino to give a propionic acid results in loss of selective thyromimetic activity.

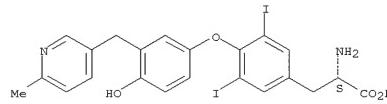
IT 105189-55-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-methylation and O-demethylation and hydrolysis of)  
 RN 105189-55-7 CAPLUS  
 CN L-Tyrosine, 3,5-diido-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Page 174

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.

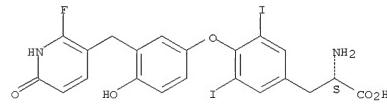
RN 120129-99-9 CAPLUS  
 CN L-Tyrosine, O-[4-hydroxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.

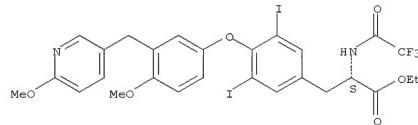


RN 120130-00-9 CAPLUS  
 CN L-Tyrosine, O-[3-[(2-fluoro-1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.

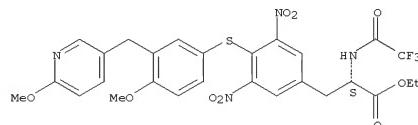
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 INDEX NAME)

Absolute stereochemistry.



IT 105189-64-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and catalytic hydrogenation, diazotization, and halogenation of)  
 RN 105189-46-8 CAPLUS  
 CN L-Phenylalanine, 4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

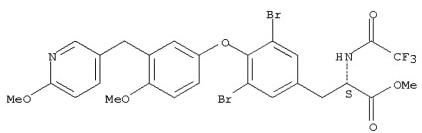
Absolute stereochemistry.



IT 105189-46-6P 105189-58-OP 105189-65-9P  
 105189-68-2P 105189-74-OP 117896-32-9P  
 117896-44-3P 117896-45-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and demethylation and hydrolysis of)  
 RN 105189-46-6 CAPLUS  
 CN L-Tyrosine, 3,5-diido-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

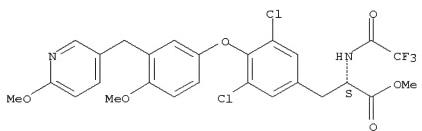
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



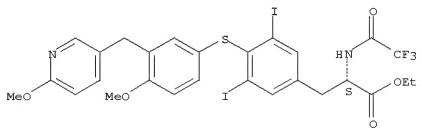
RN 105189-58-0 CAPLUS  
CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-65-9 CAPLUS  
CN L-Phenylalanine, 3,5-diiodo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

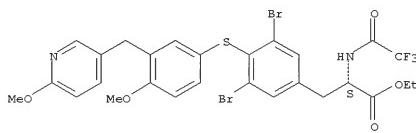
Absolute stereochemistry.



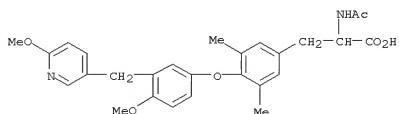
RN 105189-68-2 CAPLUS  
CN L-Phenylalanine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

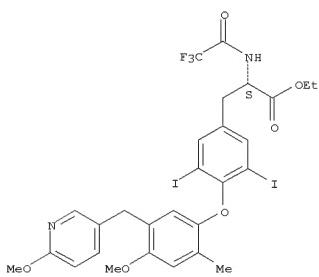


RN 105189-74-0 CAPLUS  
CN Tyrosine, N-acetyl-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dimethyl- (CA INDEX NAME)

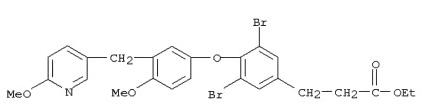


RN 117896-32-9 CAPLUS  
CN L-Tyrosine, 3,5-diido-O-[4-methoxy-5-[(6-methoxy-3-pyridinyl)methyl]-2-methylphenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)  
Absolute stereochemistry.

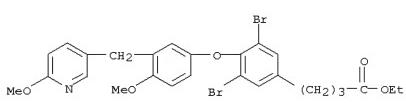
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 117896-44-3 CAPLUS  
CN Benzenepropanoic acid, 3,5-dibromo-4-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenoxy]-, ethyl ester (CA INDEX NAME)



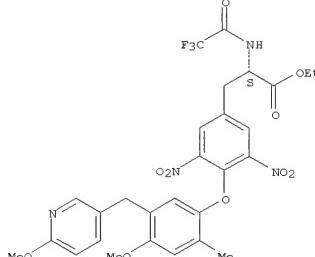
RN 117896-45-4 CAPLUS  
CN Benzenebutananoic acid, 3,5-dibromo-4-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenoxy]-, ethyl ester (CA INDEX NAME)



IT 117896-24-9P  
RN 117896-24-9 CAPLUS  
CN L-Tyrosine,  
O-[4-methoxy-5-[(6-methoxy-3-pyridinyl)methyl]-2-methylphenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

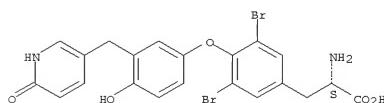
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



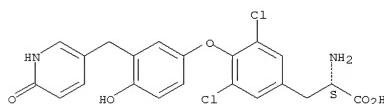
IT 105189-37-5P 105189-56-8P 105189-60-4P  
105189-67-1P 105189-72-8P 105189-91-1P  
105189-96-6P 105189-99-9P 117653-26-6P  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and thyromimetic activity of)  
RN 105189-37-5 CAPLUS  
CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-56-8 CAPLUS  
CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

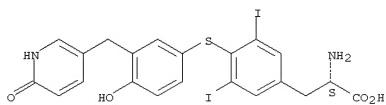
Absolute stereochemistry.



RN 105189-60-4 CAPLUS

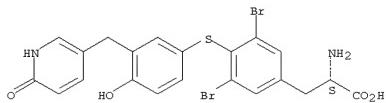
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN L-Phenylalanine, 4-[{3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl}thio]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.

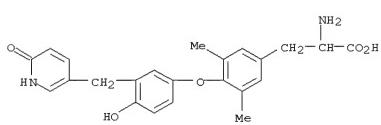


RN 105189-67-1 CAPLUS  
 CN L-Phenylalanine,  
 3,5-dibromo-4-[{3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl}thio]- (CA INDEX NAME)

Absolute stereochemistry.



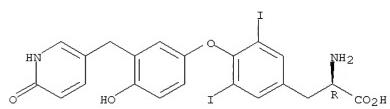
RN 105189-72-8 CAPLUS  
 CN Tyrosine, O-[3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-dimethyl- (CA INDEX NAME)



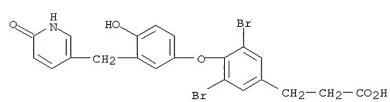
RN 105189-91-1 CAPLUS  
 CN D-Tyrosine,  
 O-[3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.

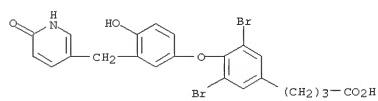
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 105189-96-6 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[{3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl}oxy]- (CA INDEX NAME)



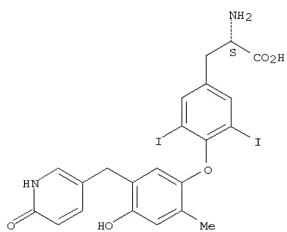
RN 105189-99-9 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[{3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl}oxy]- (CA INDEX NAME)



RN 117653-26-6 CAPLUS  
 CN L-Tyrosine, O-[5-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxy-2-methylphenyl]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.

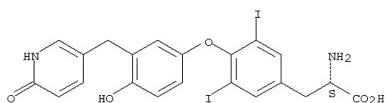
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



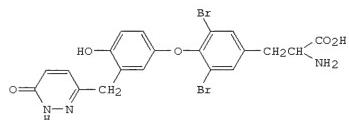
IT 105189-53-5  
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)  
 (thyromimetic activity of)

RN 105189-53-5 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 89 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:131516 CAPLUS  
 DOCUMENT NUMBER: 106:131516  
 TITLE: A thyromimetic that decreases plasma cholesterol levels without increasing cardiac activity  
 AUTHOR(S): Underwood, A. H.; Emmett, J. C.; Ellis, D.; Flynn, S. B.; Leeson, P. D.; Benson, G. M.; Novelli, R.; Pearce, M. J.; Shah, V. P.  
 CORPORATE SOURCE: Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK  
 SOURCE: Nature (London, United Kingdom) (1986), 324(6096), 425-9  
 DOCUMENT TYPE: CODEN: NATUAS; ISSN: 0028-0836  
 LANGUAGE: English  
 GI



AB A new class of thyromimetics (agents that mimic the ability of the thyroid hormone T3 [6893-02-3] to decrease plasma cholesterol levels) is described. The most potent of these SKF L94901 (I) [105121-23-2] (as determined by the induction of mitochondrial cytochrome c 3-phosphoglycerate oxidoreductase [9001-49-4] in heart and liver of hypothyroid rats) was as

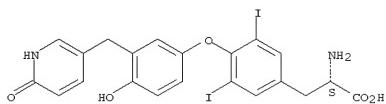
active as T3 at reducing cholesterol levels and at stimulating liver function but had .aprx.0.1% the activity of T3 on heart function. In hypothyroid rats and rats with normal thyroid function, I was also shown to be a potent hypocholesterolemic agent with only a small effect on metabolic rate (determined by whole body O consumption). The affinities of the thyromimetics for the thyroid hormone receptor of isolated heart and liver nuclei were determined, and the relationship between receptor affinity and structure is discussed.

IT 105189-53-5  
 RL: BIOL (Biological study)  
 (as thyromimetic, hypocholesterolemic activity of and heart and liver functions response to, thyroid hormone receptor binding in relation to)

RN 105189-53-5 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[{(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

L4 ANSWER 89 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1986:609386 CAPLUS  
 DOCUMENT NUMBER: 105:209386  
 ORIGINAL REFERENCE NO.: 105:337794, 33782a  
 TITLE: Thyronines and thyronine analogs  
 INVENTOR(S): Leeson, Paul David; Emmett, John Colin; Underwood, Anthony Hubert; Ellis, David  
 PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK  
 SOURCE: Eur. Pat. Appl., 59 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 188351	A2	19860723	EP 1986-300178	19860113
EP 188351	A3	19890315		
EP 188351	B1	19910313		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE AU 8652219	A	19860724	AU 1986-52219	19860113
AU 577917	B2	19881006		
AT 61581	T	19910315	AT 1986-300178	19860113
CA 1319148	C	19930615	CA 1986-499485	19860113
US 4766121	A	19880823	US 1986-818626	19860114
IL 77605	A	19900209	IL 1986-77605	19860114
DK 8600185	A	19860719	DK 1986-185	19860115
DK 164592	B	19920720		
DK 164592	C	19921207		
ZA 8600319	A	19860827	ZA 1986-319	19860116
FI 8600229	A	19860719	FI 1986-229	19860117
NO 8600159	A	19860721	NO 1986-159	19860117
HU 40401	A2	19861228	HU 1986-244	19860117
HU 194807	B	19880328		
JP 61167643	A	19860729	JP 1986-8800	19860118
JR 07103070	B	19951108		
CN 86100894	A	19860903	CN 1986-100894	19860118
CN 1010310	B	19901107		
US 4826876	A	19890502	US 1987-136240	19871221
US 4910305	A	19900320	US 1988-168780	19880316

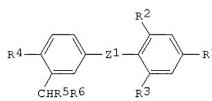
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
US 5061798 A 19911029 US 1989-428264 19891027

&lt;-- PRIORITY APPLN. INFO.: GB 1985-1372 A 19850118

&lt;-- EP 1986-300178 A 19860113

&lt;-- US 1986-818626 A1 19860114

&lt;-- US 1988-168780 A3 19880316

<-- OTHER SOURCE(S): CASREACT 105:209386; MARPAT 105:209386  
GI

AB Acids and derivs. I [R1 = 2-amino-2-carboxyethyl, CO2H, carbalkoxy, carbamoyl, carboxy-, carbalkoxy-, or carbamoylalkyl, etc.; R2 and R3 = H, halo, alkyl, NO2, NH2; Z1 = O, S, CH2; R4 = OH, alkoxy, OCH2Ph, etc.; R5 =

H, alkyl; R6 = 4-HOC6H4, 5-hydroxy-2-pyridyl, 6-oxo-3(1H)-pyridyl, 6-oxo-3(1H)-pyridazinyl] were prepared, and they exhibited anticholesteremic

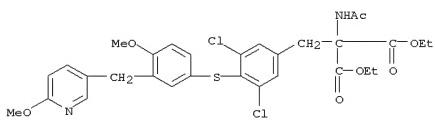
activity in rats. A 3,5-dibromotyrosine derivative was etherified by a diaryliodonium perchlorate derivative to give, after deprotection, I [R1 = CH2CH(NH2)CO2H, R2 = Br, Z1 = O, R4 = HO, R5 = H, R6 =

6-oxo-3(1H)-pyridyl].

IT 105189-88-6P RL: SFN (Synthetic preparation); PREP (Preparation) (preparation and decarboxylation-deprotection of)

RN 105189-88-6 CAPLUS

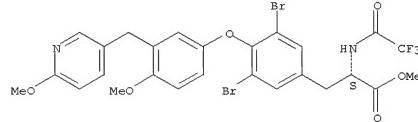
CN Propanedioic acid, (acetylaminoo)[[3,5-dichloro-4-[(4-methoxy-3-pyridinyl)methyl]phenyl]thiophenyl]methyl], diethyl ester (9CI) (CA INDEX NAME)

IT 105189-46-6P 105189-52-4P 105189-54-6P  
105189-55-7P 105189-58-0P 105189-59-1PL4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
105189-66-0P 105189-68-2P 105189-71-7P

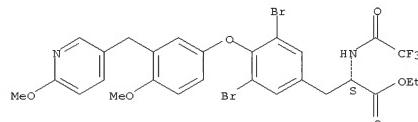
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prep., and deprotection of)

RN 105189-46-6 CAPLUS  
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

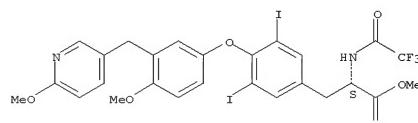
Absolute stereochemistry.

RN 105189-52-4 CAPLUS  
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105189-54-6 CAPLUS  
CN L-Tyrosine, 3,5-diido-O-[4-methoxy-3-(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

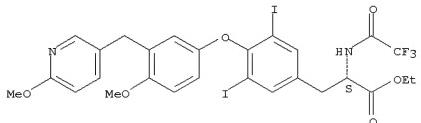
Absolute stereochemistry.



L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

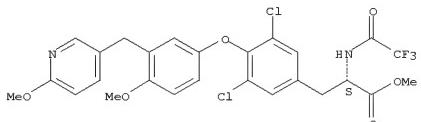
RN 105189-55-7 CAPLUS  
 CN L-Tyrosine, 3,5-diodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



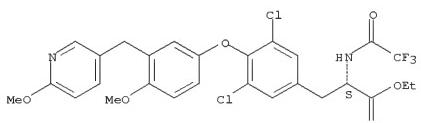
RN 105189-58-0 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

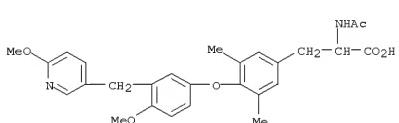


RN 105189-59-1 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

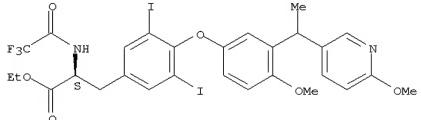


L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 105189-74-0 CAPLUS  
 CN Tyrosine, N-acetyl-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dimethyl- (CA INDEX NAME)



RN 105211-21-0 CAPLUS  
 CN L-Tyrosine, 3,5-diodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)ethyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

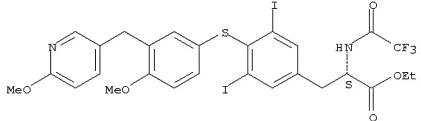
Absolute stereochemistry.



IT 105189-65-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and redn. of, and successive diazotization and Sandmeyer reactions of product from)

RN 105189-65-9 CAPLUS  
 CN L-Phenylalanine, 3,5-diodo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

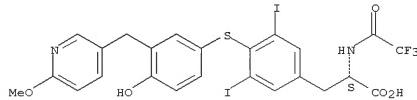


IT 105189-51-3P

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

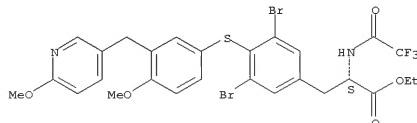
RN 105189-66-0 CAPLUS  
 CN L-Phenylalanine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-diodo-N-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



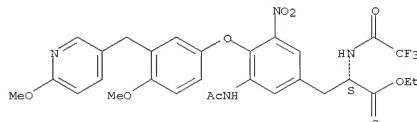
RN 105189-68-2 CAPLUS  
 CN L-Phenylalanine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-71-7 CAPLUS  
 CN L-Tyrosine, 3-(acetylamino)-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-5-nitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

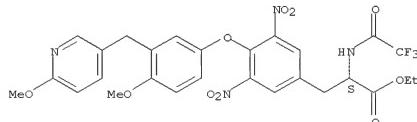
Absolute stereochemistry.



L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and redn. of, and successive diazotization and Sandmeyer reactions of product from)

RN 105189-51-3 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

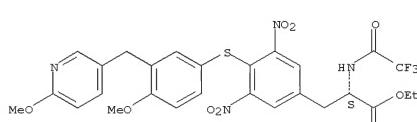
Absolute stereochemistry.



IT 105189-64-8P 105189-78-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and successive reduction, diazotization and iodination of)

RN 105189-64-8 CAPLUS  
 CN L-Phenylalanine, 4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

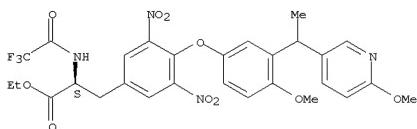
Absolute stereochemistry.



RN 105189-78-4 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

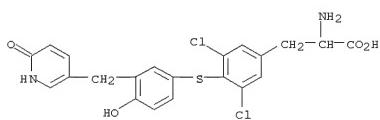


IT 105170-56-7P 105189-37-5P 105189-53-5P  
 105189-56-8P 105189-60-4P 105189-67-1P  
 105189-69-3P 105189-70-6P 105189-72-8P  
 105189-75-1P 105189-79-5P 105189-80-8P  
 105189-81-9P 105189-89-7P 105189-90-0P  
 105189-91-1P 105189-95-5P 105189-96-6P  
 105189-97-7P 105189-98-8P 105189-99-9P  
 105190-00-9P 105190-01-0P 105190-03-2P  
 105190-04-3P 105190-05-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as anticholesteremic)

RN 105170-56-7 CAPLUS

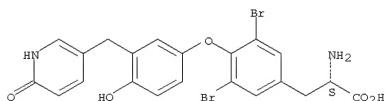
CN Phenylalanine,  
 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]thio- (CA INDEX NAME)



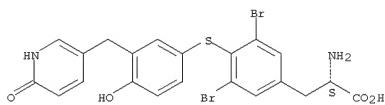
RN 105189-37-5 CAPLUS

CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



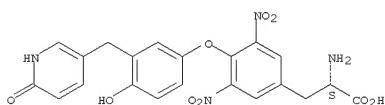
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 105189-69-3 CAPLUS

CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-dinitro-, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

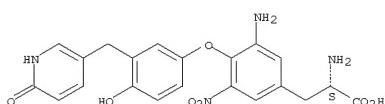


● HBr

RN 105189-70-6 CAPLUS

CN L-Tyrosine, 3-amino-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-nitro- (CA INDEX NAME)

Absolute stereochemistry.

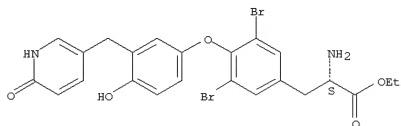


RN 105189-72-8 CAPLUS

CN Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-dimethyl- (CA INDEX NAME)

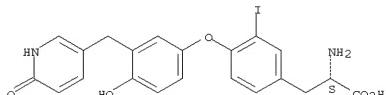
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 105189-81-9 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



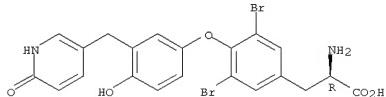
RN 105189-89-7 CAPLUS  
 CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3-iodo- (CA INDEX NAME)

Absolute stereochemistry.



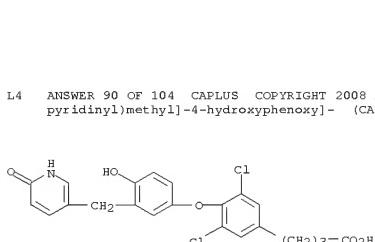
RN 105189-90-0 CAPLUS  
 CN D-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

Absolute stereochemistry.

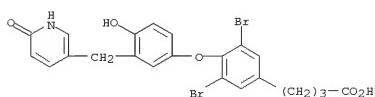


RN 105189-91-1 CAPLUS  
 CN D-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diido- (CA INDEX NAME)

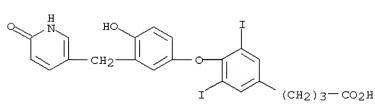
Absolute stereochemistry.



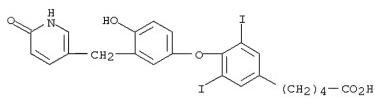
RN 105189-99-9 CAPLUS  
 CN Benzenebutanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diido- (CA INDEX NAME)



RN 105190-00-9 CAPLUS  
 CN Benzenebutanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diido- (CA INDEX NAME)

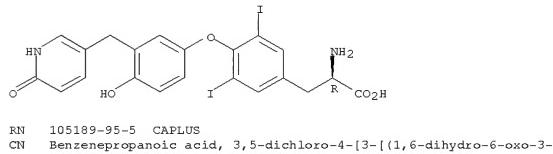


RN 105190-01-0 CAPLUS  
 CN Benzenepentanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diido- (CA INDEX NAME)

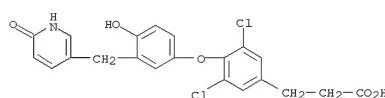


RN 105190-03-2 CAPLUS  
 CN L-Tyrosine, 3-bromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-nitro- (CA INDEX NAME)

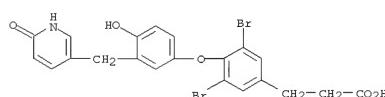
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



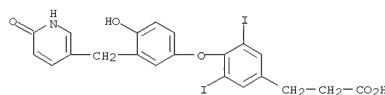
RN 105189-95-5 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 105189-96-6 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



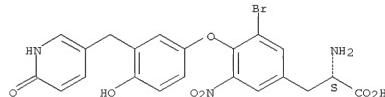
RN 105189-97-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diido- (CA INDEX NAME)



RN 105189-98-8 CAPLUS  
 CN Benzenebutanoic acid, 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diido- (CA INDEX NAME)

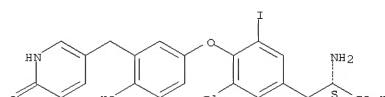
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



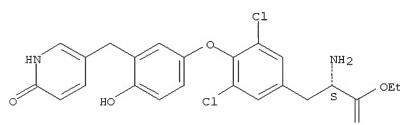
RN 105190-04-3 CAPLUS  
 CN L-Tyrosine, 3-chloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

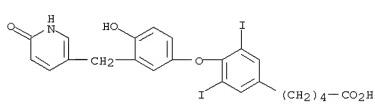


RN 105190-05-4 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

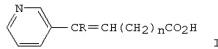


RN 105190-01-0 CAPLUS  
 CN Benzenepentanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diido- (CA INDEX NAME)



RN 105190-03-2 CAPLUS  
 CN L-Tyrosine, 3-bromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-nitro- (CA INDEX NAME)

L4 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1985:91907 CAPLUS  
 DOCUMENT NUMBER: 102:91907  
 ORIGINAL REFERENCE NO.: 102:14375a,14378a  
 TITLE: Thromboxane synthetase inhibitors (TXSI). Design, synthesis, and evaluation of a novel series of  $\omega$ -pyridylalkenoic acids  
 AUTHOR(S): Kato, Kaneiyoshi; Ohkawa, Shigenori; Terao, Shinji; Terashita, Zenichi; Nishikawa, Kohei  
 CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan  
 SOURCE: Journal of Medicinal Chemistry (1985), 28(3), 287-94  
 DOCUMENT TYPE: CODEN: JMCMAR; ISSN: 0022-2623  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 102:91907  
 GI

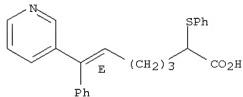


AB A series of 3-pyridylalkenoic acids. I ( $R = H, Me$ , (un)substituted Ph, thiienyl, naphthyl, etc.;  $n = 2-5$ ), and selected analogs and esters were prepared, by Wittig reaction of the appropriate pyridylketone and phosphonium bromide, as potential inhibitors of thromboxane A<sub>2</sub> synthetase [60332-04-4]. Most I were effective enzymes inhibitors in vitro and ex vivo; (E)-7-(3-pyridyl)-6-heptenoic acid [89667-40-3] was one of the most

potent inhibitors in vitro and when administered orally to rats. New models for I-enzyme and substrate-enzyme interactions are presented along with inhibitor structure-activity relations.

IT 92571-82-9P 92572-29-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and of thromboxane A<sub>2</sub> synthetase inhibition by)  
 RN 92571-82-9 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-29-7 CAPLUS

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1984:591697 CAPLUS  
 DOCUMENT NUMBER: 101:191697  
 ORIGINAL REFERENCE NO.: 101:29043a,29046a  
 TITLE: (Pyridylvinyl)alkanoic acid derivatives  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59067266	A	19840416	JP 1982-176918	19821007
<--				
JP 02033704	B	19900730		
US 4518602	A	19850521	US 1983-537862	19830930
<--				
CA 1246077	A1	19881206	CA 1983-438497	19831006
<--				
EP 111997	A2	19840627	EP 1983-306078	19831007
<--				
EP 111997	A3	19851227		
EP 111997	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 62478	T	19910415	AT 1983-306078	19831007
<--				
PRIORITY APPLN. INFO.:		JP 1982-176918	A	19821007
<--				
		JP 1982-211753	A	19821201
<--				
		EP 1983-306078	A	19831007
<--				

OTHER SOURCE(S): MARPAT 101:191697  
 AB Ninety RR1:CH(CH<sub>2</sub>)<sub>n</sub>R2R3CO<sub>2</sub>R4 [I; R = pyridyl; R<sub>1</sub> = Ph, furyl, thiienyl, etc.; one of R<sub>2</sub> and R<sub>1</sub> = H, alkyl and the other = aryloxy, arylsulfinyl, arylsulfonyl, etc.; R2R3 = (CH<sub>2</sub>)<sub>5</sub>; R4 = H, Me, Et, PhCH<sub>2</sub>; n = 2-6] were prepared. I were effective TXA<sub>2</sub> synthetase inhibitors at 3 + 10-8 M. Thus, 1.6 M BuLi in hexane was added to a solution of 6 mmol (Me<sub>2</sub>CH)<sub>2</sub>NH

in THF at -70° under Ar, followed by 5.5 mmol Me cyclohexanecarboxylate in THF and 5 mmol (E)-6-phenyl-6-(3-pyridyl)-1-iodo-5-hexene in (Me<sub>2</sub>N)<sub>3</sub>P to give 1.2 g (E)-I [R = 3-pyridyl, R<sub>1</sub> = Ph, R<sub>2</sub>R<sub>3</sub> = (CH<sub>2</sub>)<sub>5</sub>, R<sub>4</sub> = Me, n = 4].

IT 92571-66-9P 92571-67-0P 92571-68-1P 92571-77-2P 92571-80-7P 92571-82-9P 92571-83-0P 92571-84-1P

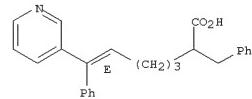
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and thromboxane synthetase inhibitory activity of)

RN 92571-66-9 CAPLUS  
 CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

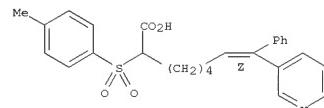
Double bond geometry as shown.

L4 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Benzenepropanoic acid,  $\alpha$ -(5-phenyl-5-(3-pyridinyl)-4-pentenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

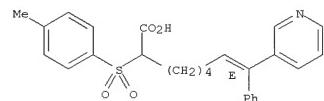


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



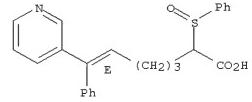
RN 92571-67-0 CAPLUS  
 CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



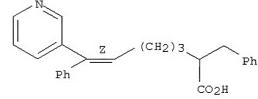
RN 92571-68-1 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-77-2 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -(5-phenyl-5-(3-pyridinyl)-4-pentenyl)-, (E)- (9CI) (CA INDEX NAME)

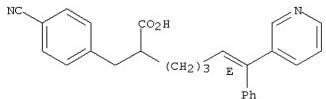
Double bond geometry as shown.



RN 92571-80-7 CAPLUS

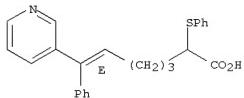
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Benzenepropanoic acid, 4-cyano- $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



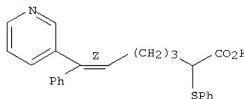
RN 92571-82-9 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-83-0 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

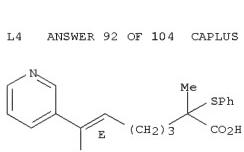


RN 92571-84-1 CAPLUS  
 CN 6-Heptenoic acid, 2-methyl-7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

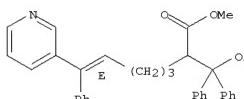


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



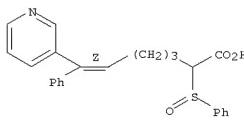
RN 92571-33-0 CAPLUS  
 CN Benzenepropanoic acid,  $\beta$ -hydroxy- $\beta$ -phenyl- $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



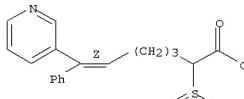
RN 92571-34-1 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

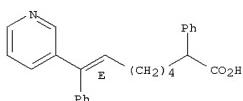


RN 92571-36-3 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

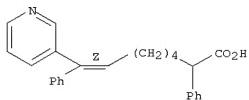


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



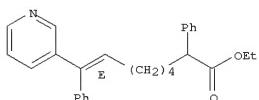
RN 92571-95-4 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, (Z)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



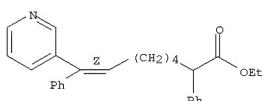
RN 92572-00-4 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

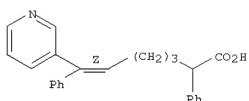


RN 92572-01-5 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

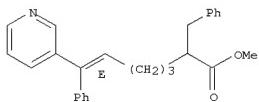


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



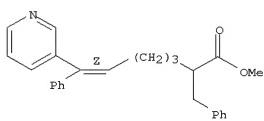
RN 92572-13-9 CAPLUS  
CN Benzenopropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



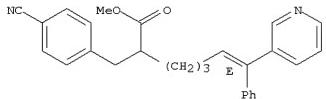
RN 92572-14-0 CAPLUS  
CN Benzenopropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-23-1 CAPLUS  
CN Benzenopropanoic acid, 4-cyano- $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



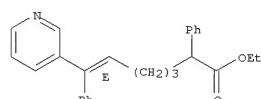
RN 92572-29-7 CAPLUS  
CN Benzenopropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-,

Page 183

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

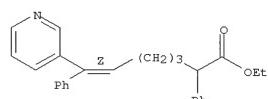
RN 92572-06-0 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



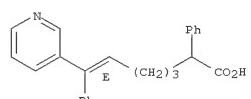
RN 92572-07-1 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-08-2 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

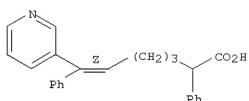
Double bond geometry as shown.



RN 92572-09-3 CAPLUS  
CN Benzenoacetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (Z)- (9CI) (CA INDEX NAME)

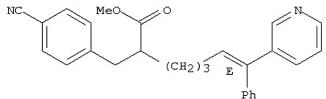
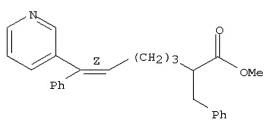
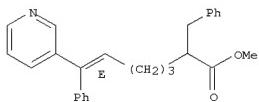
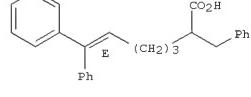
Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

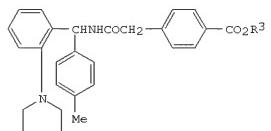
Double bond geometry as shown.



L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1984:209631 CAPLUS  
 DOCUMENT NUMBER: 100:209631  
 ORIGINAL REFERENCE NO.: 100:31827a,31830a  
 TITLE: N-Benzylamides, their salts, and pharmaceuticals containing these compounds  
 INVENTOR(S): Hurnaus, Rudolf; Grell, Wolfgang; Griss, Gerhart; Sauter, Robert; Rupprecht, Eckhard; Kaeling, Joachim;  
 PATENT ASSIGNEE(S): Thomas, Dr. Karl, G.m.b.H., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 78 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3225155	A1	19840112	DE 1982-3225155	19820706
<-- EP 99017	A2	19840125	EP 1983-106233	19830627
<-- EP 99017	A3	19840222		
EP 99017	B1	19880302		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE SU 1170969	A3	19850730	SU 1983-3608901	19830627
<-- AT 32717	T	19880315	AT 1983-106233	19830627
<-- FI 802374	A	19840107	FI 1983-2374	19830629
<-- FI 78477	B	19890428		
FI 78477	C	19890810		
NO 8302430	A	19840109	NO 1983-2430	19830704
<-- NO 159590	B	19881010		
NO 159590	C	19890118		
DD 210907	A5	19840627	DD 1983-252755	19830704
<-- DK 8303108	A	19840107	DK 1983-3108	19830705
<-- DK 159850	B	19901217		
DK 159850	C	19910506		
AU 8316576	A	19840112	AU 1983-16576	19830705
<-- AU 561274	B2	19870507		
CS 240970	B2	19860313	CS 1983-5089	19830705
<-- CA 1214773	A1	19861202	CA 1983-431796	19830705
<-- PL 143992	B1	19880430	PL 1983-242873	19830705
<-- JP 59021657	A	19840203	JP 1983-123101	19830706
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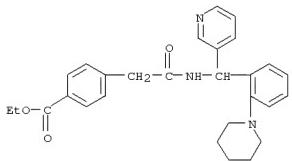
L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1991:1218 CAPLUS  
 DOCUMENT NUMBER: 100:1218  
 ORIGINAL REFERENCE NO.: 100:2124220  
 TITLE: PRIORITY APPLN. INFO.:  
 INVENTOR(S): IL 69172 A 19840215 GB 1983-18250 19830706  
 SOURCE: ES 530715 A5 19850614 ES 1984-530715 19840316  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:  
 PRIORITY APPLN. INFO.:  
 INVENTOR(S): US 4735959 A 19880405 US 1985-734252 19850514  
 SOURCE: DE 1981-3100575 A 19810110  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:  
 PRIORITY APPLN. INFO.:  
 INVENTOR(S): US 1981-335565 A2 19811229  
 SOURCE: JP 1982-117311 A 19820705  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:  
 PRIORITY APPLN. INFO.:  
 INVENTOR(S): US 1983-510071 A1 19830630  
 SOURCE: JP 1982-117312 A 19820705  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:  
 PRIORITY APPLN. INFO.:  
 INVENTOR(S): DE 1982-3225155 A 19820706  
 SOURCE: DE 1982-3225188 A 19820706  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:  
 PRIORITY APPLN. INFO.:  
 INVENTOR(S): EP 1983-106233 A 19830627  
 SOURCE: US 1983-510071 A1 19830630  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:  
 PRIORITY APPLN. INFO.:  
 INVENTOR(S): CASREACT 100:209631  
 SOURCE: GI



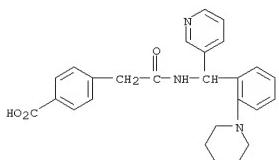
I

AB RCHR1NHCOCH2R2 (R = text-aminophenyl; R1 = substituted alkyl, aryl, heteroaryl; R2 = substituted Ph) were prepared. Thus  $\alpha$ -p-tolyl-2-piperidinobenzylamine was treated with 4-EtO2CC6H4CH2CO2H to give 65% I (R3 = Et) which was saponified to give 59.3% I (R3 = H). At 10 mg/kg orally in rats I (R3 = H) gave 44% decrease in blood sugar level in 2 h.  
 IT 89572-78-1P RL: RCT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and saponification of)  
 RN 89572-78-1 CAPLUS

L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Benzoic acid, 4-[2-oxo-2-[[2-(1-piperidinyl)phenyl]-3-pyridinylmethyl]amino]ethyl-, ethyl ester (CA INDEX NAME)



IT 89573-14-8P RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 89573-14-8 CAPLUS  
 CN Benzoic acid, 4-[2-oxo-2-[[2-(1-piperidinyl)phenyl]-3-pyridinylmethyl]amino]ethyl- (CA INDEX NAME)



L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1984:183110 CAPLUS  
 DOCUMENT NUMBER: 100:183110  
 ORIGINAL REFERENCE NO.: 100:27733a,27736a  
 TITLE: Photographic products and processes employing 6-heterocyclazo-3-pyridinol nondiffusible cyan dye-releasing compounds and precursors thereof  
 INVENTOR(S): Reczek, James A.; Elwood, James K.  
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
 SOURCE: U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 380,844, abandoned  
 DOCUMENT TYPE: USXXAM  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4419435	A	19831206	US 1983-458501	19830117
<-- CA 1202961	A1	19860408	CA 1982-410800	19820903
<-- JP 58209742	A	19831206	JP 1983-88393	19830521
<-- US 4495100	A	19850122	US 1983-504693	19830615
<-- US 4495098	A	19850122	US 1983-504694	19830615
<-- US 4476207	A	19841009	US 1984-578720	19840209
<-- PRIORITY APPLN. INFO.:			US 1982-380844	A2 19820521
<--			US 1983-458501	A3 19830117
<--			US 1983-504694	A3 19830615

GI For diagram(s), see printed CA Issue.  
 AB Photog. elements, diffusion-transfer assemblages, coordination complexes, and processes are described which employ a nondiffusible compound of the formula I (R = OH, a salt or hydrolyzable precursor thereof, or a ballasted carrier bound through an O; R1 = a ballasted carrier moiety capable of releasing the diffusible cyan dye moiety as a function of the development of a Ag halide emulsion layer under alkaline conditions; Z = the atoms necessary to complete a 5- or 6-membered aromatic heterocyclic fused ring; n = 0, 1, or 2 and when n = 0, then R is a ballasted carrier) capable of releasing  $\geq 1$  diffusible cyan dye moiety, premetallized or metallizable, to diffuse to an image-receiving layer to form a metal-complexed dye-transfer image having better red hue, min. unwanted absorption outside the red region of the spectrum, narrower bandwidth, rapid diffusion rate, and shorter access time, as well as good stability to heat, light, and chemical reagents. Thus, in an alkaline solution of the dye II, which was capable of being released from III, was immersed a Ni(II)-containing receiving element containing a mordant. The receiving element was removed

L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
from the dye soln., washed, placed in a pH 7.0 buffer, dried, and the  
λ<sub>max</sub>, half bandwidth, diffusion time, and percent fade (21 day  
irradn. with 50,000 lx at 38° and low humidity) were 660 nm, 95 nm,  
47 s, and 8%, resp.

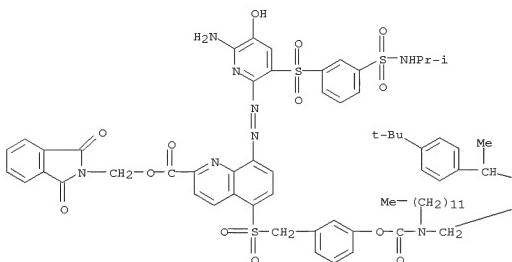
IT 88606-47-7

RL: USES (Uses)  
(photog. cyan dye-releasing redox compound)

RN 88606-47-7 CAPLUS

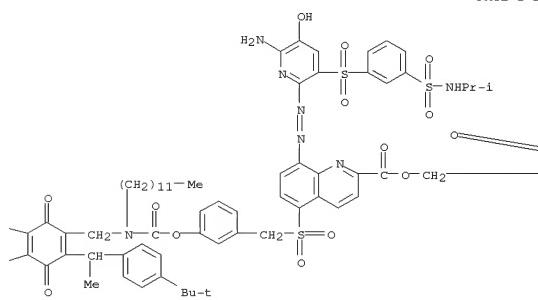
CN 2-Quinoliniccarboxylic acid, 5,5'-{[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diy]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenemethylenesulfonyl]bis[8-[6-amino-5-hydroxy-3-[(3-[(1-methylethyl)amino]sulfonyl)phenyl]sulfonyl]2-pyridinyljazo]-bis((1,3-dihydro-1,3-dioxo-2H-isodiol-2-yl)methyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

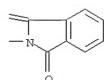


L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



PAGE 1-C



L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1984:174676 CAPLUS  
DOCUMENT NUMBER: 100:174676  
ORIGINAL REFERENCE NO.: 100:26565a,26568a  
TITLE: Substituted vinylcarboxylic acid derivatives  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
CODEN: JKXKAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58219162	A	19831220	JP 1982-102488	19820614
<--				
JP 63047707	B	19880926		
EP 98690	A2	19840118	EP 1983-303214	19830603
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EP 98690	A3	19841114		
EP 98690	B1	19870909		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 29491	T	19870915	AT 1983-303214	19830603
<--				
ZA 8304094	A	19840229	ZA 1983-4094	19830606
<--				
AU 8315483	A	19841220	AU 1983-15483	19830608
<--				
AU 553529	B2	19860717		
US 4727078	A	19880223	US 1983-502603	19830609
<--				
DK 8302657	A	19831215	DK 1983-2657	19830610
<--				
DK 158306	B	19900430		
DK 158306	C	19901008		
SU 1266470	A3	19861023	SU 1983-3605554	19830610
<--				
IL 68957	A	19860831	IL 1983-68957	19830612
<--				
FI 8302113	A	19831215	FI 1983-2113	19830613
<--				
FI 79099	B	19890731		
FI 79099	C	19891110		
NO 8302137	A	19831215	NO 1983-2137	19830613
<--				
NO 162155	B	19890807		
NO 162155	C	19891115		
HU 30736	A2	19840328	HU 1983-2097	19830613
<--				
HU 188911	B	19860528		
ES 523199	A1	19850216	ES 1983-523199	19830613
<--				
CA 1196642	A1	19851112	CA 1983-430228	19830613
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US 4760068	A	19880726	US 1986-871386	19860606
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PRIORITY APPLN. INFO.:			JP 1982-102488	A 19820614
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L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

JP 1982-211753 A 19821201

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EP 1983-303214 A 19830603

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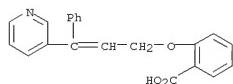
US 1983-502603 A1 19830609

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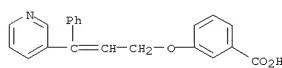
OTHER SOURCE(S): CASREACT 100:174676  
AB Seventy-six title derivs. RR1C=CH2-X-(C2)ncO2R2 [I; R = pyridyl; R1 = (un)substituted Ph, thiényl, furyl, etc.; X = S, CH<sub>2</sub>, OC6H5-m-(OR3)m (R3 = H, Ac; m = 0, 1); R2 = H, alkyl; n = 0-6] were prepared by, e.g., reaction  
of RR1CO (II) with Ph3P+CH2CH2CH2(CH2)nCO2R2,X- III (X = halo). Thromboxane A2 synthetase inhibitory test data on I are given. Thus, 3.7 g II (R = 3-pyridyl, R1 = Ph) in THF was added to a mixture of 1 g NaH and 9.5 g III (R2 = H, n = 1, X = Br) in Me2SO at room temperature under A to give, after 30 min, 4.5 g (E)- and (Z)-I (R = 3-pyridyl, R1 = Ph, R2 = H, n = 1, X = CH<sub>2</sub>).  
IT 89667-95-0P 89667-96-9P 89667-97-0P  
89667-98-1P 89667-99-2P 89668-00-8P  
89668-01-9P 89668-03-1P 89668-04-2P  
89668-05-3P 89668-06-4P 89668-07-5P  
89668-08-6P 89668-09-7P 89668-10-0P  
89668-11-1P 89668-12-2P 89668-13-3P  
89668-32-6P 89668-33-7P 89668-34-8P  
89668-35-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 89667-95-8 CAPLUS  
CN Benzoic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)

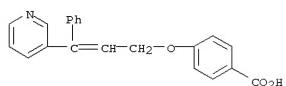


RN 89667-96-9 CAPLUS  
CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)

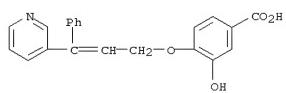


RN 89667-97-0 CAPLUS  
CN Benzoic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)

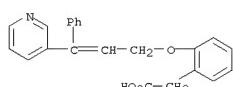
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



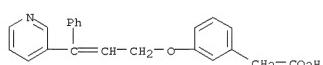
RN 89667-98-1 CAPLUS  
CN Benzoic acid, 3-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



RN 89667-99-2 CAPLUS  
CN Benzenoacetic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



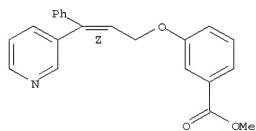
RN 89668-00-8 CAPLUS  
CN Benzenoacetic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



RN 89668-01-9 CAPLUS  
CN Benzenoacetic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)

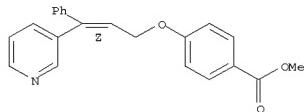
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

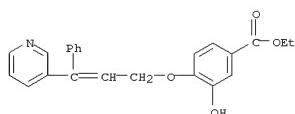


RN 89668-07-5 CAPLUS  
CN Benzoic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

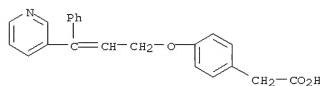


RN 89668-08-6 CAPLUS  
CN Benzoic acid, 3-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

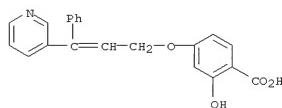


RN 89668-09-7 CAPLUS  
CN Benzenoacetic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

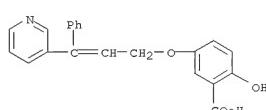
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



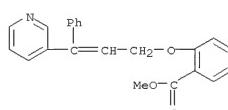
RN 89668-03-1 CAPLUS  
CN Benzoic acid, 2-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



RN 89668-04-2 CAPLUS  
CN Benzoic acid, 2-hydroxy-5-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)

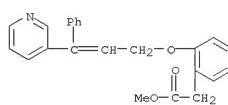


RN 89668-05-3 CAPLUS  
CN Benzoic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxyl]-, methyl ester (9CI) (CA INDEX NAME)

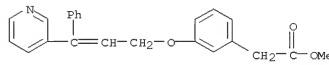


RN 89668-06-4 CAPLUS

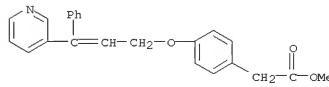
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



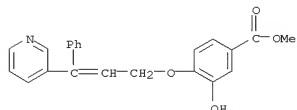
RN 89668-10-0 CAPLUS  
CN Benzenoacetic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-11-1 CAPLUS  
CN Benzenoacetic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

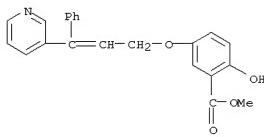


RN 89668-12-2 CAPLUS  
CN Benzoic acid, 3-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

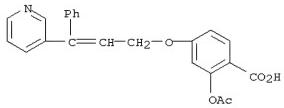


RN 89668-13-3 CAPLUS  
CN Benzoic acid, 2-hydroxy-5-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

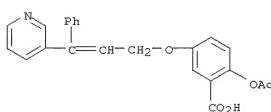
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 89668-32-6 CAPLUS  
CN Benzoic acid, 2-(acetoxy)-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-  
(9CI) (CA INDEX NAME)



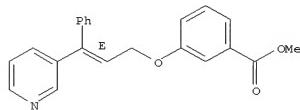
RN 89668-33-7 CAPLUS  
CN Benzoic acid, 2-(acetoxy)-5-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-  
(9CI) (CA INDEX NAME)



RN 89668-34-8 CAPLUS  
CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester,  
(E)- (9CI) (CA INDEX NAME)

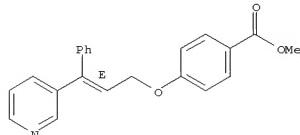
Double bond geometry as shown.

L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 89668-35-9 CAPLUS  
CN Benzoic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester,  
(E)- (9CI) (CA INDEX NAME)

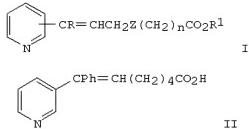
Double bond geometry as shown.



L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 1984:156509 CAPLUS  
DOCUMENT NUMBER: 100:156509  
ORIGINAL REFERENCE NO.: 100:23843a,23846a  
TITLE: Vinyl carboxylic acid derivatives and their use  
INVENTOR(S): Terao, Shinji; Nishikawa, Kohhei  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: Eur. Pat. Appl., 68 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 98690	A2	19840118	EP 1983-303214	19830603
<-- EP 98690	A3	19841114		
<-- EP 98690	B1	19870909		
JP 58219162	A	19831220	JP 1982-102488	19820614
JP 98690	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE			
JP 58219162	AT 58219162			
<-- JP 63047707	B	19880926		
JP 59101465	A	19840612	JP 1982-211753	19821201
<-- JP 02044467	B	19901004		
AT 29491	T	19870915	AT 1983-303214	19830603
<-- PRIORITY APPLN. INFO.:			JP 1982-102488	A 19820614
<--			JP 1982-211753	A 19821201
<--			EP 1983-303214	A 19830603
<-- OTHER SOURCE(S):	CASREACT 100:156509; MARPAT 100:156509			
GI				

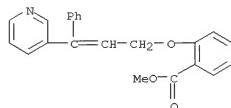


AB Pyridine-substituted title compds. I [R = (un)substituted Ph, thienyl, furyl, naphthyl, benzothienyl, pyridyl; R1 = H, alkyl; Z = CH<sub>2</sub>S, acetoxy- or hydroxy-substituted OC<sub>6</sub>H<sub>4</sub>, n = 0-6] were prepared. Thus, 3-benzoylpypyridine was subjected to a Wittig reaction with Ph<sub>3</sub>P+(CH<sub>2</sub>)<sub>5</sub>CO<sub>2</sub>H Br- to give pyridinylheptenoic acid (E)- and (Z)-II (1:1). The mixture was repeatedly isomerized by treatment with aqueous HBr to give 61.7% (E)-II. In

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 1984:156509 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
DOCUMENT NUMBER: 100:156509  
ORIGINAL REFERENCE NO.: 100:23843a,23846a  
TITLE: Vinyl carboxylic acid derivatives and their use  
INVENTOR(S): Terao, Shinji; Nishikawa, Kohhei  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: Eur. Pat. Appl., 68 pp.  
CODEN: EPXXDW

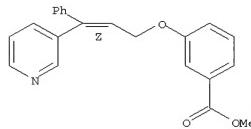
IT 89668-05-3P 89668-06-4P 89668-07-5P  
89668-08-6P 89668-09-7P 89668-10-0P  
89668-11-1P 89668-12-2P 89668-13-3P  
89668-34-8P 89668-35-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and saponification of)

RN 89668-05-3 CAPLUS  
CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester  
(9CI) (CA INDEX NAME)



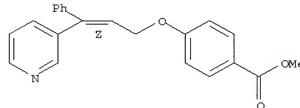
RN 89668-06-4 CAPLUS  
CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester,  
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



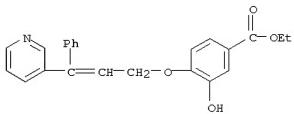
RN 89668-07-5 CAPLUS  
CN Benzoic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester,  
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

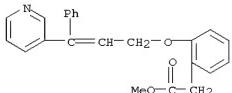


L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

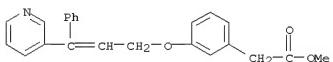
RN 89668-08-6 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



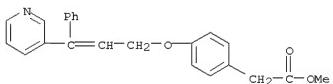
RN 89668-09-7 CAPLUS  
 CN Benzeacetic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-10-0 CAPLUS  
 CN Benzeacetic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

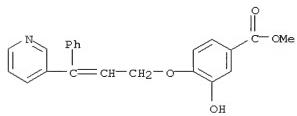


RN 89668-11-1 CAPLUS  
 CN Benzeacetic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

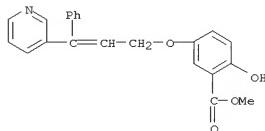


L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 89668-12-2 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

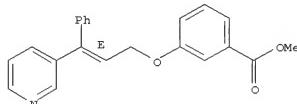


RN 89668-13-3 CAPLUS  
 CN Benzoic acid, 2-hydroxy-5-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-34-8 CAPLUS  
 CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



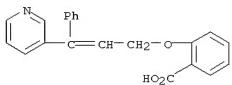
RN 89668-35-9 CAPLUS  
 CN Benzoic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

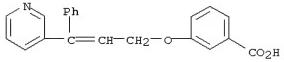
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 89667-95-8P 89667-96-9P 89667-97-0P  
 89667-98-1P 89667-99-2P 89668-00-8P  
 89668-01-9P 89668-03-1P 89668-04-2P  
 89668-32-6P 89668-33-7P  
 RL: SFN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

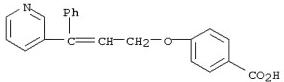
RN 89667-95-8 CAPLUS  
 CN Benzoic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



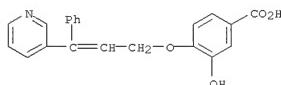
RN 89667-96-9 CAPLUS  
 CN Benzoic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



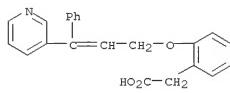
RN 89667-97-0 CAPLUS  
 CN Benzoic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI) (CA INDEX NAME)



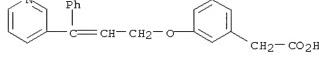
RN 89667-98-1 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (9CI) (CA INDEX NAME)

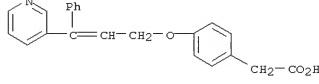
RN 89667-99-2 CAPLUS  
 CN Benzeacetic acid, 2-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI)  
 (CA INDEX NAME)



RN 89668-00-8 CAPLUS  
 CN Benzeacetic acid, 3-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI)  
 (CA INDEX NAME)

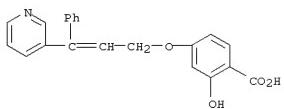


RN 89668-01-9 CAPLUS  
 CN Benzeacetic acid, 4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]- (9CI)  
 (CA INDEX NAME)

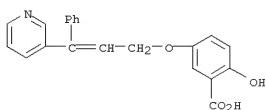


RN 89668-03-1 CAPLUS  
 CN Benzoic acid, 2-hydroxy-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-  
 (9CI) (CA INDEX NAME)

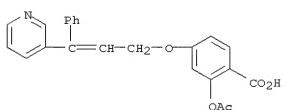
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



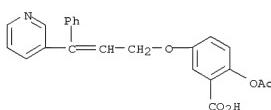
RN 89668-04-2 CAPLUS  
 CN Benzoic acid, 2-hydroxy-5-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-(9CI) (CA INDEX NAME)



RN 89668-32-6 CAPLUS  
 CN Benzoic acid, 2-(acetoxy)-4-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-(9CI) (CA INDEX NAME)



RN 89668-33-7 CAPLUS  
 CN Benzoic acid, 2-(acetoxy)-5-[(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy]-(9CI) (CA INDEX NAME)



L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:94463 CAPLUS

DOCUMENT NUMBER: 100:94463

ORIGINAL REFERENCE NO.: 100:14193a,14196a

TITLE: Photographic recording material employing a nondiffusible cyan dye-releasing compound or its precursor

INVENTOR(S): Reczek, James A.; Elwood, James K.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

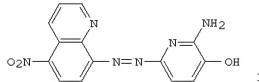
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 95127	A2	19831130	EP 1983-104850	19830517
EP 95127	A3	19840425		
EP 95127	B1	19860806		
R: DE, FR, GB, NL CA 1202961	A1	19860408	CA 1982-410800	19820903
JP 58209742	A	19831206	JP 1983-88393	19830521
PRIORITY APPLN. INFO.:		US 1982-380844		A 19820521
OTHER SOURCE(S):	MARPAT 100:94463			
GI				



AB Photog. nondiffusible compound is described capable of releasing  $\geq 1$  cyan dye moiety comprising a 6-heterocyclylazo-3-pyridinol compound. The dye-releasing compound can be premetalized or a metal complex of the released dye can be formed in an image receiving layer. Thus, a receiving element comprising a poly(ethylene terephthalate) support, a metal-complexing layer containing  $\text{NiSO}_4 \cdot 6\text{H}_2\text{O}$  0.58, gelatin 1.08 g/m<sup>2</sup>, and a poly(4-vinylpyridine) 2.15-gelatin 2.15 g/m<sup>2</sup> mordant layer was immersed in an alkaline solution of I, removed, washed with  $\text{H}_2\text{O}$ , placed in pH = 7 buffer and dried. The  $\lambda_{\text{max}}$  of the obtained Ni-complexed dyes was 679 nm and half bandwidth 95 nm (transmission spectrum was normalized to a d. of 1). The element at pH = 7 was subjected to 21 days of irradiation by a 6000 W Xe lamp through a UV filter at 38° showing fade of 5% (loss of d. at

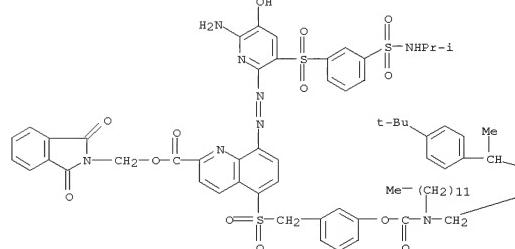
L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 88606-47-7P RL: PREP (Preparation)

RN 88606-47-7 CAPLUS (preparation of, as photog. cyan dye releasing compound)

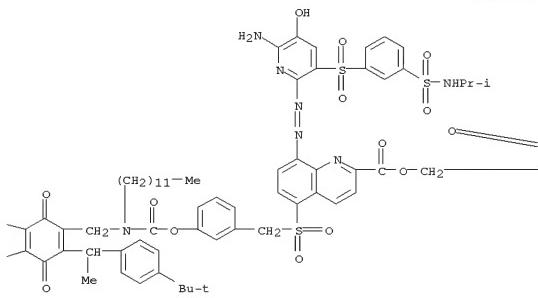
CN 2-Quinolinescarboxylic acid, 5,5'-{[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diy]bis[methylene(dodecylimino)carbonyloxy]-3,1-phenylene}methylene)sulfonyl]bis[9-[6-amino-5-hydroxy-3-[(1-methylethyl)amino]sulfonyl]phenyl]sulfonyl]-2-pyridinylazo]-, bis[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl] ester (9CI) (CA INDEX NAME)

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L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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PAGE 1-C

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:63281 CAPLUS  
 DOCUMENT NUMBER: 98163281  
 ORIGINAL REFERENCE NO.: 9819553a,9556a  
 TITLE: Photographic products and processes employing nondiffusible 6-(2-thienylazo)-3-pyridinol cyan dye-releasing compounds and their precursors

INVENTOR(S): Krutak, James J.; Maleski, Robert J.; Moore, William H.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: U.S., 25 pp.

CODEN: USXXAM

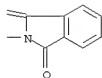
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

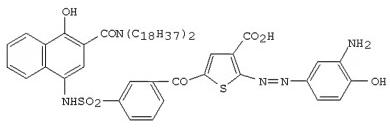
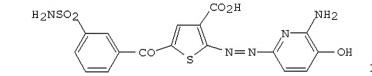
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4346161	A	19820824	US 1981-258845	19810429
<-- US 4385104	A	19830524	US 1982-348381	19820212
<-- US 4396546	A	19830802	US 1982-348382	19820212
<-- CA 1171408	A1	19840724	CA 1982-398168	19820311
<-- EP 63808	A1	19821103	EP 1982-103511	19820426
<-- EP 63808 R: DE, FR, GB	B1	19850918		
<-- JP 57185039	A	19821115	JP 1982-71638	19820430
<-- JP 63030617	B	19880620	US 1981-258845	A3 19810429
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): MARPAT 98:63281				GI



L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Diffusion-transfer photog. elements are described which use a nondiffusible compound having a 6-(2-thienylazo)-3-pyridinol cyan dye moiety or precursor thereof releasable under alkaline conditions. Thus, the azo dye moiety (I) released from a redox-dye releasing compound, such as II, was adsorbed on a receiving element consisting of a poly(ethylene terephthalate) film support having thereon a layer containing Ni sulfate hexahydrate 0.58 and gelatin 1.08 g/m<sup>2</sup> and a layer containing poly(4-vinylpyridine) 2.15 and gelatin 2.15 g/m<sup>2</sup>. The resulting Ni-complexed dye showed a *A*<sub>max</sub> at 644 nm, a half band width at 119 nm and when exposed in a Xe Arc fading apparatus the original d., final d. and % fade were 1.74, 1.72, and 3.0%, resp.

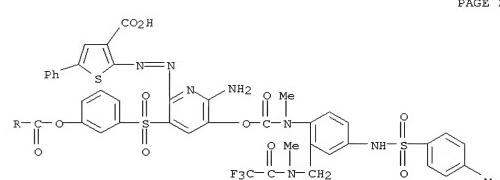
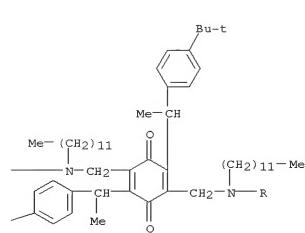
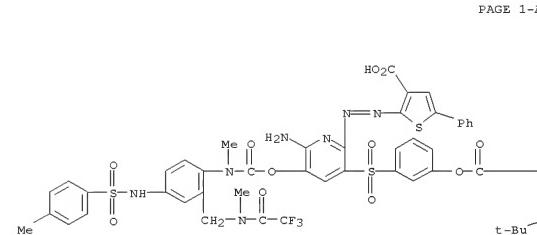
IT 84361-98-8

RL: USES (Uses)

(photog. pos. redox dye-releasing compound)

RN 84361-98-8 CAPLUS

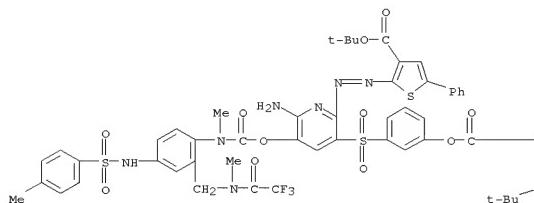
CN 3-Thiophene-carboxylic acid, 2,2'-[{2,5-bis[1-(4-(1,1-dimethyl-ethyl)phenyl]ethyl]-3,6-dioxa-1,4-cyclohexadiene-1,4-diydene}bis[methylene(dodecylimino)carbonyloxy-3,1-phenylene-sulfonyl[6-amino-5-[[methyl[4-[(4-methyl-phenyl)sulfonyl]amino]-2-[[methyl(trifluoroacetyl)amino]methyl]phenyl]amino]carbonyl]oxy]-3,2-pyridinediyl]azol]bis[5-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

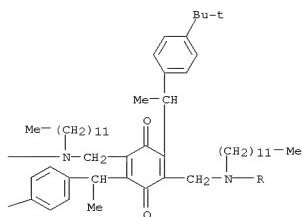
IT 84362-24-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)  
 RN 84362-24-3 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 2,2'-[{[2,5-bis[1-(4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl(6-amino-5-[[methyl[4-[(4-methylphenyl)sulfonyl]amino]-2-[(methyl(trifluoroacetyl)amino)methyl]phenyl]amino]carbonyloxy)-3,2-pyridinediy]azo]}bis[5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

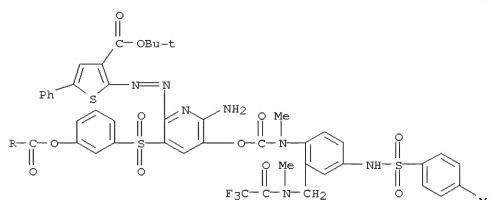


L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

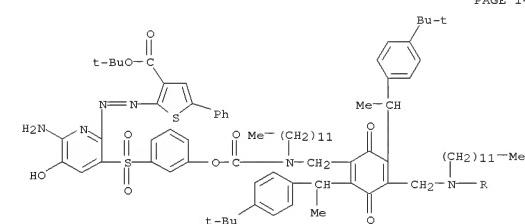


PAGE 2-A



IT 84362-23-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, with methyl[(methyltrifluoracetamidomethyl)(t-octanesulfonamido)phenyl]carbamoyl chloride)  
 RN 84362-23-2 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 2,2'-[{[2,5-bis[1-(4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl(6-amino-5-hydroxy-3,2-pyridinediy)azo]}bis[5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

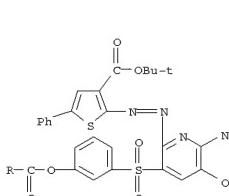
L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



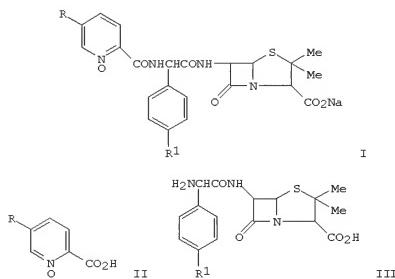
L4 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1982:527392 CAPLUS  
 DOCUMENT NUMBER: 97:127392  
 ORIGINAL REFERENCE NO.: 9721137a, 21140a  
 TITLE: Penicillin derivatives  
 PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57018686	A	19820130	JP 1980-92296	19800708
<-- PRIORITY APPLN. INFO.: JP 1980-92296 A 19800708				
<-- GI				



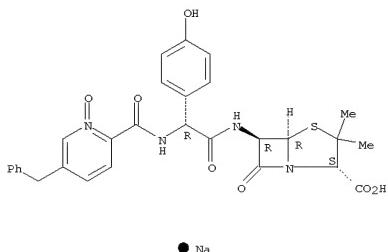
PAGE 2-A



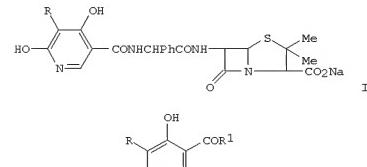
AB Penicillin derivs. D-I (R, R1 = Bu, H; Bu, HO; C5H11, H; C5H11, HO; CH2ClCHClCH2CH2, H; CH2ClCHClCH2CH2, HO; CH2BrCHBrCH2CH2, HO; PhCH2, HO) were prepared by, e.g., reaction of II with III. Min. inhibition concns. of D-I were given against 18 bacteria strains. Thus, stirring 390 mg II (R = Bu) with 309 mg N-hydroxysuccinimide and 433 mg DCC in DMF 1 h at 0-10° and 8 h at room temperature gave an active ester solution, which was added to a mixture of 967 mg ampicillin-3H2O and 0.426 mL Et3N in aqueous DMF with ice cooling to give, after 3 h, 350 mg D-I (R = Bu, R1 = H). IT 82653-99-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study; unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); (prepn. and bactericidal activity of)  
 RN 82653-99-4 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[(4-hydroxyphenyl)[{[1-oxido-5-(phenylmethyl)-2-pyridinyl]carbonyl}amino]acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2a,5a,6β(S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1981:569063 CAPLUS  
 DOCUMENT NUMBER: 95:169063  
 ORIGINAL REFERENCE NO.: 95:28261a,28264a  
 TITLE: New broad spectrum semisynthetic penicillins  
 AUTHOR(S): Koda, Akio; Murakami, Yukiyasu; Sozu, Isao; Nakano, Kohzi; Kashiwagi, Teruya; Isaka, Ichiro; Murakami, Masuo  
 CORPORATE SOURCE: Yamanouchi Pharma K. K., Tokyo, Japan  
 SOURCE: Yamanouchi Seiyaku Kenkyu Hokoku (1980), 4, 11-15  
 DOCUMENT TYPE: CODEN: YSKHDO; ISSN: 0287-2935  
 LANGUAGE: Journal English  
 GI

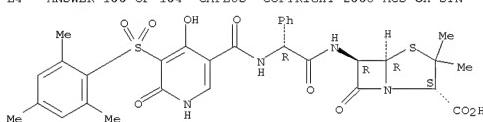


AB Penicillines I (R = SMe, SEt, SPb, SCH2Ph, SOEt, Cl) were prepared by treating ampicillin with the nicotinoyl azides II (R1 = N3), obtained by treating II (R1 = OMe) with NZH4 and treating II (R1 = NHHNH2) with NaNO2. I had min. inhibitory concns. against Proteus vulgaris of 0.19 µg/mL, compared with ampicillin 0.39 µg/mL.

IT 79398-20-2 79398-22-4  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study; unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); (prepn and bactericidal activity of)  
 RN 79398-20-2 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[1,6-dihydro-4-hydroxy-6-oxo-5-[(2,4,6-trimethylphenyl)sulfonyl]-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2a,5a,6β(S\*)]]- (9CI) (CA INDEX NAME)

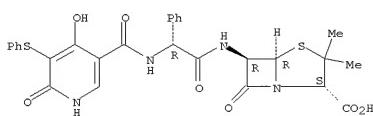
Absolute stereochemistry.

L4 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



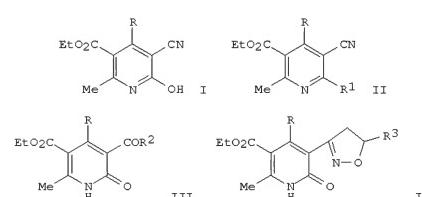
RN 79398-22-4 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[1,6-dihydro-4-hydroxy-6-oxo-5-[(2,4,6-trimethylphenyl)sulfonyl]-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2a,5a,6β(S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1981:550360 CAPLUS  
 DOCUMENT NUMBER: 95:150360  
 ORIGINAL REFERENCE NO.: 95:25159a,25162a  
 TITLE: Synthesis and reactions of 4-aryl-5-carbethoxy-3-cyano-

AUTHOR(S): Elkasaby, M. A.; Elshahed, F.  
 CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt  
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1981 ), 20B(5), 428-31  
 DOCUMENT TYPE: CODEN: IJSBDB; ISSN: 0376-4699  
 LANGUAGE: Journal English  
 OTHER SOURCE(S): CASREACT 95:150360  
 GI

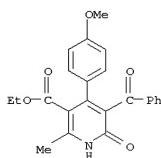


AB The pyridones I (R = Ph, p-MeOC6H4, p-Me2NC6H4) were prepared by cyclization of EtO2C(COME):CHR with EtO2CCH2CN. I reacted with Me2SO4 and PhCH2Cl to give the pyridines II (R1 = MeO, PhCH2O) and with POCl3 to give II (R1 = Cl). I (R = Ph, p-MeOC6H4) were treated with Grignard reagents to give the pyridones III (R2 = Me, Ph). III (R2 = Me) were condensed with aldehydes followed by cyclization with HONH2 to give the isoxazolines IV (R3 = Ph, p-MeOC6H4).

IT 78942-15-1  
 RL: SPN (Synthetic preparation); PREP (Preparation); (preparation of)  
 RN 78942-15-1 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5-benzoyl-1,6-dihydro-4-(4-methoxyphenyl)-2-methyl-6-oxo-, ethyl ester (CA INDEX NAME)

L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:497560 CAPLUS

DOCUMENT NUMBER: 95:97560

ORIGINAL REFERENCE NO.: 95:16383a,16386a

TITLE: Bridged-ring nitrogen compounds. Part 5. Synthesis of 2,6-methano-3-benzazonine ring-systems

AUTHOR(S): Proctor, George R.; Smith, Francis J.

CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, G1 1XL, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (6), 1754-62

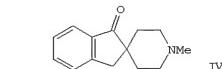
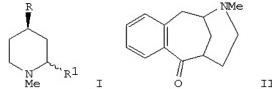
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 95:97560

GI

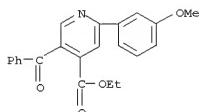


AB Sequential quaternization (MeI), reaction with KCN, methanolation, and catalytic hydrogenation of 4-benzyl- and 4-(3-methoxybenzyl)pyridine gave mixts. of the cis- and trans-piperidines I (R = CH<sub>2</sub>Ph, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>-3; R<sub>1</sub> = β-, α-CO<sub>2</sub>Et). cis-I (R = CO<sub>2</sub>Et, R<sub>1</sub> = β-CH<sub>2</sub>Ph), prepared [together with 4-benzyl-4-(ethoxycarbonyl)-1-methylpiperidine (II)] by sequential reduction, quaternization (PhCH<sub>2</sub>Br), Stevens rearrangement, and catalytic hydrogenation of Et N-methylisonicotinatium iodide, was converted to its amino acid hydrochloride and cyclized in polyphosphoric acid at 160° to give the methanobenzazonine III. II, which was also prepared by benzylation of 4-(ethoxycarbonyl)-1-methylpiperidine in the presence of (Me<sub>2</sub>CH)<sub>2</sub>NLi, was hydrolyzed and cyclized to give the spiro compound IV.

IT 78815-66-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 78815-66-4 CAPLUS  
CN 4-Pyridinecarboxylic acid, 5-benzoyl-2-(3-methoxyphenyl)-, ethyl ester  
(CA INDEX NAME)

L4 ANSWER 102 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



L4 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:593296 CAPLUS

DOCUMENT NUMBER: 83:193296

ORIGINAL REFERENCE NO.: 83:30403a,30412a

TITLE: α-(Nicotinoylamino)benzylpenicillin derivatives  
INVENTOR(S): Isaka, Ichiro; Murakami, Masao; Kohda, Akio; Sozu, Isao; Murakami, Yukiyasu; Nakano, KojiPATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50025586	A	19750318	JP 1973-76903	19730707

&lt;-- PRIORITY APPLN. INFO.: JP 1973-76903 19730707

&lt;--

GI For diagram(s), see printed CA Issue.

AB α-(Nicotinoylamino)benzylpenicillins I (R<sub>1</sub> = R<sub>2</sub> (R = alkyl, phenylalkyl, phenyl, pyridyl, they may have substituents; Z = S, SO<sub>2</sub>, SO<sub>2</sub><sup>2-</sup>, sulfino, sulfo; R<sub>2</sub>, R<sub>3</sub> = H, OH, alkoxy carbonyloxy) were prepared by reaction of ampicillin (II) with nicotinic acid derivs. (III) or their reactive derivs. I are bactericides (no data). Thus, 1.08 ml SCC12 in CH<sub>2</sub>Cl<sub>2</sub> was added to a solution of 2.71 g 4,6-dihydroxy-5-sulfononic acid-2H<sub>2</sub>O and4.2 ml Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> at -20°, the mixture stirred 1 hr, a solution of 4 g II·4H<sub>2</sub>O and 2.8 g Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> added at -20° to -30°, and the whole stirred 2 hr at -20° to give 1.6 g D-α-(4,6-dihydroxy-5-sulfononic acidamino)benzylpenicillin di-Na salt. Among 7 more I prepared were D-α-I·2Na (R<sub>2</sub> = R<sub>3</sub> = OH; R<sub>1</sub> = MeS, ETS, PhCH<sub>2</sub>S, PhS).IT 57151-78-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study, preparation and bactericidal activity of)  
RN 57151-78-7 CAPLUS  
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[1,6-dihydro-4-hydroxy-6-oxo-5-(phenylthio)-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, disodium salt, [2S-[2a,5a,6β(S\*)]-  
(9CI) (CA INDEX NAME)

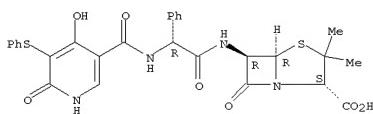
Absolute stereochemistry.

02/29/2008

10-566,291.trn

L4 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



●2 Na

L4 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:82958 CAPLUS

DOCUMENT NUMBER: 80:82958

ORIGINAL REFERENCE NO.: 80:13357a,13360a

TITLE: D-( $\alpha$ -Formamidobenzyl)penicillins  
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Shimago, Kozo;  
Nakatsuka, Iwao; Shigeru, Ibaragi; Nakagome,Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi;  
Eda, YasukoPATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
SOURCE: Ger. Offen., 31 pp.

DOCUMENT TYPE: CODEN: GWXXXB

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: German

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2312976	A1	19730927	DE 1973-2312976	19730315
<-- JP 48092391	A	19731130	JP 1972-26759	19720315
<-- JP 56009511	B	19810302		
<-- FR 2181818	A1	19731207	FR 1973-9153	19730314
<-- CH 590290	A5	19770729	CH 1973-3715	19730314
<-- CA 1049504	A1	19790227	CA 1973-166058	19730314
<-- NL 7303660	A	19730918	NL 1973-3660	19730315
<-- GB 1409177	A	19751008	GB 1973-12580	19730315
<-- HU 168866	B	19760728	HU 1973-SU806	19730315
<-- US 4008220	A	19770215	US 1974-495914	19740808
PRIORITY APPLN. INFO.:			JP 1972-26759	A 19720315
<--			US 1973-341723	A2 19730315
<--			HU 1974-SU806	A 19740805

GI For diagram(s), see printed CA Issue.  
 AB Twenty-six benzylpenicillins I [R = e.g. 5,6-trimethylene-4-(ethoxycarbonyloxy)-3-pyridyl, 5,6-tetramethylene-4-hydroxy-3-pyridyl, 3-acetyl-4-hydroxy-2-methyl-5-pyridyl, 2,4-dihydroxy-5-pyrimidinyl, 3-hydroxy-4-pyridazinyl, 2-hydroxy-3-pyridyl] or their Na or K salts were prepared by reaction of  $\alpha$ -aminobenzylpenicillin salts with RCO<sub>2</sub>H or their esters. I were used as broad-spectrum microbicides and were active also against *Pseudomonas* species.

L4 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

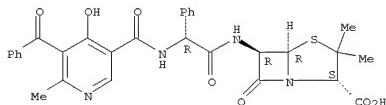
IT 50617-39-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 50617-39-5 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[{[(5-benzoyl-4-hydroxy-6-methyl-3-pyridinyl)carbonyl]amino}phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2a,5a,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



02/29/2008

10-566,291.trn

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